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10/748,342 5/3/05

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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

1/structure search CAPLUS

(imidazole)

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NEWS 1 Web Page URLs for STN Seminar Schedule - N. America  
NEWS 2 "Ask CAS" for self-help around the clock  
NEWS 3 FEB 25 CA/CAPLUS - Russian Agency for Patents and Trademarks  
(ROSPATENT) added to list of core patent offices covered  
NEWS 4 FEB 28 PATDPAFULL - New display fields provide for legal status  
data from INPADOC  
NEWS 5 FEB 28 BABS - Current-awareness alerts (SDIs) available  
NEWS 6 FEB 28 MEDLINE/LMEDLINE reloaded  
NEWS 7 MAR 02 GBFULL: New full-text patent database on STN  
NEWS 8 MAR 03 REGISTRY/ZREGISTRY - Sequence annotations enhanced  
NEWS 9 MAR 03 MEDLINE file segment of TOXCENTER reloaded  
NEWS 10 MAR 22 KOREAPAT now updated monthly; patent information enhanced  
NEWS 11 MAR 22 Original IDE display format returns to REGISTRY/ZREGISTRY  
NEWS 12 MAR 22 PATDPASPC - New patent database available  
NEWS 13 MAR 22 REGISTRY/ZREGISTRY enhanced with experimental property tags  
NEWS 14 APR 04 EPFULL enhanced with additional patent information and new  
fields  
NEWS 15 APR 04 EMBASE - Database reloaded and enhanced  
NEWS 16 APR 18 New CAS Information Use Policies available online.  
NEWS 17 APR 25 Patent searching, including current-awareness alerts (SDIs),  
based on application date in CA/CAPLUS and USPATFULL/USPAT2  
may be affected by a change in filing date for U.S.  
applications.  
NEWS 18 APR 28 Improved searching of U.S. Patent Classifications for  
U.S. patent records in CA/CAPLUS  
NEWS 19 MAY 23 GBFULL enhanced with patent drawing images  
NEWS 20 MAY 23 REGISTRY has been enhanced with source information from  
CHEMCATS  
NEWS 21 MAY 26 STN User Update to be held June 6 and June 7 at the SLA 2005  
Annual Conference  
  
NEWS EXPRESS JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT  
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005  
  
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NEWS WWW CAS World Wide Web Site (general information)

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FILE 'HOME' ENTERED AT 10:35:10 ON 31 MAY 2005

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 10:35:23 ON 31 MAY 2005  
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 29 MAY 2005 HIGHEST RN 851364-46-0  
DICTIONARY FILE UPDATES: 29 MAY 2005 HIGHEST RN 851364-46-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

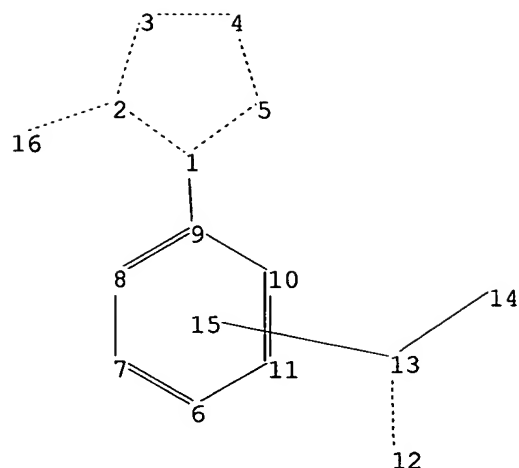
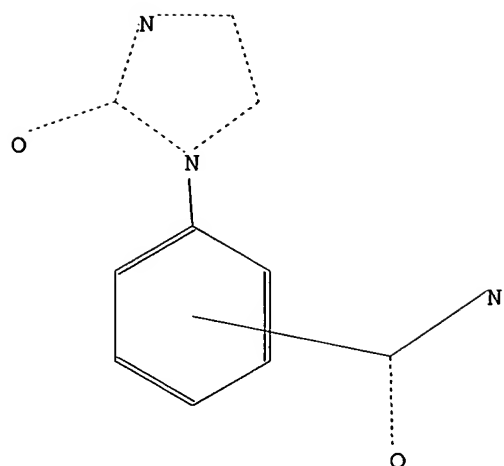
\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10748342c.str



```

chain nodes :
12 13 14 16
ring nodes :
1 2 3 4 5 6 7 8 9 10 11
chain bonds :
1-9 2-16 12-13 13-14
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11
exact/norm bonds :
1-2 1-5 1-9 2-3 2-16 3-4 4-5 12-13 13-14
normalized bonds :
6-7 6-11 7-8 8-9 9-10 10-11

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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS

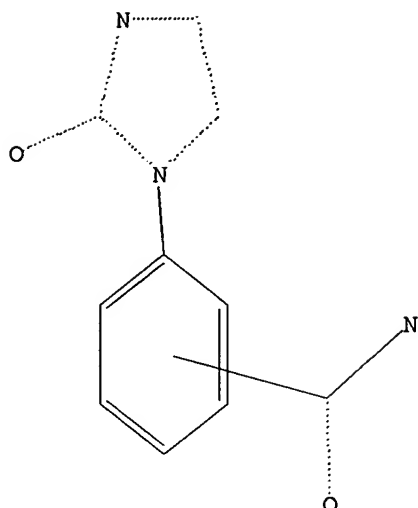
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L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s L1

SAMPLE SEARCH INITIATED 10:35:41 FILE 'REGISTRY'  
 SAMPLE SCREEN SEARCH COMPLETED - 4131 TO ITERATE

24.2% PROCESSED 1000 ITERATIONS 2 ANSWERS  
 INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
 BATCH \*\*COMPLETE\*\*  
 PROJECTED ITERATIONS: 78766 TO 86474  
 PROJECTED ANSWERS: 2 TO 337

L2 2 SEA SSS SAM L1

=> s L1 full

FULL SEARCH INITIATED 10:35:45 FILE 'REGISTRY'  
 FULL SCREEN SEARCH COMPLETED - 83046 TO ITERATE

100.0% PROCESSED 83046 ITERATIONS 78 ANSWERS  
 SEARCH TIME: 00.00.01

L3 78 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	161.33	161.54

FILE 'CAPLUS' ENTERED AT 10:35:49 ON 31 MAY 2005  
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FILE COVERS 1907 - 31 May 2005 VOL 142 ISS 23  
FILE LAST UPDATED: 30 May 2005 (20050530/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s L3

L4 38 L3

=> d-ibib-abs-hitstr 1-38

L4 ANSWER 1 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:324000 CAPLUS

DOCUMENT NUMBER: 142:392407

TITLE: Preparation of monocyclic and bicyclic lactams, in particular derivatives of pyrrolidines and pyrroloimidazoles, as Factor Xa inhibitors

INVENTOR(S): Han, Wei; Qiao, Jennifer; Hu, Zilun

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 329 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005032468	A2	20050414	WO 2004-US31857	20040929
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2005107361	A1	20050519	US 2004-952397	20040928
PRIORITY APPLN. INFO.:			US 2003-507533P	P 20031001
			US 2004-952397	A 20040928

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. [I and II; V = (CH<sub>2</sub>)<sub>n</sub>; n = 1-3; U = (CH<sub>2</sub>)<sub>m</sub>; m = 1-2; one of T1 and T2 = CO, CS, SO<sub>2</sub>, and the other = CO, CS, SO<sub>2</sub>, CH<sub>2</sub>, CHOH; one of Z1 and Z2 = N, and the other = C; G = (un)substituted Ph, pyrimidyl, pyrazinyl, pyridazinyl, etc. optionally fused with a 5-6 membered ring

containing 0-2 heteroatoms; G1 = SO<sub>2</sub>NH and derivs., NHCO, NHCSNH and derivs., (un)substituted alkylene, etc.; A = (un)substituted carbocycle, heterocycle; B = alkylene, SO<sub>2</sub>H and derivs., (un)substituted carbocycle, heterocycle, etc.; R1a at each occurrence = H, (un)substituted alkylene, alkenylene, alkynylene, etc.; or R1aCCR1a = (un)substituted 5-7 membered ring; their stereoisomers or pharmaceutically acceptable salts; with provisos], were prepared as inhibitors of trypsin-like serine proteases, specifically Factor Xa. For example, an eleven-step synthesis starting from trans-3-Hydroxy-L-proline is given for lactam III. I displayed K<sub>i</sub> ≤ 10 μM for the inhibition of Factor Xa. I were effective thrombin inhibitors; K<sub>i</sub> ≤ 10 μM. I are useful antithrombotics.

IT **850001-47-7P**, 5-Chlorothiophene-2-carboxylic acid  
N-[(7R,7aR)-2-[4-(dimethylcarbamoyl)phenyl]-3-oxohexahydropyrrolo[1,2-c]imidazol-7-yl]amide

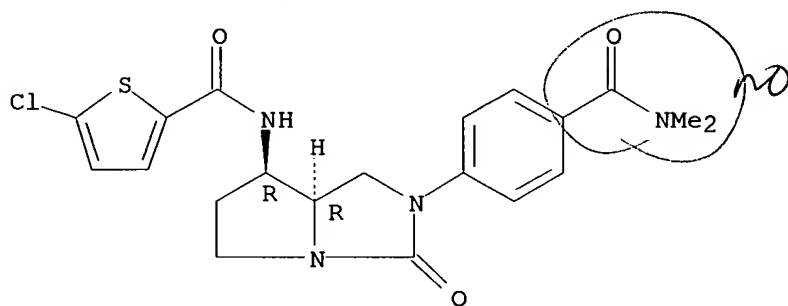
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of monocyclic and bicyclic lactams as Factor Xa inhibitors)

RN 850001-47-7 CAPLUS

CN 2-Thiophenecarboxamide, 5-chloro-N-[(7R,7aR)-2-[4-[(dimethylamino)carbonyl]phenyl]hexahydro-3-oxo-1H-pyrrolo[1,2-c]imidazol-7-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 2 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:183829 CAPLUS

DOCUMENT NUMBER: 142:411294

TITLE: Lithiation of 1-arylimidazol-2(1H)-ones and 1-aryl-4,5-dihydroimidazol-2(1H)-ones

AUTHOR(S): Llopart, Carme Cantos; Ferrer, Conchita; Joule, John A.

CORPORATE SOURCE: Chemistry Department, The University of Manchester, Manchester, M13 9PL, UK

SOURCE: Canadian Journal of Chemistry (2004), 82(11), 1649-1661

CODEN: CJCHAG; ISSN: 0008-4042

PUBLISHER: National Research Council of Canada

DOCUMENT TYPE: Journal

LANGUAGE: English

AB 1-Aryl-2(1H)-imidazolone derivs. are shown to be readily lithiated, using 2 mol equivalent of (butyl)lithium, on the benzene ring, ortho to the heterocycle. 1-Aryl-4,5-dihydro-2(1H)-imidazolone derivs. also undergo metalation on the aromatic substituent ortho to the heterocycle, but less efficiently. 1-Aryl-3-methyl-2(1H)-imidazolone derivs. are lithiated on the heterocyclic ring and then on the benzene ring ortho to the heterocycle. No ortho-directing effect was found for 1-aryl-4,5-dihydro-3-methyl-2(1H)-imidazolone derivs.

IT **850355-99-6P 850356-00-2P 850356-01-3P**

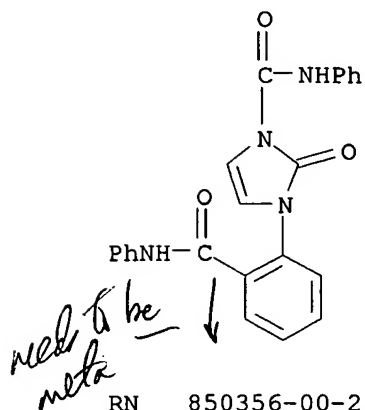
850356-02-4P 850356-05-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of di(hydro)(phenyl)-2H-imidazol-2-one derivative and study of  
its lithiation and N-alkylation and aryl-alkylation and aminocarbonylation  
reactions)

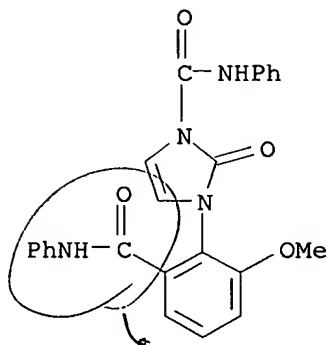
RN 850355-99-6 CAPLUS

CN 1H-Imidazole-1-carboxamide, 2,3-dihydro-2-oxo-N-phenyl-3-[2-  
[(phenylamino)carbonyl]phenyl]- (9CI) (CA INDEX NAME)



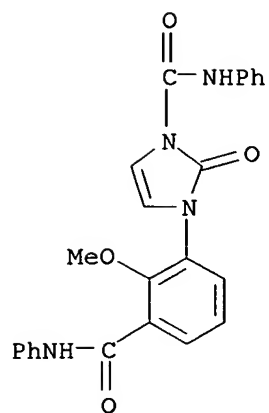
RN 850356-00-2 CAPLUS

CN 1H-Imidazole-1-carboxamide, 2,3-dihydro-3-[2-methoxy-6-  
[(phenylamino)carbonyl]phenyl]-2-oxo-N-phenyl- (9CI) (CA INDEX NAME)

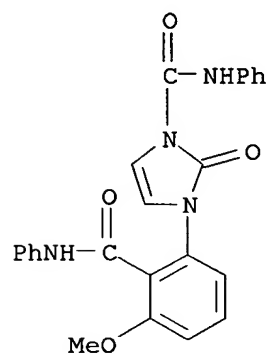


RN 850356-01-3 CAPLUS

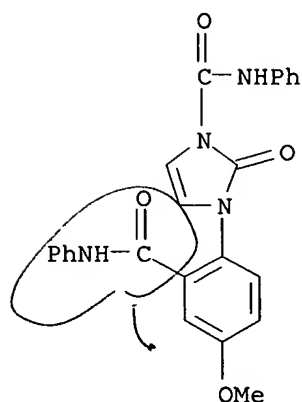
CN 1H-Imidazole-1-carboxamide, 2,3-dihydro-3-[2-methoxy-3-  
[(phenylamino)carbonyl]phenyl]-2-oxo-N-phenyl- (9CI) (CA INDEX NAME)



RN 850356-02-4 CAPLUS  
 CN 1H-Imidazole-1-carboxamide, 2,3-dihydro-3-[3-methoxy-2-  
 [(phenylamino)carbonyl]phenyl]-2-oxo-N-phenyl- (9CI) (CA INDEX NAME)



RN 850356-05-7 CAPLUS  
 CN 1H-Imidazole-1-carboxamide, 2,3-dihydro-3-[4-methoxy-2-  
 [(phenylamino)carbonyl]phenyl]-2-oxo-N-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2004:857175 CAPLUS  
 DOCUMENT NUMBER: 141:350167

TITLE: Preparation of imidazolin-2-one derivatives as p38 MAP kinase inhibitors

INVENTOR(S): Kubo, Akira; Imashiro, Ritsuo; Sakurai, Hiroaki; Miyoshi, Hidetaka; Ogasawara, Akihito; Hiramatsu, Hajime; Nakajima, Tatsuo; Nakane, Tetsu

PATENT ASSIGNEE(S): Japan

SOURCE: U.S. Pat. Appl. Publ., 76 pp., Cont.-in-part of Appl. No. PCT/JP02/10937.  
CODEN: USXXCO

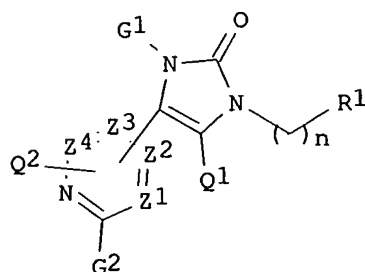
DOCUMENT TYPE: Patent

LANGUAGE: English

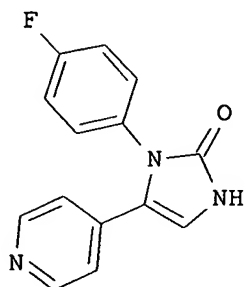
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004204426	A1	20041014	US 2004-827294	20040420
WO 2003035638	A1	20030501	WO 2002-JP10937	20021022
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
WO 2004094404	A1	20041104	WO 2004-JP5716	20040421
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2004339210	A2	20041202	JP 2004-125060	20040421
PRIORITY APPLN. INFO.:			JP 2001-324029	A 20011022
			JP 2002-263680	A 20020910
			WO 2002-JP10937	A2 20021022
			JP 2003-116076	A 20030421
OTHER SOURCE(S):			MARPAT 141:350167	
GI				



I



II

AB The title compds. I [wherein G1 = (un)substituted alkyl or B-W; B =

(un)substituted Ph, naphthyl, aromatic heterocyclyl, or cycloalkyl; W = a single bond or (un)substituted alkylene; Q1 and Q2 = independently H, halo, alkyl; n = 0-4; R1 = H, (un)substituted (cyclo)alkyl, Ph, or heterocyclyl; Z1-Z4 = independently CH or N with exclusions; G2 = H, NR3R4, OR5, SR5, COR6, CHR7R8, or heterocyclyl; R3-R8 = independently H, alkenyl, alkynyl, OH, alkoxy, alkoxyoxalyl, alkylsulfonyl, (un)substituted alkyl, amino, alkanoyl, carbamoyl, cycloalkyl, Ph, heterocyclyl(carbonyl), PhCO, or heterocyclyl-CO] and pharmaceutically acceptable salts were prepared as p38 mitogen activation proteins (MAP) kinase inhibitors. Thus, reacting 2,2-diethoxy-2-(pyridin-4-yl)ethylamine (preparation given) with 4-fluorophenyl isocyanate afforded the imidazolinone II. The representative compds. I significantly reduced the production of TNF- $\alpha$  in mice in vivo.

IT 521088-99-3P 521089-19-0P

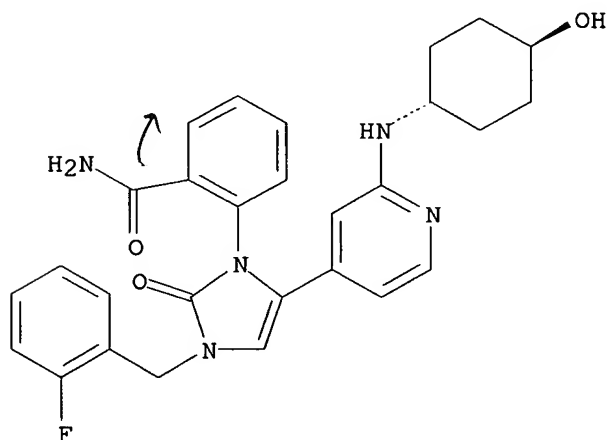
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(MAP kinase inhibitor; preparation of imidazolinones as p38 MAP kinase inhibitors)

RN 521088-99-3 CAPLUS

CN Benzamide, 2-[3-[(2-fluorophenyl)methyl]-2,3-dihydro-5-[2-[(trans-4-hydroxycyclohexyl)amino]-4-pyridinyl]-2-oxo-1H-imidazol-1-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

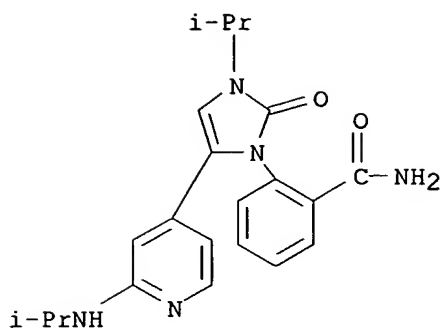
Relative stereochemistry.



● HCl

RN 521089-19-0 CAPLUS

CN Benzamide, 2-[2,3-dihydro-3-(1-methylethyl)-5-[2-[(1-methylethyl)amino]-4-pyridinyl]-2-oxo-1H-imidazol-1-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L4 ANSWER 4 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:767279 CAPLUS

DOCUMENT NUMBER: 141:405643

TITLE: 4-Acylamino-and 4-ureidobenzamides as melanin-concentrating hormone (MCH) receptor 1 antagonists

AUTHOR(S): Receveur, Jean-Marie; Bjurling, Emelie; Ulven, Trond; Little, Paul Brian; Norregaard, Pia K.; Hoegberg, Thomas

CORPORATE SOURCE: 7TM Pharma A/S, Horsholm, DK-2970, Den.

SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(20), 5075-5080

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:405643

AB Synthesis, in vitro biol. evaluation and structure-activity relationships of 4-acylamino-and 4-ureidobenzamides as novel hMCH1R-antagonists are disclosed. The nature of the amine side chains could be varied considerably in contrast to the central benzamide scaffold and aromatic substituents.

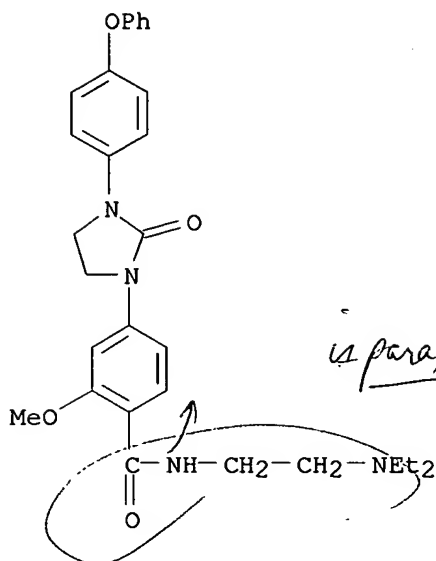
IT **617246-13-6**

RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)

(4-Acylamino-and 4-ureidobenzamides as melanin-concentrating hormone (MCH) receptor 1 antagonists)

RN 617246-13-6 CAPLUS

CN Benzamide, N-[2-(diethylamino)ethyl]-2-methoxy-4-[2-oxo-3-(4-phenoxyphenyl)-1-imidazolidinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 29 . THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:546486 CAPLUS

DOCUMENT NUMBER: 141:106470

TITLE: Preparaton of 5-chlorobenzimidazoles and related compounds as blood-coagulation factor Xa inhibitors.

INVENTOR(S): Priepke, Henning; Pfau, Roland; Gerlach, Kai; Gillard, James; Bauer, Eckhart; Wienen, Wolfgang; Handschuh, Sandra; Nar, Herbert

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma GmbH & Co. Kg, Germany; Dahmann, Georg

SOURCE: PCT Int. Appl., 502 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

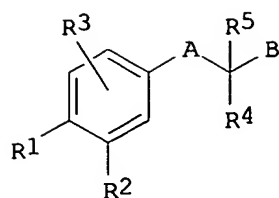
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

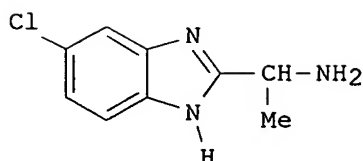
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056784	A1	20040708	WO 2003-EP14195	20031213
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10259407	A1	20040701	DE 2002-10259407	20021219
PRIORITY APPLN. INFO.:			DE 2002-10259407	A 20021219
			DE 2003-10335545	A 20030802
OTHER SOURCE(S):			MARPAT 141:106470	
GI				

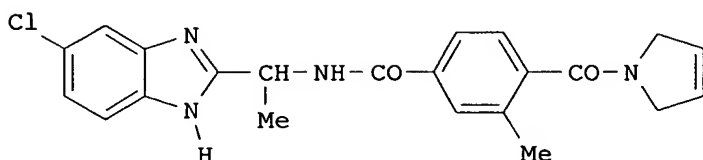




I



II



III

AB Title compds. I [R1 = amino, alkylamino, cycloalkylamino, etc.; R2 = H, halo, alkyl, etc.; R3 = H, alkyl; R4 = H, alkenyl, alkynyl, etc.; R5 = H, alkyl; A = carbonylamino, aminocarbonyl, with provisos; B = (un)substituted benzimidazol, 4-azabenzimidazol, 1-azanaphthalene, etc.] and their formulations and pharmaceutically acceptable salts were prepared. For example, coupling of 3-methyl-4-(2,5-dihydropyrrol-1-ylcarbonyl)benzoic acid and amine II, e.g., prepared from 4-chloro-o-phenylenediamine in 6-steps, afforded chlorobenzimidazole III. Compds. I were claimed useful as antithrombotic agents.

IT 719999-21-0P

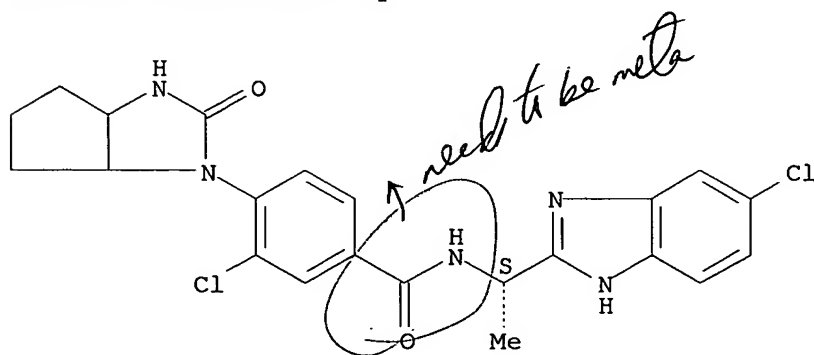
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 5-chlorobenzimidazoles and related compds. as blood-coagulation factor Xa inhibitors.)

RN 719999-21-0 CAPLUS

CN Benzamide, 3-chloro-N-[(1S)-1-(5-chloro-1H-benzimidazol-2-yl)ethyl]-4-(hexahydro-2-oxo-1(2H)-cyclopentimidazolyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:377177 CAPLUS

DOCUMENT NUMBER: 141:102222

TITLE: Serine Hydroxymethyltransferase: Role of Glu75 and Evidence that Serine Is Cleaved by a Retroaldol

Mechanism

AUTHOR(S): Szebenyi, Doletha M. E.; Musayev, Faik N.; Di Salvo, Martino L.; Safo, Martin K.; Schirch, Verne

CORPORATE SOURCE: MacCHESS at Cornell High Energy Synchrotron Source, Cornell University, Ithaca, NY, 14853, USA

SOURCE: Biochemistry (2004), 43(22), 6865-6876  
CODEN: BICHAW; ISSN: 0006-2960

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

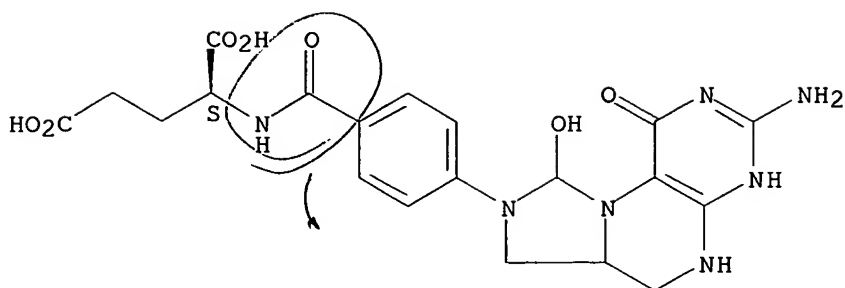
AB Serine hydroxymethyltransferase (SHMT) catalyzes the reversible interconversion of serine and glycine with tetrahydrofolate serving as the one-carbon carrier. SHMT also catalyzes the folate-independent retroaldol cleavage of allothreonine and 3-phenylserine and the irreversible conversion of 5,10-methenyltetrahydrofolate to 5-formyltetrahydrofolate. Studies of wild-type and site mutants of SHMT have failed to clearly establish the mechanism of this enzyme. The cleavage of 3-hydroxy amino acids to glycine and an aldehyde occurs by a retroaldol mechanism. However, the folate-dependent cleavage of serine can be described by either the same retroaldol mechanism with formaldehyde as an enzyme-bound intermediate or by a nucleophilic displacement mechanism in which N5 of tetrahydrofolate displaces the C3 hydroxyl of serine, forming a covalent intermediate. Glu75 of SHMT is clearly involved in the reaction mechanism; it is within hydrogen bonding distance of the hydroxyl group of serine and the formyl group of 5-formyltetrahydrofolate in complexes of these species with SHMT. This residue was changed to Leu and Gln, and the structures, kinetics, and spectral properties of the site mutants were determined. Neither mutation significantly changed the structure of SHMT, the spectral properties of its complexes, or the kinetics of the retroaldol cleavage of allothreonine and 3-phenylserine. However, both mutations blocked the folate-dependent serine-to-glycine reaction and the conversion of methenyltetrahydrofolate to 5-formyltetrahydrofolate. These results clearly indicate that interaction of Glu75 with folate is required for folate-dependent reactions catalyzed by SHMT. Moreover, we can now propose a promising modification to the retroaldol mechanism for serine cleavage. As the first step, N5 of tetrahydrofolate makes a nucleophilic attack on C3 of serine, breaking the C2-C3 bond to form N5-hydroxymethylenetetrahydrofolate and an enzyme-bound glycine anion. The transient formation of formaldehyde as an intermediate is possible, but not required. This mechanism explains the greatly enhanced rate of serine cleavage in the presence of folate, and avoids some serious difficulties presented by the nucleophilic displacement mechanism involving breakage of the C3-OH bond.

IT **138630-86-1**  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(serine hydroxymethyltransferase, role of Glu75 and evidence that serine is cleaved by a retroaldol mechanism)

RN 138630-86-1 CAPLUS

CN L-Glutamic acid, N-[4-(3-amino-5,6,6a,7-tetrahydro-9-hydroxy-1-oxoimidazo[1,5-f]pteridin-8(9H)-yl)benzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:931171 CAPLUS

DOCUMENT NUMBER: 140:5052

TITLE: Preparation of 1,3,4-oxadiazoles and related compounds for use as melanin concentrating hormone antagonists in the treatment of obesity and diabetes

INVENTOR(S): Ammenn, Jochen; Gillig, James Ronald; Heinz, Lawrence Joseph; Hipskind, Philip Arthur; Kinnick, Michael Dean; Lai, Yen-shi; Morin, John Michael, Jr.; Nixon, James Arthur; Ott, Carsten; Savin, Kenneth Allen; Schotten, Theo; Sliker, Lawrence John; Snyder, Nancy June; Robertson, Michael Alan

PATENT ASSIGNEE(S): Eli Lilly and Company, USA; et al.

SOURCE: PCT Int. Appl., 592 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003097047	A1	20031127	WO 2003-US12123	20030506
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2484233	AA	20031127	CA 2003-2484233	20030506
EP 1505968	A1	20050216	EP 2003-719843	20030506
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
PRIORITY APPLN. INFO.:			US 2002-380351P	P 20020513
			WO 2003-US12123	W 20030506

OTHER SOURCE(S): MARPAT 140:5052

AB The present invention relates to 1,3,4-oxadiazoles and related compds. (Ar1-L1-Ar2-Ar3-L2-Q (I); variables defined below; e.g. N-(3-dimethylaminopropyl)-4-[5-(3-phenylpropoxymethyl)-[1,3,4]oxadiazol-2-yl]benzamide) as melanin concentrating hormone (MCH) antagonists or a pharmaceutically acceptable salt, solvate, enantiomer or prodrug thereof useful in the treatment, prevention or amelioration of symptoms associated with obesity and related diseases. Ki and Kb values for MCHR1 binding by

24 examples of (I) are tabulated. For Ar1-L1-Ar2-Ar3-L2-Q: Ar1 is a cyclic group (un)substituted with 1-5 C1-C8 alkyl, C2-C8 alkenyl, C2-C8 alkynyl, hydroxy, C1-C8 alkoxy, C1-C8 alkylaryl, Ph, -O-aryl, heteroaryl, cycloalkyl, C1-C8 alkylcycloalkyl, cyano, -(CH2)nNR6R6, C1-C8 haloalkyl, C1-C8 haloalkoxy, halo, (CH2)nCOR6, (CH2)nNR5SO2R6, -(CH2)nC(O)NR6R6, heterocyclic, and C1-C8 alkylheterocyclic. L1 is a bond or a divalent linker having a main chain = 1-10 atoms; or X2-(CR3R4)m-X3 where X2 is attached to Ar1 and X3 is attached to Ar2 wherein R3 and R4 = a bond, H, C1-C8 alkyl, C2-C8 alkylene, C2-C8 alkynyl, Ph, aryl, C1-C8 alkylaryl; X2 = O, -CH, -CONH(CR3R4)m, -NHCO(CR3R4)m, - (CR3R4)m, -CHR6, -NR5, S, SO, SO2, -O(CR3R4)m, or -S(CR3R4)m; X3 = O, -C, -CH, -CHR6, -(CR3R4)m, -CONH(CR3R4)m, -NHCO(CR3R4)m, -NR5, -NR5(CR3R4)m, S, SO(CR3R4)m, SO2(CR3R4)m, S(CR3R4)m, SO, or SO2; -O(CR3R4)m, or -S(CR3R4)m; Ar2 is a 5-member monocyclic heterocyclic aromatic group or positional isomer thereof, having 1, 2, or 3 heteroatoms = N, O and S; and (un)substituted with one to three substituents. Ar3 is a 6-member monocyclic, aromatic, carbocyclic or heterocyclic ring having 0-3 heteroatoms = N, O and S and which is (un)substituted with 1-3 substituents; L2 is a divalent linker having a chain length = 1-10 atoms in the main chain or is represented by the formula: X4-(CR3R4)m-X5; wherein X4 is attached to Ar3 and = C, -CH, CHR6, -CO, O, -NR5, -NC(O)-, -NC(S), -C(O)NR5-, -NR6C(O)NR6, -NR6'C(S)-NR6, -SO2NR7, -NRSO2R7, and -NR6'C(NR5)NR6; X5 = -CH2, -CH, -O(CR3R4)m, NR3(CR3R4)m, SO, SO2, S, and SCH2; wherein the group X4-(CR3R4)m-X5 imparts stability and may be a (un)saturated chain or divalent linker. Q is a basic group or a group represented by -NR1R2; wherein R1 and R2 = H, C1-C8 alkyl, C2-C8 alkenyl, C3-C8 cycloalkyl, C1-C8 alkylaryl, -C(O)C1-C8 alkyl, -C(O)OC1-C8 alkyl, C1-C8 alkylcycloalkyl, (CH2)nC(O)OR5, (CH2)nC(O)R5, (CH2)nC(O)NR6R6, and (CH2)nNSO2R5; wherein R1 and R2 may combine together, and with the N atom to which they are attached or with 0-3 atoms adjacent to the N atom to form a N containing heterocycle which may have 1, or 2 substituents; wherein R1 and R2 may combine with the N atom to which they are attached to form an imine. R5 is H, CN, C1-C8 alkyl, C2-C8 alkenyl, C5-C8 alkylaryl, (CH2)nNSO2C1-C8 alkyl, (CH2)nNSO2phenyl, (CH2)nNSO2aryl, -C(O)C1-C8 alkyl, or -C(O)OC1-C8 alkyl; and R6 and R6' are each independently H, C1-C8 alkyl, Ph, aryl, C1-C8alkylaryl, or C3-C8cycloalkyl; R7 is H, C1-C8 alkyl, Ph, aryl, C1-C8alkylaryl, or C3-C8cycloalkyl, and wherein m = 1-8; and n = 0-8; addnl. details including provisos are given in the claims. Although the methods of preparation are not claimed, >300 example preps. are included.

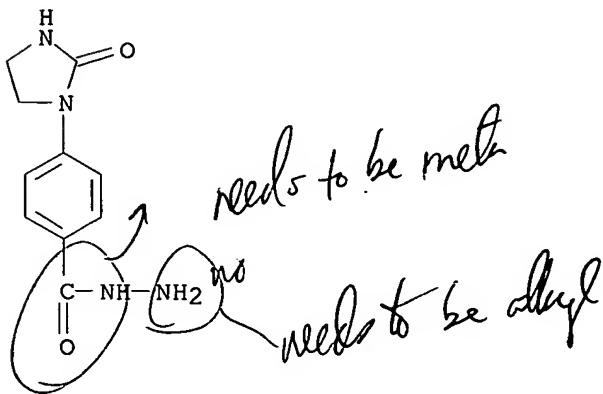
IT 627901-55-7P 627901-56-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

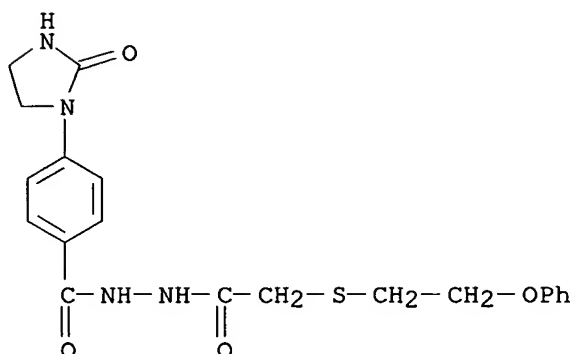
(preparation of 1,3,4-oxadiazoles and related compds. for use as melanin concentrating hormone antagonists in treatment of obesity and diabetes)

RN 627901-55-7 CAPLUS

CN Benzoic acid, 4-(2-oxo-1-imidazolidinyl)-, hydrazide (9CI) (CA INDEX NAME)



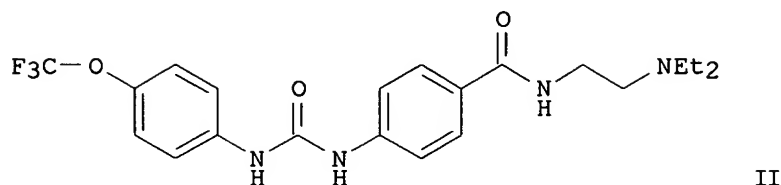
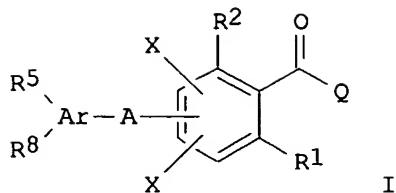
RN 627901-56-8 CAPLUS  
 CN Benzoic acid, 4-(2-oxo-1-imidazolidinyl)-, 2-[[2-phenoxyethyl)thio]acetyl]hydrazide (9CI) (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2003:837035 CAPLUS  
 DOCUMENT NUMBER: 139:337787  
 TITLE: Preparation of novel methoxybenzamides for use in MCH receptor related disorders  
 INVENTOR(S): Hoegberg, Thomas; Bjurling, Anna Emelie; Receveur, Jean-Marie; Little, Paul Brian; Elling, Christian E.; Norregaard, Pia Karina; Ulven, Trond  
 PATENT ASSIGNEE(S): 7TM Pharma A/S, Den.  
 SOURCE: PCT Int. Appl., 133 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003087045	A1	20031023	WO 2003-DK231	20030408
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2482341	AA	20031023	CA 2003-2482341	20030408
EP 1497260	A1	20050119	EP 2003-746255	20030408
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:			DK 2002-519	A 20020409
			DK 2002-520	A 20020409
			DK 2002-524	A 20020409
			DK 2002-1818	A 20021125
			WO 2003-DK231	W 20030408
OTHER SOURCE(S):			MARPAT 139:337787	



AB Title compds. I [wherein A = a linker, e.g. CHR7CONR7, CONR7, OCONR7, SO2NR7, CHR7NR7CO, NR7COR7, hexahydro-2-oxo-pyrimidine-1,3-diyl, 2-oxoimidazolidine-1,3-diyl, 1,2,4-oxadiazolediyl, 1,3,4-oxadiazolediyl, CH=CH, OCHR7, NR7CHR7, SCHR7, or (un)substituted imidazolediyl or 1,2,4-triazolediyl; Ar = independently (hetero)aryl; R1 = alkoxy; R2 = H, OH, NH2, or alkoxy; COQ = amino-substituted amide; R5 and R6 = independently H, halo, alkoxy, OH, (di)alkylamino, hydroxyalkyl, carboxamido, acyl(amido), CHO, nitrile, alkyl, alkenyl, alkynyl, SMe, (fluoro)alkyl, (fluoro)alkoxy, (fluoro)thioalkoxy, SO2NH2, (di)alkylaminosulfonyl, or alkylsulfonyl; R7 = independently H, alkyl, or alkenyl; R8 = halo, (alkyl)(cyclo)alkyl, alkenyl, alkynyl, (alkyl)(hetero)aryl, (alkyl)heterocyclyl, (aryl)alkoxy, aryloxy, dialkylamino, (di)alkylcarbamoyl, (di)arylcarbamoyl, alkanoyl(amino), aroyl(amino), SMe, (fluoro)alkyl, (fluoro)alkoxy, (fluoro)thioalkoxy, or R6ArB; B = a single bond or connecting moiety; X = H, halo, SMe, CF3, OCF3, SCF3, OMe, alkyl, or alkenyl; and physiol. acceptable salts, complexes, solvates, and prodrugs thereof] were prepared as

melanin-concentrating

hormone (MCH) receptor modulators. For example, coupling of procainamide with 4-trifluoromethoxyphenyl isocyanate in the presence of TEA in CH2Cl2 gave II (59%). In assays of [<sup>125</sup>I]-MCH binding and phosphatidylinositol turnover using transiently transfected COS-7 cells or stably transfected CHO cells expressing the human MCH-1 receptor, II exhibited activity with IC50 values of 0.07  $\mu$ M and 0.29  $\mu$ M, resp. Administration of II (10 mg/kg i.p.) to male Sprague Dawley rats resulted in a significant reduction of their cumulative food intake over 6 h. Thus, I and their pharmaceutical compns. are useful in the treatment or prevention of obesity, depression, diabetes, bulimia, and other MCH receptor related disorders (no data).

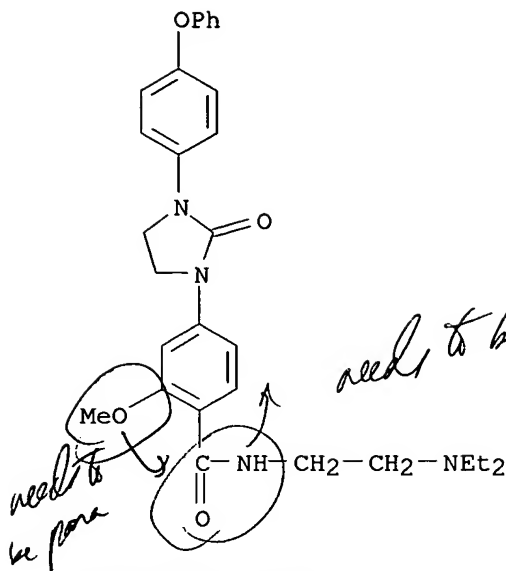
IT **617246-13-6P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(MCH receptor modulator; preparation of methoxybenzamides as MCH receptor modulators for treatment of obesity, depression, diabetes, bulimia, and related disorders)

RN 617246-13-6 CAPLUS

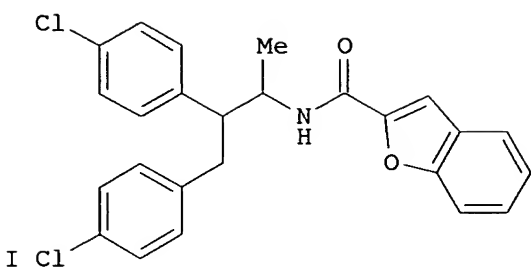
CN Benzamide, N-[2-(diethylamino)ethyl]-2-methoxy-4-[2-oxo-3-(4-phenoxyphenyl)-1-imidazolidinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2003:837028 CAPLUS  
 DOCUMENT NUMBER: 139:337785  
 TITLE: Preparation of substituted arylamides as cannabinoid-1 receptor antagonists and/or inverse agonists for use as psychotropic drugs  
 INVENTOR(S): Hagmann, William K.; Lin, Linus S.; Shah, Shrenik K.  
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA  
 SOURCE: PCT Int. Appl., 191 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003087037	A1	20031023	WO 2003-US9800	20030401
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2480856	AA	20031023	CA 2003-2480856	20030401
EP 1494997	A1	20050112	EP 2003-746565	20030401
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
PRIORITY APPLN. INFO.:			US 2002-370553P	P 20020405
			WO 2003-US9800	W 20030401
OTHER SOURCE(S):	MARPAT 139:337785			
GI				



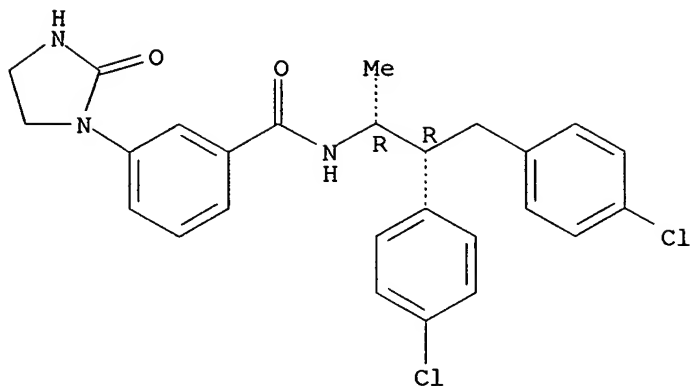
IT 616243-51-7P 616244-55-4P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)  
(CB1 receptor modulator; preparation of substituted arylamides as CB1  
receptor antagonists and/or inverse agonists for use as psychotropic  
drugs)

RN 616243-51-7 CAPLUS

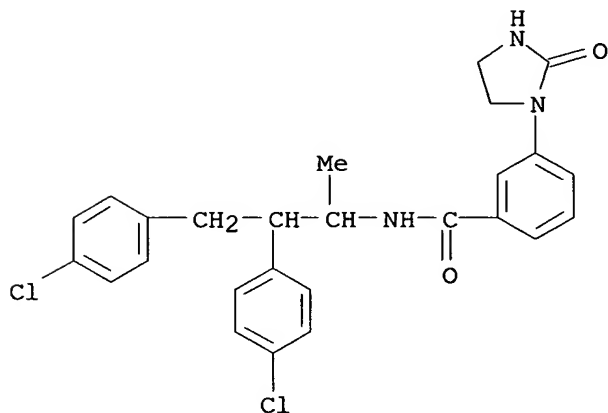
CN Benzamide, N-[(1R,2R)-2,3-bis(4-chlorophenyl)-1-methylpropyl]-3-(2-oxo-1-  
imidazolidinyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.





RN 616244-55-4 CAPLUS  
 CN Benzamide, N-[2,3-bis(4-chlorophenyl)-1-methylpropyl]-3-(2-oxo-1-imidazolidinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2003:335096 CAPLUS  
 DOCUMENT NUMBER: 138:353990  
 TITLE: Preparation of 4-imidazolin-2-one derivatives as MAP kinase inhibitors  
 INVENTOR(S): Kubo, Akira; Imashiro, Ritsuo; Sakurai, Hiroaki; Miyoshi, Hidetaka; Ogasawara, Akihito; Hiramatsu, Hajime  
 PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 137 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003035638	A1	20030501	WO 2002-JP10937	20021022
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

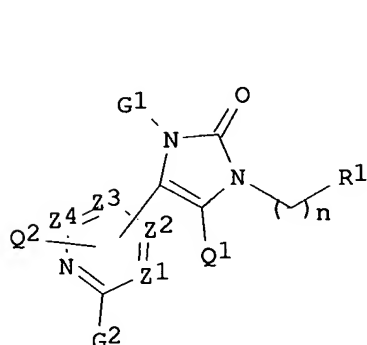
CA 2461100 AA 20030501 CA 2002-2461100 20021022  
 EP 1439174 A1 20040721 EP 2002-802049 20021022

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

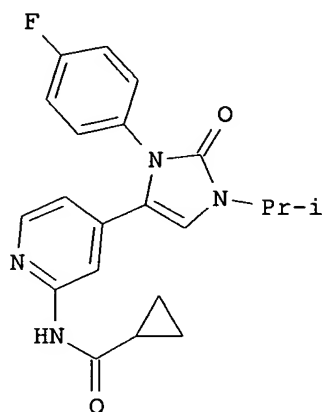
BR 2002013465 A 20041109 BR 2002-13465 20021022  
 US 2004204426 A1 20041014 US 2004-827294 20040420

PRIORITY APPLN. INFO.: JP 2001-324029 A 20011022  
 JP 2002-263680 A 20020910  
 WO 2002-JP10937 W 20021022  
 JP 2003-116076 A 20030421

OTHER SOURCE(S): MARPAT 138:353990  
 GI



I



II

AB The title compds. I [wherein G1 = (un)substituted alkyl or B-W; B = (un)substituted Ph, Naphthyl, aromatic heterocyclyl, or cycloalkyl; W = a single bond or (un)substituted alkylene; Q1 and Q2 = independently H, halo, or alkyl; n = 0-4; R1 = H, (un)substituted (cyclo)alkyl, Ph, or heterocyclyl; Z1-Z4 = independently CH or N with exclusions; G2 = H, NR3R4, OR5, SR5, COR6, CHR7R8, or heterocyclyl; R3-R8 = independently H, alkenyl, alkynyl, OH, alkoxy, alkoxyoxalyl, alkylsulfonyl, (un)substituted alkyl, amino, alkanoyl, carbamoyl, cycloalkyl, Ph, heterocyclyl(carbonyl), PhCO, or heterocyclyl-CO] and pharmaceutically acceptable salts are prepared as mitogen activation proteins (MAP) kinase inhibitors. For example, the compound II•HCl was prepared in a multi-step synthesis. II•HCl showed 69% inhibitory activity against TNF-α in rat in the amount of 1 mg/kg after 90 min.

IT 521088-99-3P 521089-19-0P

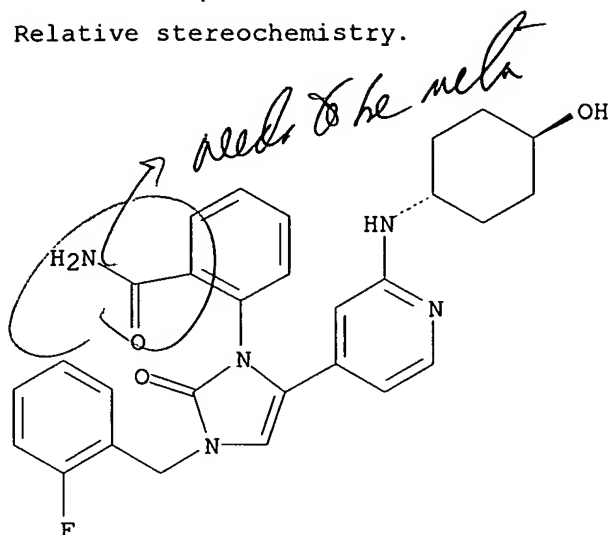
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(MAP kinase inhibitor; preparation of imidazolinone derivs. as MAP kinase inhibitors)

RN 521088-99-3 CAPLUS

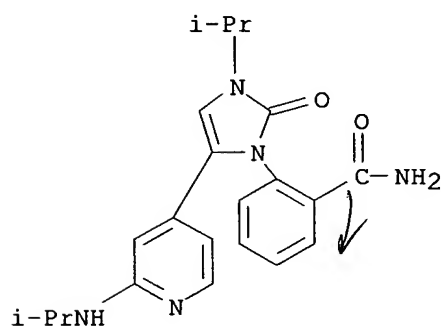
CN Benzamide, 2-[3-[(2-fluorophenyl)methyl]-2,3-dihydro-5-[2-[(trans-4-hydroxycyclohexyl)amino]-4-pyridinyl]-2-oxo-1H-imidazol-1-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

RN 521089-19-0 CAPLUS  
CN Benzamide, 2-[2,3-dihydro-3-(1-methylethyl)-5-[2-[(1-methylethyl)amino]-4-pyridinyl]-2-oxo-1H-imidazol-1-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



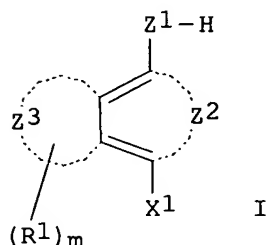
● HCl

REFERENCE COUNT: 99 THERE ARE 99 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 2003:272151 CAPLUS  
DOCUMENT NUMBER: 138:311463  
TITLE: Silver halide photographic material containing black coupler  
INVENTOR(S): Yamakawa, Kazuyoshi; Naruse, Hideaki  
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 25 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003107641	A2	20030409	JP 2001-297295	20010927
PRIORITY APPLN. INFO.:			JP 2001-297295	20010927
OTHER SOURCE(S):		MARPAT 138:311463		
GI				



AB The invention relates to a silver halide photog. material capable of being processed with a color developer as well as with a black-and-white developer, wherein the photog. material contains a black coupler represented by I (Z1 = O, S, -NSO2R11-, -R12R13-; Z2, Z3 = nonmetal atoms for forming 5- to 7-membered ring; R1 = electron donative group with Hammett  $\sigma$ -value of  $\leq -0.40$ ; X1 = H, coupling group; m = 1-4; R11-13 = H, substituent).

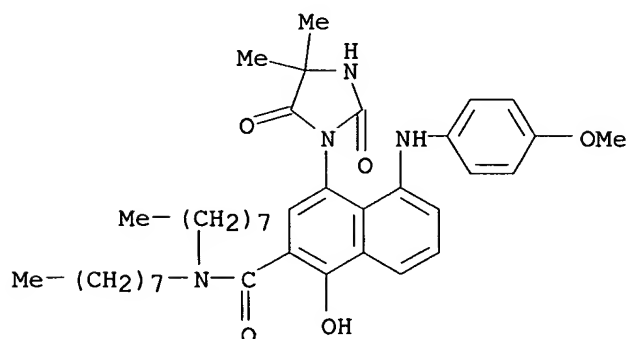
IT 508213-32-9

RL: DEV (Device component use); USES (Uses)

(black coupler; silver halide photog. material containing black coupler so that black-and-white print can be developed with color developer)

RN 508213-32-9 CAPLUS

CN 2-Naphthalenecarboxamide, 4-(4,4-dimethyl-2,5-dioxo-1-imidazolidinyl)-1-hydroxy-5-[(4-methoxyphenyl)amino]-N,N-dioctyl- (9CI) (CA INDEX NAME)



L4 ANSWER 12 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:15497 CAPLUS

DOCUMENT NUMBER: 138:78430

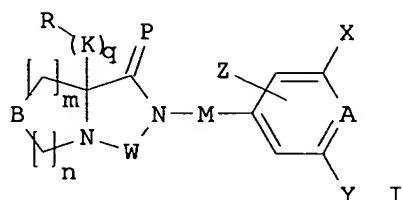
TITLE: Pharmaceutical compositions containing heterocyclic compounds as  $\alpha\beta 2$  integrin-mediated adhesion inhibitors for treatment of inflammatory diseases

INVENTOR(S): Sircar, Ila; Furth, Paul; Teegarden, Bradley R.; Morningstar, Marshall; Smith, Nicholas; Griffith, Ronald C.

PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 72 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003002834	A2	20030108	JP 2002-117406	20020419
PRIORITY APPLN. INFO.:			JP 2001-121235	A 20010419
OTHER SOURCE(S):	MARPAT	138:78430		

GI



AB Title compns., useful for treatment of asthma, thrombosis, arteriosclerosis, osteoporosis, tumor, rheumatoid arthritis, etc., contain heterocyclic compds. I [A = :CZ1, :N; B = CR1R2, CH:CH, S, SO, SO2, O, NR3, NR3CO, etc.; K = CH2, CHOH, CO, CF2, M = bond, (CH2)p, CO, NH, W = :Q, CHR1C:Q, C:QCHR6; X, Y = H, halo, NO2, CN, C1-6 alkylthio, (un)substituted aryl, etc.; Z, Z1 = H, OH, halo, NO2, CF3, C1-6 alkoxy, etc.; P, Q = O, S; R = (un)substituted (hetero)aryl; R1, R2 = H, halo, OR3, NR3R6, O2CNR32, N3, (un)substituted aryl, etc.; R1R2 may be linked to form O, etc.; R3 = H, (un)substituted C1-6 alkyl, (un)substituted (hetero)aryl, etc.; R6 = H, (un)substituted C1-6 alkyl; m = 0-3; n = 0-2, o, p = 1, 2] or their pharmacol. acceptable salts as active ingredients. Thus, (5R,7S)-5-[4-(trifluoromethoxy)benzyl]-3-(2,6-dichloro-4-pyridyl)-7-acetamido-1,3-diazabicyclo[3.3.0]octane-2,4-dione inhibited adhesion of Jurkat cell to ICAM-1 with IC50 value of 0.005  $\mu$ M.

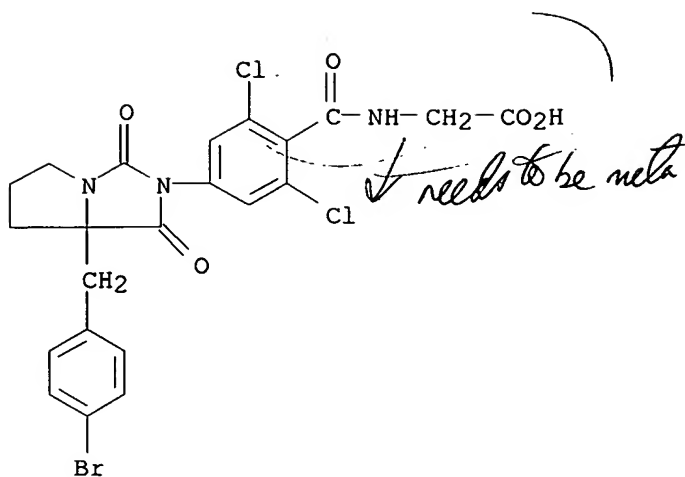
IT 336815-35-1P 336815-37-3P 336815-39-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic compds. as  $\alpha$ 1 $\beta$ 2 integrin-mediated adhesion inhibitors for treatment of inflammatory diseases)

RN 336815-35-1 CAPLUS

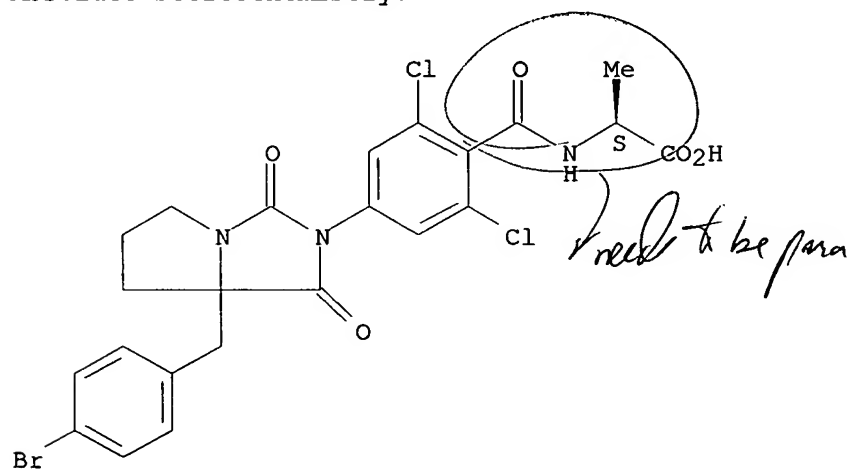
CN Glycine, N-[4-[7a-[(4-bromophenyl)methyl]tetrahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl]-2,6-dichlorobenzoyl]- (9CI) (CA INDEX NAME)



RN 336815-37-3 CAPLUS

CN L-Alanine, N-[4-[7a-[(4-bromophenyl)methyl]tetrahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl]-2,6-dichlorobenzoyl]- (9CI) (CA INDEX NAME)

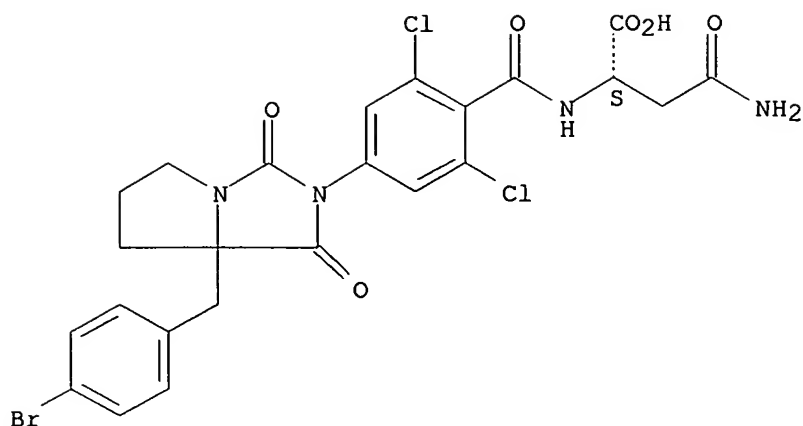
Absolute stereochemistry.



RN 336815-39-5 CAPLUS

CN L-Asparagine, N2-[4-[7a-[(4-bromophenyl)methyl]tetrahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl]-2,6-dichlorobenzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



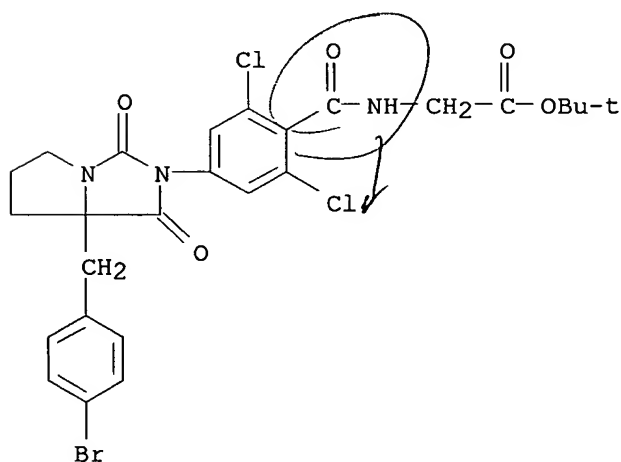
IT **336818-39-4P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of heterocyclic compds. as  $\alpha 1\beta 2$  integrin-mediated adhesion inhibitors for treatment of inflammatory diseases)

RN 336818-39-4 CAPLUS

CN Glycine, N-[4-[7a-[ (4-bromophenyl)methyl]tetrahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl]-2,6-dichlorobenzoyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 13 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:171853 CAPLUS

DOCUMENT NUMBER: 136:232201

TITLE: Preparation of cyclic amine derivatives as CCR3 antagonists

INVENTOR(S): Morihira, Koichiro; Inami, Hiroshi; Kubota, Hirokazu; Yokoyama, Kazuhiro; Morokata, Tatsuaki; Takeuchi, Makoto; Takahashi, Toshiya; Kaneko, Masayuki; Imaoka, Takayuki; Torii, Yuichi; Iura, Yosuke

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan; Toray Industries, Inc.

SOURCE: PCT Int. Appl., 92 pp.

CODEN: PIXXD2

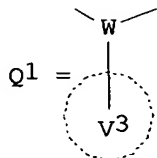
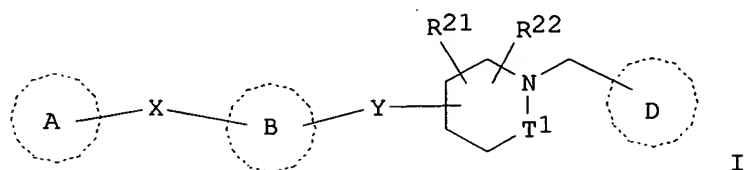
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018335	A1	20020307	WO 2001-JP7321	20010827
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001080187	A5	20020313	AU 2001-80187	20010827
PRIORITY APPLN. INFO.:			JP 2000-257451	A 20000828
			WO 2001-JP7321	W 20010827
OTHER SOURCE(S):		MARPAT 136:232201		
GI				



AB The title compds. I [ring A = (un)substituted heterocyclic ring, etc.; X = bond, O, CO, etc.; ring B = Q1, etc.; ring V3 = hydrocarbon ring, etc.; W = CH, N; Y = CO, etc.; R21, R22 = H, halo, etc.; T1 = (CH2)<sub>n</sub>; n = 0 - 2; ring D = (un)substituted aryl, etc.] are prepared In an in vitro test (for CCR3 antagonism) using cells, compds. of this invention showed IC<sub>50</sub> values of 0.001 μM to 0.45 μM.

IT **403478-11-5P**

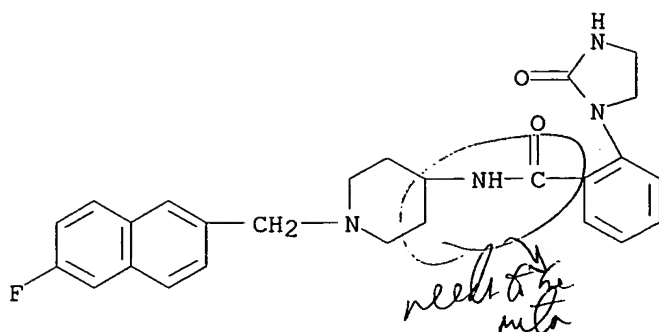
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of cyclic amine derivs. as CCR3 antagonists)

RN 403478-11-5 CAPLUS

CN Benzamide, N-[1-[(6-fluoro-2-naphthalenyl)methyl]-4-piperidinyl]-2-(2-oxo-1-imidazolidinyl)- (9CI) (CA INDEX NAME)





REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:701721 CAPLUS

DOCUMENT NUMBER: 136:70188

TITLE: Polyhydrazides based upon aromatic dihydrazines

AUTHOR(S): Caraculacu, A. A.; Scortanu, E.; Hitruc, E. G.

CORPORATE SOURCE: Institute of Macromolecular Chemistry "P. Poni", Iasi, 6600, Rom.

SOURCE: European Polymer Journal (2001), 37(12), 2491-2497  
CODEN: EUPJAG; ISSN: 0014-3057

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The paper deals with the study of the polycondensation reaction between two aromatic dihydrazines (bis(4-hydrazinophenyl)methane (BHPM) and bis(4-hydrazinophenyl)sulfone) and two diacyl chlorides (1,3-bis(4-chloroformyl-phenyl) parabanic acid and isophthaloyl chloride). The possibility of the side reaction during the polymer synthesis was studied by using model reactions and anal. by high performance liquid chromatog. The benzoyl Ph hydrazine hydrochloride complex was obtained as a relatively stable intermediate. By using a weak hydrogen chloride acceptor like pyridine, a controlled release of hydrogen chloride from this complex was performed and the secondary reactions were considerably reduced. Soluble polymers were so obtained even in the case of the reaction between BHPM and isophthaloyl chloride, which usually produces only insol. products. The thermal properties of the polymers were studied by thermogravimetric analyses and differential scanning calorimetry methods.

IT 383419-40-7P 383419-42-9P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(soluble polyhydrazides based upon aromatic dihydrazines)

RN 383419-40-7 CAPLUS

CN Poly[(2,4,5-trioxo-1,3-imidazolidinediyl)-1,4-phenylenecarbonylhydrazo-1,4-phenylenemethylene-1,4-phenylenehydrazocarbonyl-1,4-phenylene] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 383419-42-9 CAPLUS

CN Poly[(2,4,5-trioxo-1,3-imidazolidinediyl)-1,4-phenylenecarbonylhydrazo-1,4-phenylenesulfonyl-1,4-phenylenehydrazocarbonyl-1,4-phenylene] (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

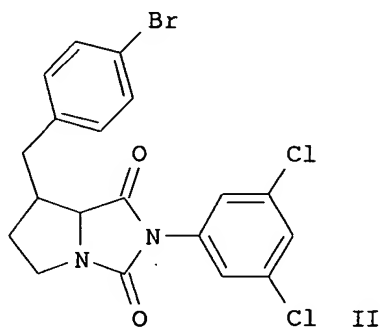
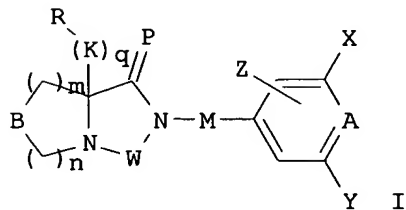
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:319894 CAPLUS

DOCUMENT NUMBER: 134:326532

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001030781	A2	20010503	WO 2000-US29273	20001019
WO 2001030781	A3	20011122		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2388639	AA	20010503	CA 2000-2388639	20001019
BR 2000014651	A	20020618	BR 2000-14651	20001019
JP 2003512468	T2	20030402	JP 2001-533134	20001019
EP 1307455	A2	20030507	EP 2000-976625	20001019
EP 1307455	B1	20050406		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
NZ 518369	A	20030926	NZ 2000-518369	20001019
AU 778757	B2	20041216	AU 2001-14370	20001019
AT 292634	E	20050415	AT 2000-976625	20001019
US 6897225	B1	20050524	US 2002-111110	20001019
PRIORITY APPLN. INFO.:			US 1999-160629P	P 19991020
			US 2000-209847P	P 20000607
			WO 2000-US29273	W 20001019
OTHER SOURCE(S):	MARPAT 134:326532			
GI				



AB Title compds. (I) [wherein A = :CZ1 or :N; B = CH:CH, S, SO, SO2, O, or (un)substituted N or CH2; K = CH2, CHOH, CO, or CF2, M = a bond, (CH2)p,

CO, or NH; W = CQ, CR6C(:Q), or C(:Q)CR6; X and Y = independently H, halo, NO2, CN, alkylthio, (halo)alkyl, alkoxy, acyl, or (un)substituted amino or (hetero)aryl; Z and Z1 = independently H, OH, halo, NO2, CF3, acyl, (un)substituted amino, carbamoyl, or alkoxy; P and Q = independently O or S; R = (un)substituted (hetero)aryl; R6 = H or (un)substituted alkyl; m = 0-3; n = 0-2; p and q = independently 1 or 2; or a pharmaceutically acceptable salt thereof] were prepared as inhibitors of  $\alpha\beta 2$  mediated cell adhesion. For example, 4-bromobenzyl bromide was added to N-(tert-butoxycarbonyl)proline Me ester in THF, the proline deprotected using TFA, 3,5-dichlorophenyl isocyanate added in the presence of DIEA in THF, and the dichlorophenylcarbamoyl derivative cyclized using NaOEt in EtOH to afford II. In the Jurkat/ICAM-1 adhesion assay, I gave IC50 values from low nM to  $\mu$ M. I are useful in the treatment of a variety of inflammatory diseases, including psoriasis, rheumatoid arthritis, inflammatory bowel diseases, systemic lupus erythematosus, atopic dermatitis, Sjogren's Syndrome, rejection after transplantation, and graft vs. host disease (no data).

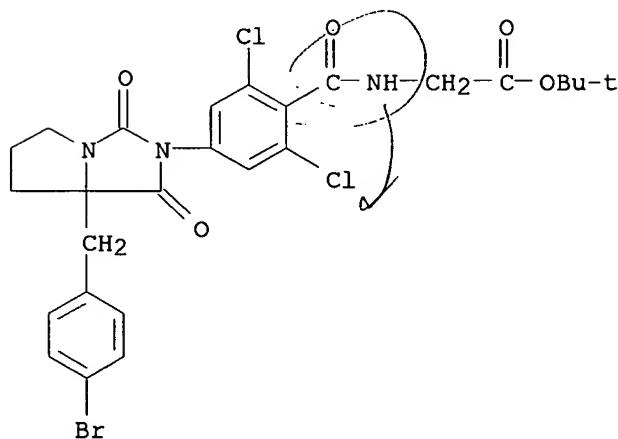
IT **336818-39-4P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of 3-(hetero)aryl-1,3-diazabicyclo[3.3.0]octane-2,4-diones and analogs as inhibitors of  $\alpha\beta 2$  mediated cell adhesion by cyclization and reaction of N-[(hetero)arylcarbamoyl]proline derivs.)

RN 336818-39-4 CAPLUS

CN Glycine, N-[4-[7a-[(4-bromophenyl)methyl]tetrahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl]-2,6-dichlorobenzoyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



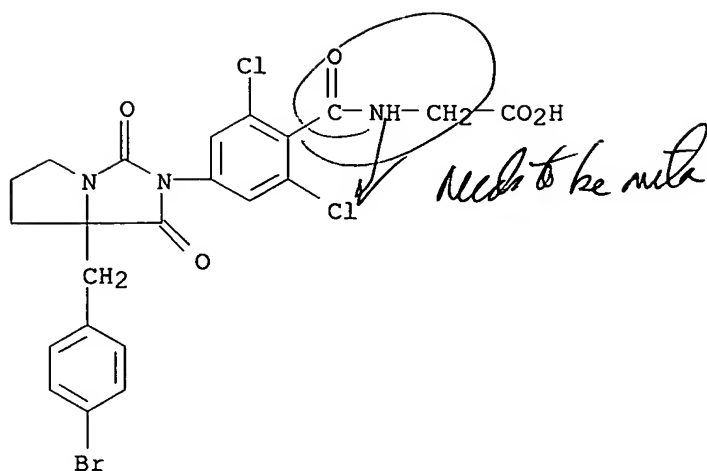
IT **336815-35-1P 336815-37-3P 336815-39-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 3-(hetero)aryl-1,3-diazabicyclo[3.3.0]octane-2,4-diones and analogs as inhibitors of  $\alpha\beta 2$  mediated cell adhesion by cyclization and reaction of N-[(hetero)arylcarbamoyl]proline derivs.)

RN 336815-35-1 CAPLUS

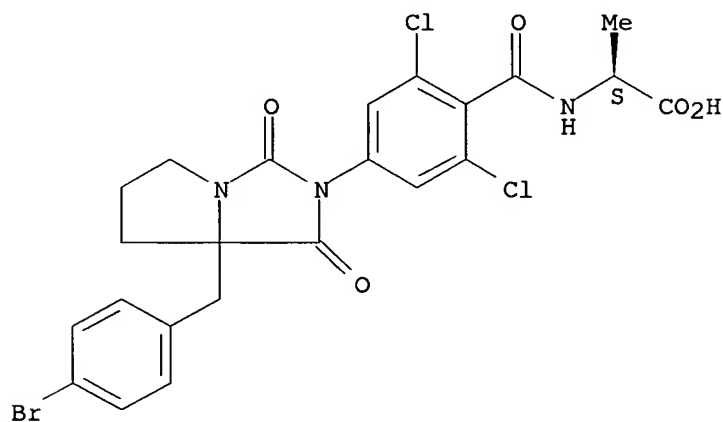
CN Glycine, N-[4-[7a-[(4-bromophenyl)methyl]tetrahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl]-2,6-dichlorobenzoyl]- (9CI) (CA INDEX NAME)



RN 336815-37-3 CAPLUS

CN L-Alanine, N-[4-[7a-[(4-bromophenyl)methyl]tetrahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl]-2,6-dichlorobenzoyl]- (9CI) (CA INDEX NAME)

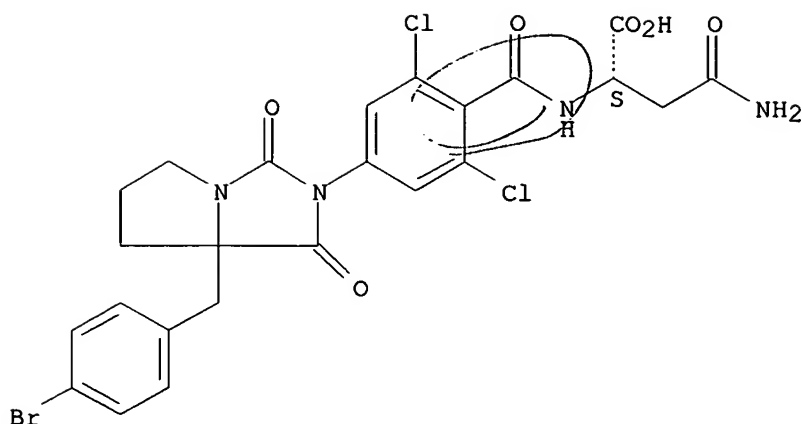
Absolute stereochemistry.



RN 336815-39-5 CAPLUS

CN L-Asparagine, N2-[4-[7a-[(4-bromophenyl)methyl]tetrahydro-1,3-dioxo-1H-pyrrolo[1,2-c]imidazol-2(3H)-yl]-2,6-dichlorobenzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 16 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:180354 CAPLUS

DOCUMENT NUMBER: 134:360991

TITLE: Discovery of an Orally Active Non-Peptide Fibrinogen Receptor Antagonist Based on the Hydantoin Scaffold  
 AUTHOR(S): Stilz, Hans Ulrich; Guba, Wolfgang; Jablonka, Bernd; Just, Melitta; Klingler, Otmar; Koenig, Wolfgang; Wehner, Volkmar; Zoller, Gerhard

CORPORATE SOURCE: Chemistry and DG Cardiovascular Agents, Aventis Pharma AG, Frankfurt am Main, D-65926, Germany

SOURCE: Journal of Medicinal Chemistry (2001), 44(8), 1158-1176

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:360991

AB Antagonists of the platelet fibrinogen receptor (GP IIb/IIIa receptor) are expected to be a promising new class of antithrombotic agents. The binding of fibrinogen to the fibrinogen receptor depends on an Arg-Gly-Asp-Ser (RGDS) tetrapeptide recognition motif. Structural modifications of the RGDS lead have led to the discovery of a non-peptide RGD mimetic GP IIb/IIIa antagonist (S 1197). S 1197 inhibited, in a dose dependent and reversible manner, human and dog platelet aggregation as well as 125I-fibrinogen binding to ADP-activated human gel filtered platelets and isolated GP IIb/IIIa with  $K_i$  values of 9 nM and 0.17 nM, resp. A pharmacophore mapping procedure with QXP and a 3D-QSAR anal. applying the GRID/GOLPE methodol. yielded a stable, rather predictive model and revealed structural features which are important for binding. Hydrophobic substitutions both at the hydantoin nucleus and at the C-terminus increase the affinity toward the fibrinogen receptor. The crystalline Et ester prodrug (HMR 1794) is an orally active antithrombotic agent which is a promising drug candidate for the treatment of thrombotic diseases in humans.

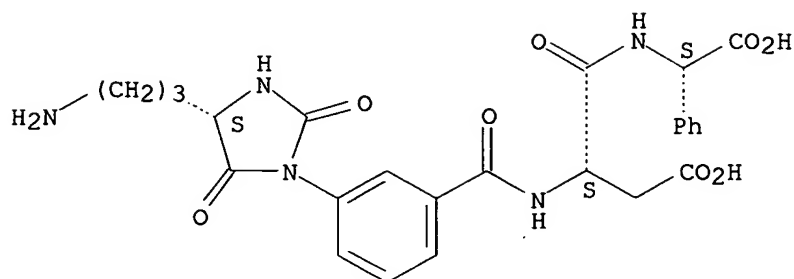
IT 340188-12-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (design, synthesis, antiplatelet effect and structure activity relationship of orally active non-peptide glycoprotein IIb/IIIa receptor antagonists)

RN 340188-12-7 CAPLUS

CN Glycine, N-[3-[(4S)-4-(3-aminopropyl)-2,5-dioxo-1-imidazolidinyl]benzoyl]-L- $\alpha$ -aspartyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



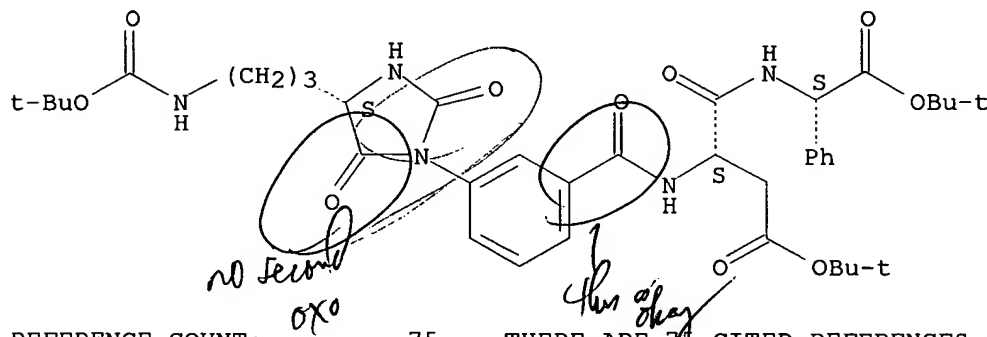
IT 340188-11-6

RL: RCT (Reactant); RACT (Reactant or reagent)  
(design, synthesis, antiplatelet effect and structure activity  
relationship of orally active non-peptide glycoprotein IIb/IIIa  
receptor antagonists)

RN 340188-11-6 CAPLUS

CN Glycine, N-[3-[(4S)-4-[3-[[[(1,1-dimethylethoxy)carbonyl]amino]propyl]-2,5-dioxo-1-imidazolidinyl]benzoyl]-L- $\alpha$ -aspartyl-2-phenyl-,  
bis(1,1-dimethylethyl) ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

75

THERE ARE 75 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:767272 CAPLUS

DOCUMENT NUMBER: 134:127680

TITLE: Hydrolysis of 5,10-Methenyltetrahydrofolate to  
5-Formyltetrahydrofolate at pH 2.5 to 4.5

AUTHOR(S): Baggott, Joseph E.

CORPORATE SOURCE: Department of Nutrition Sciences, University of  
Alabama at Birmingham, Birmingham, AL, 35294, USA

SOURCE: Biochemistry (2000), 39(47), 14647-14653

CODEN: BICHAW; ISSN: 0006-2960

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB At pH 4.0 to 4.5, 5,10-methenyltetrahydrofolate is hydrolyzed to only  
5-formyltetrahydrofolate if reducing agents are present or iron-redox  
cycling is suppressed. At pH 4.0, the equilibrium position for this hydrolysis  
is approx. equal concns. of both folates. If no reducing agents are used  
or iron-redox cycling is promoted, considerable amts. of  
10-formyldihydrofolate are also formed. It is likely that  
10-formyldihydrofolate has been misidentified as 5,10-  
hydroxymethylenetetrahydrofolate, which was reported to accumulate during

the hydrolysis of 5,10-methenyltetrahydrofolate to 5-formyltetrahydrofolate [Stover, P. and Schirch, V. (1992) Biochem. 31, 2148-2155 and 2155-2164; (1990) J. Biol. Chemical 265, 14227-14233]. Since 5,10-hydroxymethylenetetrahydrofolate is reported to be the viable in vivo substrate for serine hydroxymethyltransferase-catalyzed formation of 5-formyltetrahydrofolate, and 5,10-hydroxymethylenetetrahydrofolate probably does not accumulate, the above folate metabolism is now doubtful. It is hypothesized that mildly acidic subcellular organelles provide an environment for the hydrolysis of 5,10-methenyltetrahydrofolate to 5-formyltetrahydrofolate in vivo, and there is no requirement for enzyme catalysis. Finally, 10-formyltetrahydrofolate is susceptible to iron-catalyzed oxidation to 10-formyldihydrofolate at pH 4 to 4.5.

IT 321861-52-3

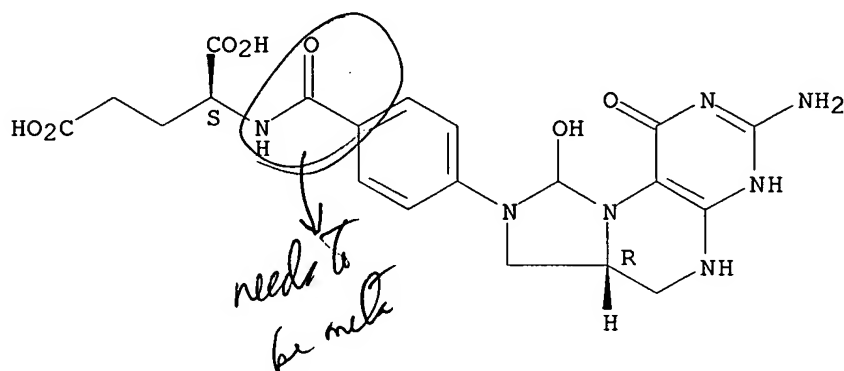
RL: BSU (Biological study, unclassified); FMU (Formation, unclassified); BIOL (Biological study); FORM (Formation, nonpreparative)

(hydrolysis of 5,10-ethenyltetrahydrofolate to 5-formyltetrahydrofolate at pH 2.5 to 4.5)

RN 321861-52-3 CAPLUS

CN L-Glutamic acid, N-[4-[(6aR)-3-amino-1,2,5,6,6a,7-hexahydro-9-hydroxy-1-oxoimidazo[1,5-f]pteridin-8(9H)-yl]benzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:281217 CAPLUS

DOCUMENT NUMBER: 133:146855

TITLE: Structures of three inhibitor complexes provide insight into the reaction mechanism of the human methylenetetrahydrofolate dehydrogenase/cyclohydrolase  
 AUTHOR(S): Schmidt, Andrea; Wu, Haiping; MacKenzie, Robert E.; Chen, Victor J.; Bewly, Jesse R.; Ray, James E.; Toth, John E.; Cygler, Mirosław

CORPORATE SOURCE: Biotechnology Research Institute, National Research Council of Canada Montreal Joint Centre for Structural Biology, Montreal, QC, H4P 2R2, Can.

SOURCE: Biochemistry (2000), 39(21), 6325-6335  
 CODEN: BICHAW; ISSN: 0006-2960

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

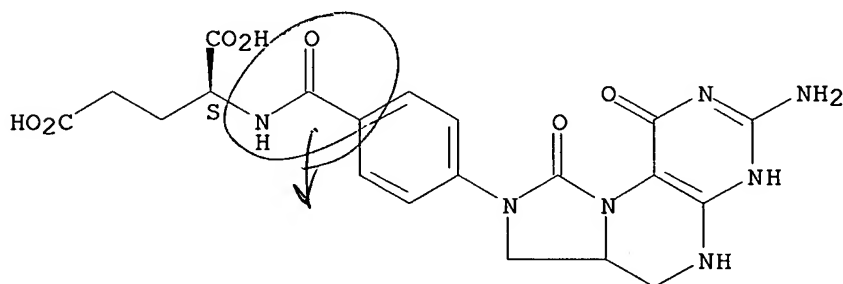
LANGUAGE: English

AB Enzymes involved in tetrahydrofolate metabolism are of particular pharmaceutical interest, as their function is crucial for amino acid and DNA biosynthesis. The crystal structure of the human cytosolic methylenetetrahydrofolate dehydrogenase-methenyltetrahydrofolate cyclohydrolase domain (DC301) of a trifunctional enzyme was determined previously with a bound NADP cofactor. While the substrate binding site was identified to be localized in a deep and rather hydrophobic cleft at

the interface between 2 protein domains, the unambiguous assignment of catalytic residues was not possible. The authors succeeded in determining the crystal structures of 3 ternary DC301·NADP·inhibitor complexes. Investigation of these structures followed by site-directed mutagenesis studies allowed identification of the amino acids involved in catalysis by both enzyme activities. The inhibitors bound close to Lys-56 and Tyr-52, residues of a strictly conserved motif for active sites in dehydrogenases. Whereas Lys-56 was in a good position for chemical interaction with the substrate analog, Tyr-52 was found stacking against the inhibitors' aromatic rings and hence appeared to be more important for proper positioning of the ligand than for catalysis. In addition, Ser-49 and/or Cys-147 were found to possibly act as an activator for water in the cyclohydrolase step. These and the other residues (Gln-100 and Asp-125), with which contacts were made, were strictly conserved in THF dehydrogenases. On the basis of structural and mutagenesis data, the authors propose a reaction mechanism for both activities, the dehydrogenase and the cyclohydrolase.

IT 10538-99-5D, Ly 354899, complexes with methylenetetrahydrofolate dehydrogenase/cyclohydrolase and NADP  
 RL: PRP (Properties)  
 (crystal structure of human methylenetetrahydrofolate dehydrogenase/cyclohydrolase complexes with inhibitors and NADP)  
 RN 10538-99-5 CAPLUS  
 CN L-Glutamic acid, N-[4-(3-amino-1,2,5,6,6a,7-hexahydro-1,9-dioxoimidazo[1,5-f]pteridin-8(9H)-yl)benzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1999:723021 CAPLUS  
 DOCUMENT NUMBER: 131:337022  
 TITLE: Preparation of condensed imidazole derivative as therapeutic agents for liver disease  
 INVENTOR(S): Nagasawa, Masaaki; Nishioka, Hiroyasu; Suzuki, Takanori; Segawa, Yoshihide; Tsuzuike, Naoki  
 PATENT ASSIGNEE(S): Nippon Chemiphar Co., Ltd., Japan; Zeria Pharmaceutical Co., Ltd.  
 SOURCE: PCT Int. Appl., 126 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9957103	A1	19991111	WO 1999-JP2309	19990430
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU,				



ID, IL, IN, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO,  
 NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM,  
 AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,  
 ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,  
 CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

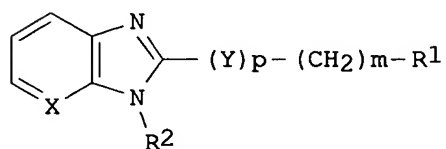
JP 1998-136045

A 19980430

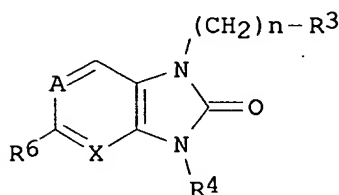
OTHER SOURCE(S):

MARPAT 131:337022

GI



I



II

AB Title compds. I and II (X, Z = N, CH; A = N, CR5; Y = O, S, SO, SO2, NH; p = 0, 1; m = 0, 1, 2; n = 1, 2; R1 = Ph, pyridyl, etc; R2, R4 = Ph, pyridyl, substituted Ph, etc.; and R5, R6 = H; R5R6 = an atom group forming an aromatic ring together with the carbon atoms to which they are attached) and their pharmaceutically acceptable salts, useful as a therapeutic agents for liver diseases with no serious adverse effect, are prepared Thus, refluxing 2-(3-nitrophenylamino)nicotinic acid with diphenylphosphoryl azide in toluene in the presence of Et3N gave 3-(3-nitrophenyl)-1,3-dihydroimidazo[4,5-b]pyridine, refluxing of which with PCl5 and POCl3 gave, after treatment with 3-hydroxypyridine and NaH in DMF, 3-(3-nitrophenyl)-2-(3-pyridyl)oxy-3H-imidaz[4,5-b]pyridine. 1-(4-Pyridyl)methyl-3-(3-nitrophenyl)-1,3-dihydroimidazo[4,5-b]pyridine administered 30 mg/kg orally to BALB/C mice prior to i.v. administration of Con-A inhibited the Con-A induced liver damage as reflected by blood GPT levels.

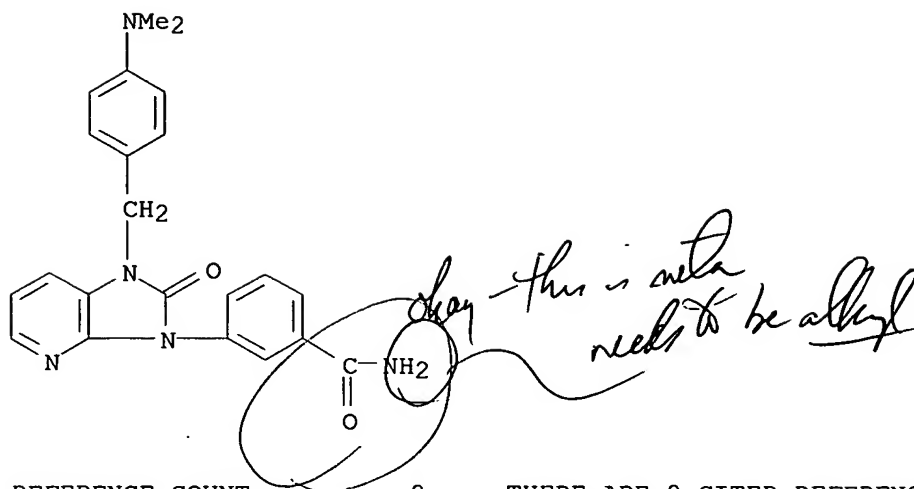
IT **249605-72-9P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of condensed imidazole derivs. as therapeutic agents for liver disease)

RN 249605-72-9 CAPLUS

CN Benzamide, 3-[1-[[4-(dimethylamino)phenyl]methyl]-1,2-dihydro-2-oxo-3H-imidazo[4,5-b]pyridin-3-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

9

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:137450 CAPLUS

DOCUMENT NUMBER: 130:267727

TITLE: Resin-to-Resin Acyl- and Aminoacyl-Transfer Reactions Using Oxime Supports

AUTHOR(S): Hamuro, Yoshitomo; Scialdone, Mark A.; DeGrado, William F.

CORPORATE SOURCE: Department of Biochemistry and Biophysics School of Medicine, University of Pennsylvania, Philadelphia, PA, 19104-6059, USA

SOURCE: Journal of the American Chemical Society (1999), 121(8), 1636-1644

CODEN: JACSAT; ISSN: 0002-7863

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A convergent approach to solid-phase synthesis is described in which two fragments of a mol. are synthesized on independent supports and then condensed in a key resin-to-resin transfer reaction. This approach has been utilized for the synthesis of amides and ureas by transferring acyl groups and aminoacyl groups from p-nitrophenyl(polystyrene)ketoxime resin to amino acid-functionalized Wang resins. Oxime resin-derived esters of peptides undergo transacylation to a solution-phase nucleophilic activator which then transfers the peptide to another resin bearing a nucleophilic amine terminus, resulting in amide bond formation. Likewise, oxime resin-derived carbamates, prepared from phosgenated p-nitrophenyl(polystyrene)ketoxime resin, undergo thermolytic isocyanate liberation in solution, which reacts with a second resin bearing a nucleophilic amino terminus resulting in urea bond formation.

IT 221898-66-4P

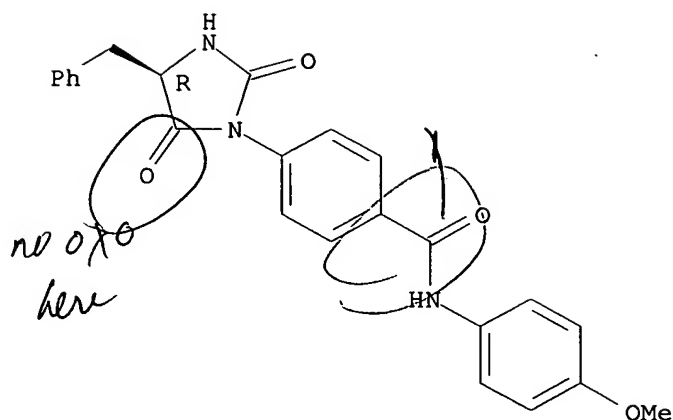
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of peptides, amides, and ureas via resin-to-resin acyl and aminoacyl transfer reactions using oxime supports)

RN 221898-66-4 CAPLUS

CN Benzamide, 4-[(4R)-2,5-dioxo-4-(phenylmethyl)-1-imidazolidinyl]-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 65 THERE ARE 65 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:680995 CAPLUS

DOCUMENT NUMBER: 130:60718

TITLE: The antiproliferative and cell cycle effects of 5,6,7,8-tetrahydro-N5,N10-carboxylfolic acid, an inhibitor of methylenetetrahydrofolate dehydrogenase, are potentiated by hypoxanthine

AUTHOR(S): Tonkinson, John L.; Habeck, Lillian L.; Toth, John E.; Mendelsohn, Laurane G.; Bewley, Jesse; Shackelford, Katherine A.; Gates, Susan B.; Ray, James; Chen, Victor J.

CORPORATE SOURCE: Cancer Research Division, Lilly Research Laboratories, Lilly Corporate Center, Eli Lilly and Company, Indianapolis, IN, USA

SOURCE: Journal of Pharmacology and Experimental Therapeutics (1998), 287(1), 315-321

CODEN: JPETAB; ISSN: 0022-3565

PUBLISHER: Williams & Wilkins

DOCUMENT TYPE: Journal

LANGUAGE: English

AB 5,6,7,8-Tetrahydro-N5,N10-carboxylfolic acid (LY354899) has been demonstrated to inhibit the dehydrogenase activity of C1-tetrahydrofolate synthase. This compound was only moderately antiproliferative toward CCRF-CEM lymphocytic leukemia cells in culture, but induced apoptosis after long incubation times. Slightly greater potency was observed in CEM cells adapted to grow in low folate media. Cell cycle alterations induced by LY354899 were unique relative to antifolates that inhibit either the purine or thymidine de novo biosynthetic pathways. Based on the observed changes in DNA content, we hypothesized that inhibition of the dehydrogenase resulted in two temporally distinct events: the first was a purineless-like effect and the second was a thymineless-like effect that resulted in apoptosis. To test this hypothesis, we combined LY354899 with the purine salvage metabolite, hypoxanthine. This combination resulted in an earlier and more dramatic apoptotic response, indicating that the thymineless effect had been potentiated. Biochem. anal. of ribo- and deoxyribonucleoside triphosphates confirmed that inhibition of the dehydrogenase activity initially resulted in decreased pools of deoxypurines and deoxypyrimidines, followed 16 h later by an increase in deoxyadenosine triphosphate (dATP) and a further decrease in deoxythymidine triphosphate (dTTP). These studies demonstrate that the inhibition of the dehydrogenase activity of C1-tetrahydrofolate synthase may represent a viable target for the development of novel antifolates.

The results are discussed in terms of deoxypurine and deoxypyrimidine biosynthesis.

IT 10538-99-5, LY 354899

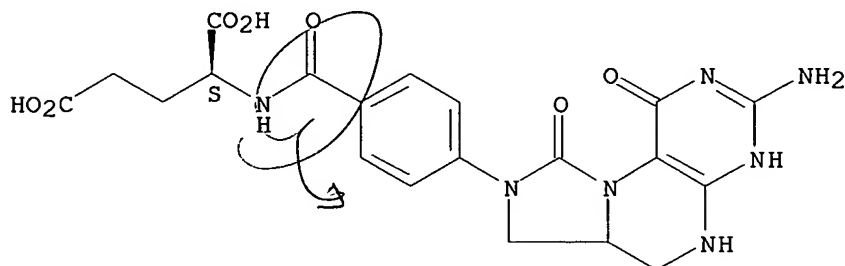
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antiproliferative and cell cycle effects of LY354899, inhibitor of methylenetetrahydrofolate dehydrogenase, are potentiated by hypoxanthine)

RN 10538-99-5 CAPLUS

CN L-Glutamic acid, N-[4-(3-amino-1,2,5,6,6a,7-hexahydro-1,9-dioxoimidazo[1,5-f]pteridin-8(9H)-yl)benzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:398770 CAPLUS

DOCUMENT NUMBER: 125:109252

TITLE: Analysis and biochemistry of blood folate

AUTHOR(S): Lucock, M. D.; Daskalakis, I.; Schorah, C. J.; Levene, M. I.; Hartley, R.

CORPORATE SOURCE: Acad. Unit. of Paediatrics and Child Health, Univ. of Leeds, Leeds, LS2 9NS, UK

SOURCE: Biochemical and Molecular Medicine (1996), 58(1), 93-112

CODEN: BMMEF4; ISSN: 1077-3150

PUBLISHER: Academic

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Although the anal. of low plasma concns. of 5-methyltetrahydrofolate by several specific HPLC methods has been reported, considerably fewer routine chromatog. techniques exist for the anal. of specific folate coenzymes in the erythrocyte where a nonspecific bioassay indicates that the vitamin achieves a level 10 times higher than that in plasma. By using 3 sep. folylpolyglutamate deconjugation procedures and combining an extraction technique which adequately preserves all native folate coenzymes with an HPLC technique utilizing fluorescence, diode array, and off-line radioassay detection capable of resolving all crucial native folates in their monoglutamyl forms, we were unable to demonstrate levels of 5-methyltetrahydrofolate in whole blood hemolyzate beyond what might be expected from the plasma component. While the exact nature of erythrocyte folate could not be ascertained, we provide evidence that a proportion of it may exist at the formyl level of oxidation. The complex pH and enzymic interrelation between folate coenzymes at the formyl oxidation level is discussed in terms of our extraction technique and findings, as well as in a broader biol. context. This paper also describes a simple acid precipitation technique for measuring plasma 5-methyltetrahydrofolate, as well as providing comprehensive data on the chromatog. behavior of the

folylmonoglutamates in reversed-phase and weak anion-exchange modes, including useful spectral data for optimizing detection parameters and identifying individual coenzymes. 10-Formyltetrahydrofolate and 5-methyltetrahydrofolate are the two most important 1-carbon-substituted folate coenzymes. 10-Formyltetrahydrofolate is unavailable com., probably due to its instability. We chart the chemical synthesis of this important coenzyme and show that it and what is thought to be 5,10-hydroxymethylenetetrahydrofolate are actually minor products compared to the parent 5,10-methenyltetrahydrofolate and the ultimate reaction product, 5-formyltetrahydrofolate. Since intraerythrocyte folate binds to a specific Hb site, we ascertained the total number of binding sites on Hb (Bmax) and the equilibrium dissociation constant (Kd) for

5-methyltetrahydrofolate,

5-formyltetrahydrofolate, and the antimetabolite methotrexate. Binding affinities were consistent with a low-affinity, low-capacity interaction for all three. It was demonstrated that Hb has a greater affinity for 5-methyltetrahydrofolate than for the other folate derivs. ( $K_d = 1.2 + 10^{-3}M$ ), while rather surprisingly, methotrexate had a higher affinity for Hb than did 5-formyltetrahydrofolate ( $K_d = 2.5 + 10^{-3}$  and  $3.7 + 10^{-3}M$ , resp.).

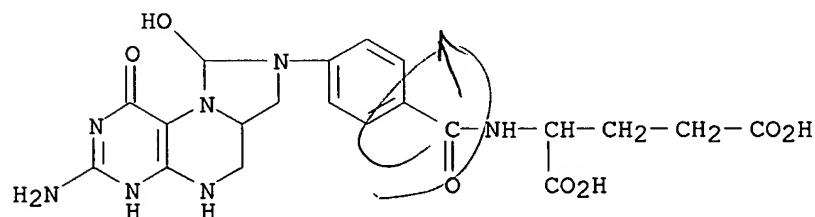
IT 139163-49-8

RL: ANT (Analyte); BPR (Biological process); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study); PROC (Process)

(anal. for and biochem. of blood folates)

RN 139163-49-8 CAPLUS

CN L-Glutamic acid, N-[4-(3-amino-1,4,5,6,6a,7-hexahydro-9-hydroxy-1-oxoimidazo[1,5-f]pteridin-8(9H)-yl)benzoyl]-, (6aR-cis)- (9CI) (CA INDEX NAME)



L4 ANSWER 23 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:190874 CAPLUS

DOCUMENT NUMBER: 124:261061

TITLE: Preparation of 2-phenyl-7-chloroperhydroimidazo[1,5-a]pyridine herbicides for controlling undesired weeds

INVENTOR(S): Seckinger, Karl; Mohanty, Sasank Sekhar; Milzner, Karlheinz; Kuhnen, Fred

PATENT ASSIGNEE(S): Sandoz Ltd., Switz.; Sandoz-Patent-GmbH; Sandoz-Erfindungen Verwaltungsgesellschaft m.b.H.

SOURCE: Eur. Pat. Appl., 24 pp. CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

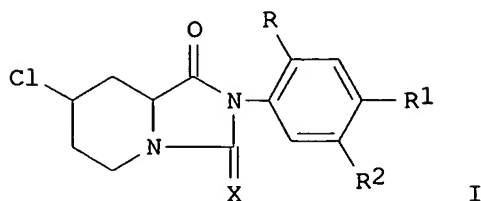
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 688773	A1	19951227	EP 1995-810410	19950620
EP 688773	B1	19980520		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE

US 5665681/  
JP 08053449  
PRIORITY APPLN. INFO.:  
OTHER SOURCE(S):  
GI

A 19970909 US 1995-492687 19950620  
A2 19960227 JP 1995-154600 19950621  
GB 1994-12603 A 19940623  
CASREACT 124:261061; MARPAT 124:261061



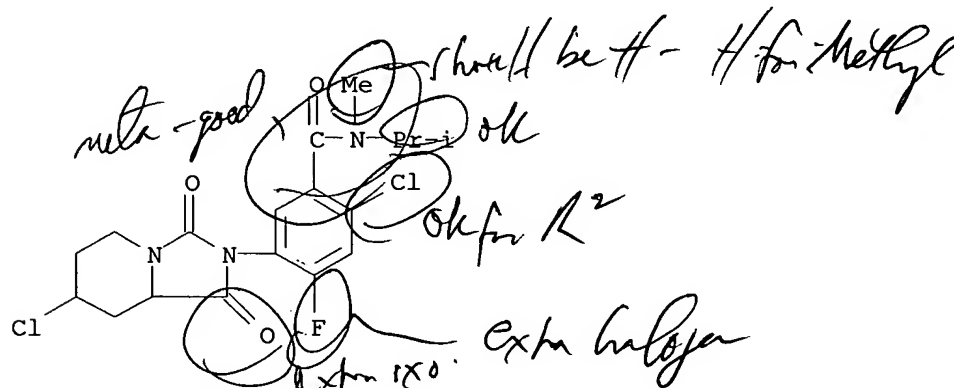
AB The title compds. (I; X = O, S; R = H, Cl, F; R1 = F, Cl, Br, CN, Me; R2 = halogen, C 1-6 alkyl, Cl-6 alkoxy, Cl-6 alkylcarbonyloxy, C3-6 cycloalkoxy, C3-6 alkynyloxy, C3-6 alkenyloxy, CO2H, etc.), useful as herbicides for the control of undesired weeds, are prepared Thus, 4-chloro-2-piperidinecarboxylic acid Me ester hydrochloride was reacted with the isocyanate of Me 2-chloro-4-fluoro-5-aminocinnamate, producing herbicidal Me 2-chloro-4-fluoro-5-(7-chloroperhydroimidazo[1,5-a]pyridine-1,3-dione-2-yl)cinnamate, m.p. 162-163°.

IT 174797-36-5P 174797-37-6P 174797-38-7P  
174797-39-8P 174797-40-1P 174797-41-2P  
174797-42-3P 174797-43-4P 174797-44-5P  
174797-45-6P

RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of 2-phenyl-7-chloroperhydroimidazo[1,5-a]pyridine herbicides for controlling undesired weeds)

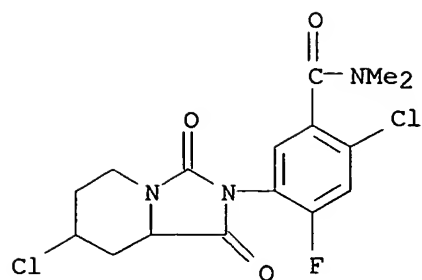
RN 174797-36-5 CAPLUS

CN Benzamide, 2-chloro-5-(7-chlorohexahydro-1,3-dioxoimidazo[1,5-a]pyridin-2(3H)-yl)-4-fluoro-N-methyl-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



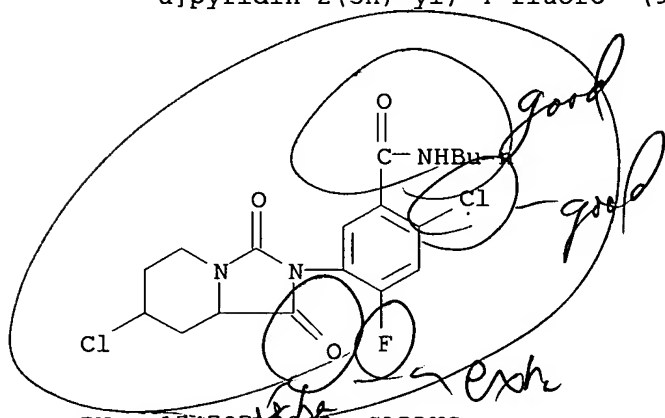
RN 174797-37-6 CAPLUS

CN Benzamide, 2-chloro-5-(7-chlorohexahydro-1,3-dioxoimidazo[1,5-a]pyridin-2(3H)-yl)-4-fluoro-N,N-dimethyl- (9CI) (CA INDEX NAME)



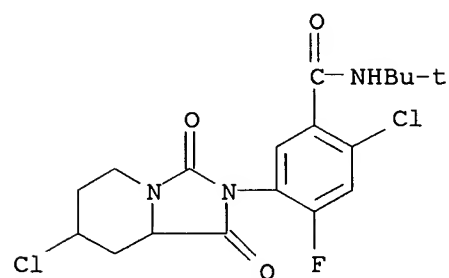
RN 174797-38-7 CAPLUS

CN Benzamide, N-butyl-2-chloro-5-(7-chlorohexahydro-1,3-dioxoimidazo[1,5-a]pyridin-2(3H)-yl)-4-fluoro- (9CI) (CA INDEX NAME)



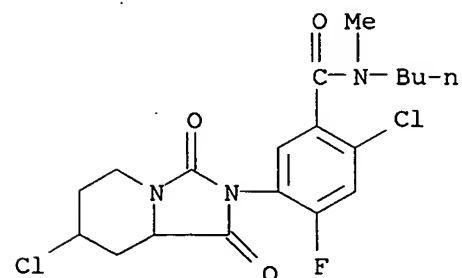
RN 174797-39-8 CAPLUS

CN Benzamide, 2-chloro-5-(7-chlorohexahydro-1,3-dioxoimidazo[1,5-a]pyridin-2(3H)-yl)-N-(1,1-dimethylethyl)-4-fluoro- (9CI) (CA INDEX NAME)

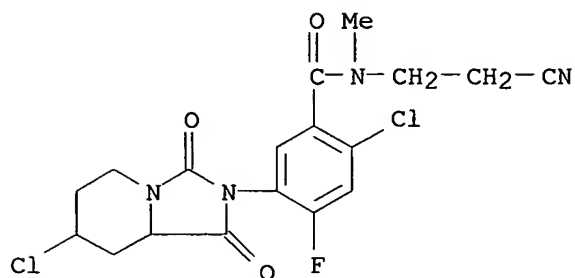


RN 174797-40-1 CAPLUS

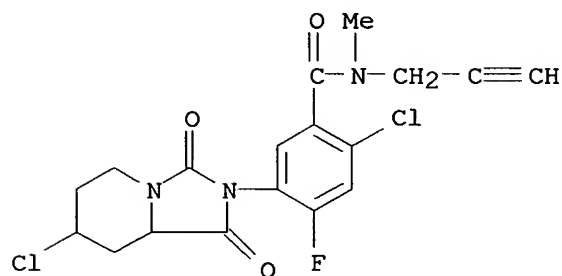
CN Benzamide, N-butyl-2-chloro-5-(7-chlorohexahydro-1,3-dioxoimidazo[1,5-a]pyridin-2(3H)-yl)-4-fluoro-N-methyl- (9CI) (CA INDEX NAME)



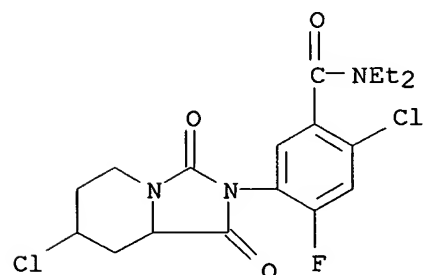
RN 174797-41-2 CAPLUS  
 CN Benzamide, 2-chloro-5-(7-chlorohexahydro-1,3-dioxoimidazo[1,5-a]pyridin-2(3H)-yl)-N-(2-cyanoethyl)-4-fluoro-N-methyl- (9CI) (CA INDEX NAME)



RN 174797-42-3 CAPLUS  
 CN Benzamide, 2-chloro-5-(7-chlorohexahydro-1,3-dioxoimidazo[1,5-a]pyridin-2(3H)-yl)-4-fluoro-N-methyl-N-2-propynyl- (9CI) (CA INDEX NAME)

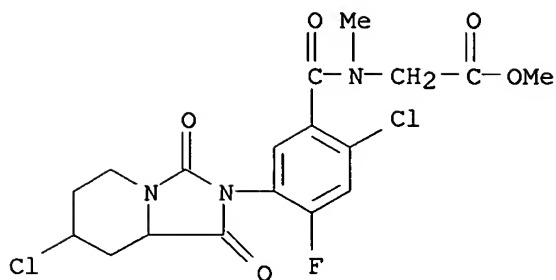


RN 174797-43-4 CAPLUS  
 CN Benzamide, 2-chloro-5-(7-chlorohexahydro-1,3-dioxoimidazo[1,5-a]pyridin-2(3H)-yl)-N,N-diethyl-4-fluoro- (9CI) (CA INDEX NAME)



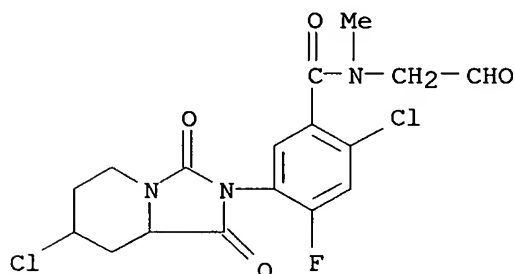
RN 174797-44-5 CAPLUS  
 CN Glycine, N-[2-chloro-5-(7-chlorohexahydro-1,3-dioxoimidazo[1,5-a]pyridin-2(3H)-yl)-4-fluorobenzoyl]-N-methyl-, methyl ester (9CI) (CA INDEX NAME)





RN 174797-45-6 CAPLUS

CN Benzamide, 2-chloro-5-(7-chlorohexahydro-1,3-dioxoimidazo[1,5-a]pyridin-2(3H)-yl)-4-fluoro-N-methyl-N-(2-oxoethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 24 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:836904 CAPLUS

DOCUMENT NUMBER: 123:257642

TITLE: Synthesis of metal-containing polyureas with a parabanic structure

AUTHOR(S): Caraculacu, Georgeta; Gaina, Constantin; Caraculacu, Adrian A.; Stoica, Gheorghe

CORPORATE SOURCE: Inst. Macromol. Chem. "P. Poni", Iassy, 6600, Rom.

SOURCE: European Polymer Journal (1995), 31(10), 987-91

CODEN: EUPJAG; ISSN: 0014-3057

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Polyureas containing parabanic acid groups were prepared by polymerizing bis(p-isocyanato)parabanic acid with the Mg salt of p-aminobenzoic acid, optionally containing 4,4'-methylenedianiline or 4,4'-oxydianiline. The polymers were characterized by IR and inherent viscosity measurements and their elec. conducting properties are reported.

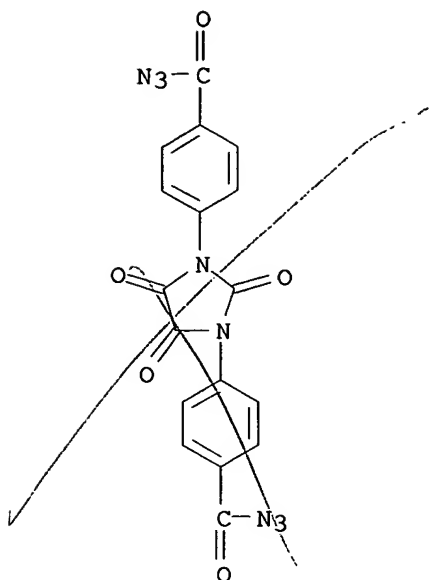
IT **169391-38-2P**, 1,3-Bis(p-azidocarbonylphenyl)parabanic acid

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; in preparation of Mg-containing parabanic acid derivative polyureas)

RN 169391-38-2 CAPLUS

CN Benzoyl azide, 4,4'-(2,4,5-trioxo-1,3-imidazolidinediyl)bis- (9CI) (CA INDEX NAME)



L4 ANSWER 25 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1994:580236 CAPLUS

DOCUMENT NUMBER: 121:180236

TITLE: Preparation of imidazolidin derivatives as blood platelet aggregation inhibitors

INVENTOR(S): Zoller, Gerhard; Jablonka, Bernd; Just, Melitta; Klingler, Otmar; Breipohl, Gerhard; Knolle, Jochen; Koenig, Wolfgang

PATENT ASSIGNEE(S): Cassella Aktiengesellschaft, Germany

SOURCE: Eur. Pat. Appl., 21 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

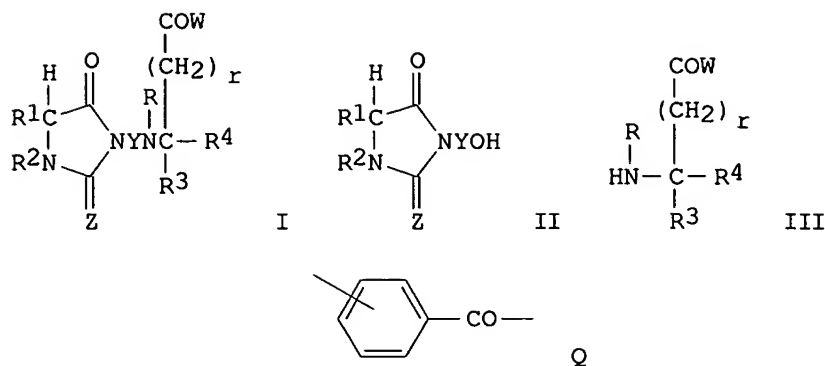
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 584694	A1	19940302	EP 1993-113143	19930817
EP 584694	B1	19980121		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
DE 4228717	A1	19940303	DE 1992-4228717	19920828
JP 07053590	A2	19950228	JP 1993-163037	19930701
JP 3578472	B2	20041020		
AT 162531	E	19980215	AT 1993-113143	19930817
ES 2112361	T3	19980401	ES 1993-113143	19930817
CZ 289077	B6	20011017	CZ 1993-1747	19930825
SK 282518	B6	20021008	SK 1993-909	19930825
CA 2105014	AA	19940301	CA 1993-2105014	19930827
CA 2105014	C	20031125		
AU 9344914	A1	19940303	AU 1993-44914	19930827
AU 663213	B2	19950928		
ZA 9306306	A	19940322	ZA 1993-6306	19930827
HU 65552	A2	19940628	HU 1993-2439	19930827
US 5554594	A	19960910	US 1995-456066	19950531
PRIORITY APPLN. INFO.:			DE 1992-4228717	A 19920828
			US 1993-98123	B1 19930727

OTHER SOURCE(S): CASREACT 121:180236; MARPAT 121:180236

GI

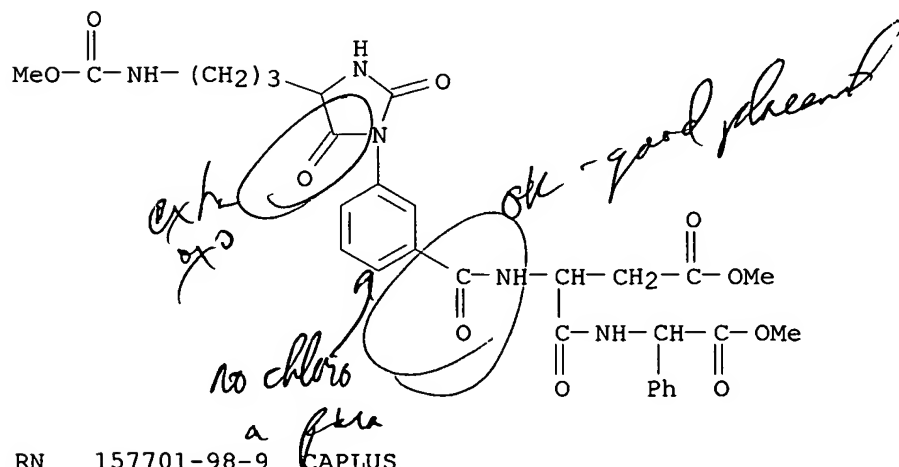


AB Title compds. I [R = H, C1-6 alkyl; R1 = (CH2)<sub>n</sub>-NH-X, etc.; n = 1-6 integer; X = H, C1-6 alkyl, C1-6 alkoxy, etc.; Y = Q; r = 0-3, W = OH, C1-28 alkyl, arylalkoxy, aryloxy, amino, mono- or dialkylamino; Z = O, S; R2 = H, C1-6 alkyl; R3 = O, phenyl; R4 = CO2-R5, CO-NMe-R5, CO-NH-R5; R5 = C1-28 alkyl, etc.], useful as blood platelet aggregation inhibitors (no data), are prepared via condensation of the benzoic acid derivative II with the amine III. E.g., condensation of N,Nω-bis(benzyloxycarbonyl)ornithine benzyl ester hydrochloride with Et m-isocyanatobenzoate in DMF containing N-ethylmorpholine gave N-(S)-[(benzyloxycarbonylamino)[3-(benzyloxycarbonylamino)propyl]methyl]-N'-(3-ethoxycarbonylphenyl)urea, which was cyclized in aqueous HCl at refluxing temperature to give 3-[5-(S)-(3-aminopropyl)-2,4-dioxoimidazolidin-3-yl]benzoic acid hydrochloride, which was N-acylated with ClCO2Me and the product was coupled with Me-O2C-CH2-CH(NH2)-CO-Phg-OMe trifluoroacetate [Phg = phenylglycine residue] to give I [R = R2 = R3 = H, R1 = (CH2)3-NHCO-OMe, R4 = CO-NH-CHPh-CO2Me, Y = m-Q, Z = O, W = MeO, r = 1].

IT 157701-97-8P 157701-98-9P 157702-06-2P  
157702-08-4P 157702-09-5P 157702-10-8P  
157702-11-9P 157702-12-0P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as blood platelet aggregation inhibitor)

RN 157701-97-8 CAPLUS

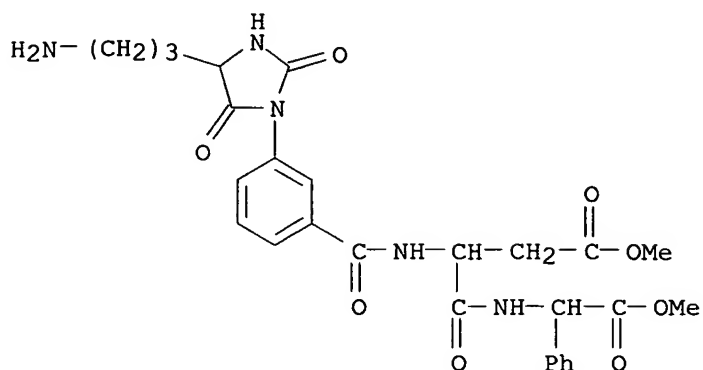
CN Glycine, N-[N-[3-[4-[3-[(methoxycarbonyl)amino]propyl]-2,5-dioxo-1-imidazolidinyl]benzoyl]-L-α-aspartyl]-L-2-phenyl-, dimethyl ester, (S)- (9CI) (CA INDEX NAME)



RN 157701-98-9 CAPLUS

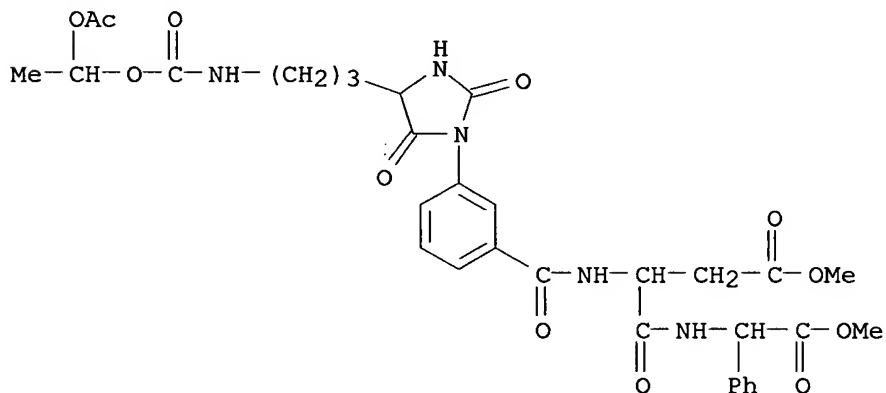
CN Glycine, N-[N-[3-[4-(3-aminopropyl)-2,5-dioxo-1-imidazolidinyl]benzoyl]-L-

$\alpha$ -aspartyl]-L-2-phenyl-, dimethyl ester, (S)- (9CI) (CA INDEX NAME)



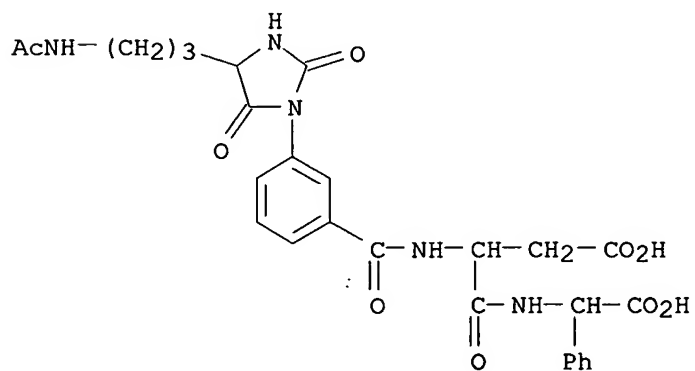
RN 157702-06-2 CAPLUS

CN Glycine, N-[N-[3-[4-[3-[[1-(acetyloxy)ethoxy]carbonyl]amino]propyl]-2,5-dioxo-1-imidazolidinyl]benzoyl]-L- $\alpha$ -aspartyl]-L-2-phenyl-, dimethyl ester (9CI) (CA INDEX NAME)



RN 157702-08-4 CAPLUS

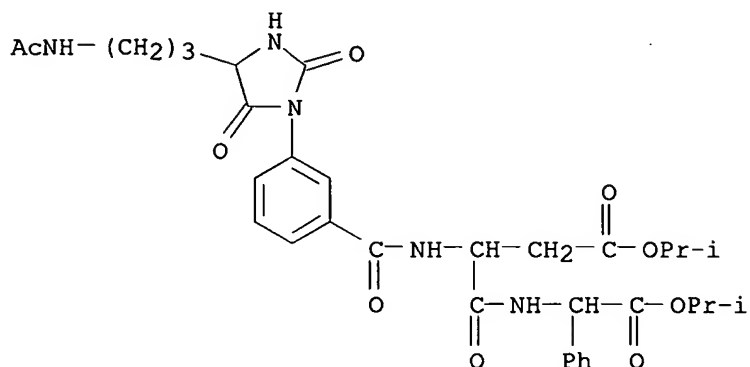
CN Glycine, N-[N-[3-[4-[3-(acetylamino)propyl]-2,5-dioxo-1-imidazolidinyl]benzoyl]-L- $\alpha$ -aspartyl]-L-2-phenyl-, (S)- (9CI) (CA INDEX NAME)



RN 157702-09-5 CAPLUS

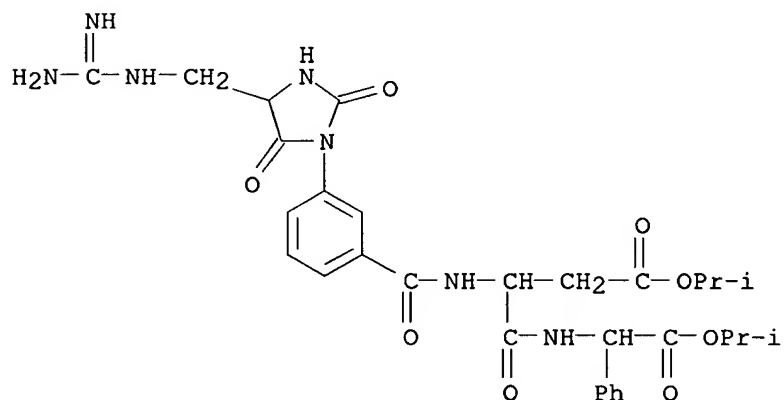
CN Glycine, N-[N-[3-[4-[3-(acetylamino)propyl]-2,5-dioxo-1-

imidazolidinyl]benzoyl]-L- $\alpha$ -aspartyl]-L-2-phenyl-,  
bis(1-methylethyl) ester, (S)- (9CI) (CA INDEX NAME)



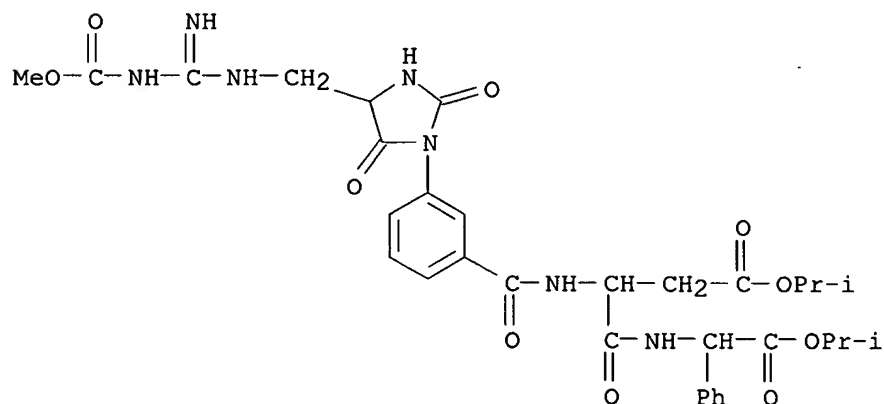
RN 157702-10-8 CAPLUS

CN Glycine, N-[N-[3-[4-[[2,5-dioxo-1-imidazolidinyl]benzoyl]-L- $\alpha$ -aspartyl]-L-2-phenyl-,  
bis(1-methylethyl) ester, (S)- (9CI) (CA INDEX NAME)

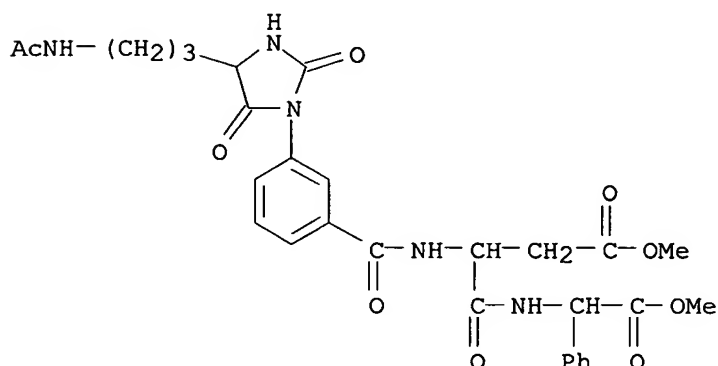


RN 157702-11-9 CAPLUS

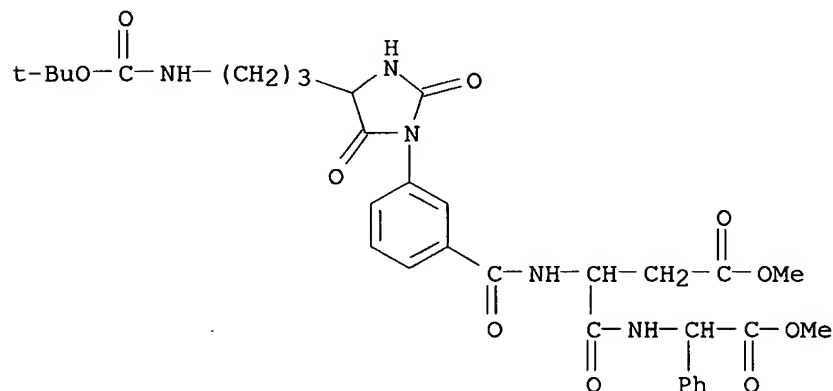
CN Glycine, N-[N-[3-[4-[[[imino[(methoxycarbonyl)amino]methyl]amino]methyl]-  
2,5-dioxo-1-imidazolidinyl]benzoyl]-L- $\alpha$ -aspartyl]-L-2-phenyl-,  
bis(1-methylethyl) ester, (S)- (9CI) (CA INDEX NAME)



RN 157702-12-0 CAPLUS  
 CN Glycine, N-[N-[3-[4-[3-(acetylamino)propyl]-2,5-dioxo-1-imidazolidinyl]benzoyl]-L- $\alpha$ -aspartyl]-L-2-phenyl-, dimethyl ester, (S)- (9CI) (CA INDEX NAME)



IT 157702-14-2P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as intermediate for blood platelet aggregation inhibitors)  
 RN 157702-14-2 CAPLUS  
 CN Glycine, N-[N-[3-[4-[3-[[ (1,1-dimethylethoxy) carbonyl] amino] propyl]-2,5-dioxo-1-imidazolidinyl]benzoyl]-L- $\alpha$ -aspartyl]-L-2-phenyl-, dimethyl ester, (S)- (9CI) (CA INDEX NAME)



L4 ANSWER 26 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1993:581390 CAPLUS  
 DOCUMENT NUMBER: 119:181390  
 TITLE: Polyhydrazides and poly(1,3,4-oxadiazoles) with parabanic structures  
 AUTHOR(S): Caraculacu, Georgeta; Gaina, Constantin; Gaina, Viorica; Caraculacu, Adrian A.; Diaconu, Ilie  
 CORPORATE SOURCE: Inst. Macromol. Chem. "P. Poni", Iasi, 6600, Rom.  
 SOURCE: European Polymer Journal (1993), 29(8), 1143-7  
 CODEN: EUPJAG; ISSN: 0014-3057  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB Polyhydrazides with parabanic structures were prepared by polycondensation between 1,3-bis[p-(chloroformyl)phenyl]parabanic acid (I) and hydrazine or dihydrazides. By thermal cyclization with polyphosphoric acid, the polyhydrazides were converted to poly(1,3,4-oxadiazoles) with parabanic

structures. Model compds. from I and oxalyl chloride and monohydrazides were also investigated. Films obtained from these polymers exhibit elec. insulating properties and good thermal stability.

IT 150431-06-4P 150431-07-5P 150431-08-6P  
150431-10-0P 150431-58-6P 150431-59-7P  
150431-60-0P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
(preparation and elec. resistance of)

RN 150431-06-4 CAPLUS

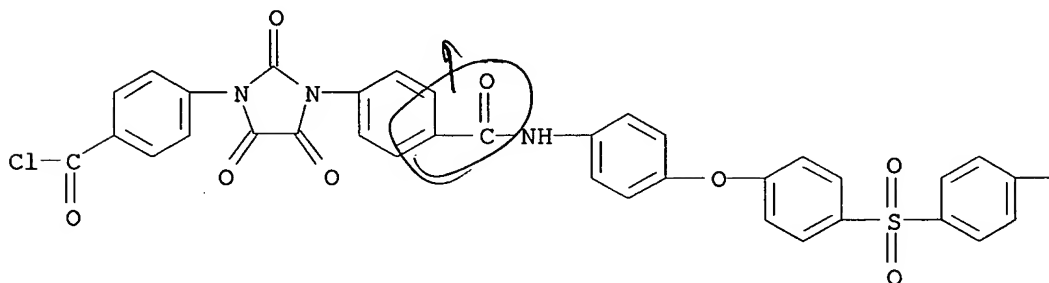
CN Benzoyl chloride, 4,4'-[sulfonylbis(4,1-phenyleneoxy-4,1-phenyleneiminocarbonyl-4,1-phenylene)(2,4,5-trioxo-3,1-imidazolidinediyl)]bis-, polymer with hydrazine (9CI) (CA INDEX NAME)

CM 1

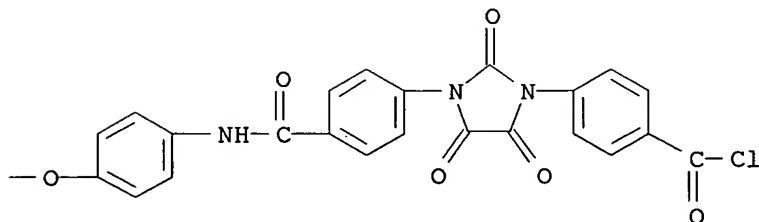
CRN 150431-05-3

CMF C58 H34 Cl2 N6 O14 S

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CM 2

CRN 302-01-2

CMF H4 N2

H<sub>2</sub>N-NH<sub>2</sub>

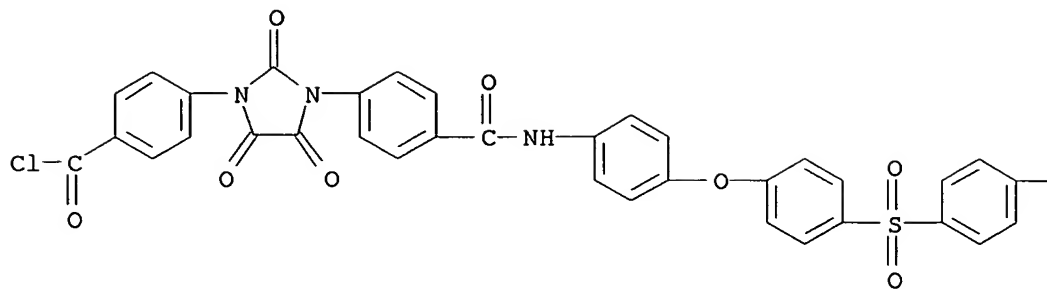
RN 150431-07-5 CAPLUS

CN Benzoyl chloride, 4,4'-[sulfonylbis(4,1-phenyleneoxy-4,1-phenyleneiminocarbonyl-4,1-phenylene)(2,4,5-trioxo-3,1-imidazolidinediyl)]bis-, polymer with ethanediamide (9CI) (CA INDEX NAME)

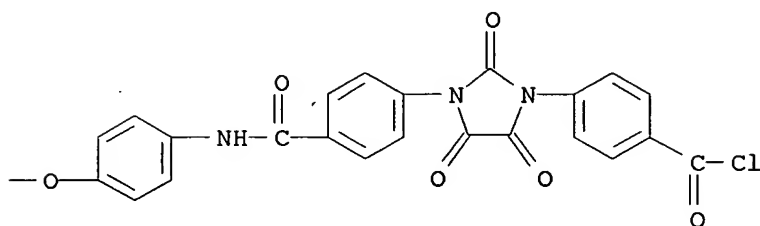
CM 1

CRN 150431-05-3

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PAGE 1-B



CRN 471-46-5

$$\text{H}_2\text{N}-\overset{\overset{\text{O}}{\parallel}}{\text{C}}-\overset{\overset{\text{O}}{\parallel}}{\text{C}}-\text{NH}_2$$

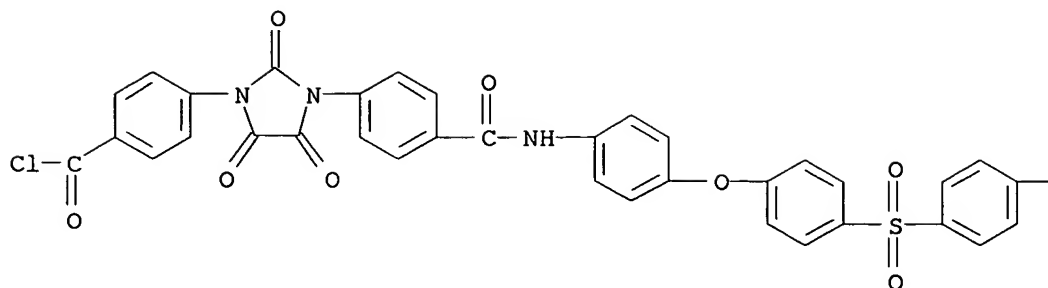
CN Benzoic acid, 4-amino-, hydrazide, polymer with 4,4'-[sulfonylbis(4,1-phenyleneoxy-4,1-phenyleneiminocarbonyl-4,1-phenylene) (2,4,5-trioxo-3,1-imidazolidinediyl)]bis[benzoyl chloride] (9CI) (CA INDEX NAME)

CRN 150431-05-3

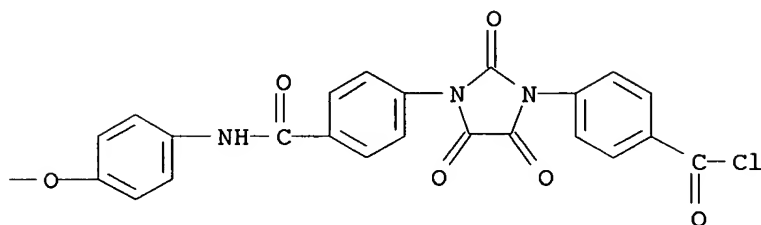
CMF C58 H34 C12 N6 O14 S



PAGE 1-A

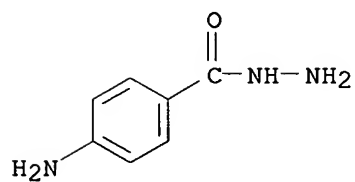


PAGE 1-B



CM 2

CRN 5351-17-7  
CMF C7 H9 N3 O

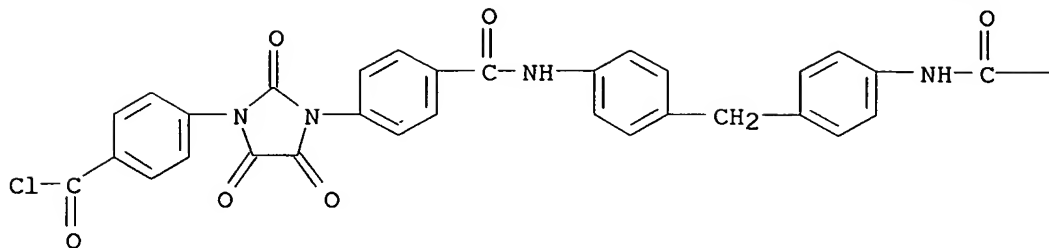


RN 150431-10-0 CAPLUS  
CN Benzoyl chloride, 4,4'-[methylenebis(4,1-phenyleneiminocarbonyl-4,1-phenylene) (2,4,5-trioxo-3,1-imidazolidinediyl)]bis-, polymer with ethanediamide (9CI) (CA INDEX NAME)

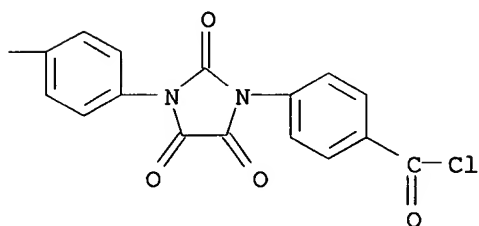
CM 1

CRN 150431-09-7  
CMF C47 H28 Cl2 N6 O10

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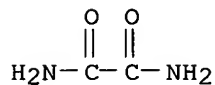
PAGE 1-B



CM 2

CRN 471-46-5

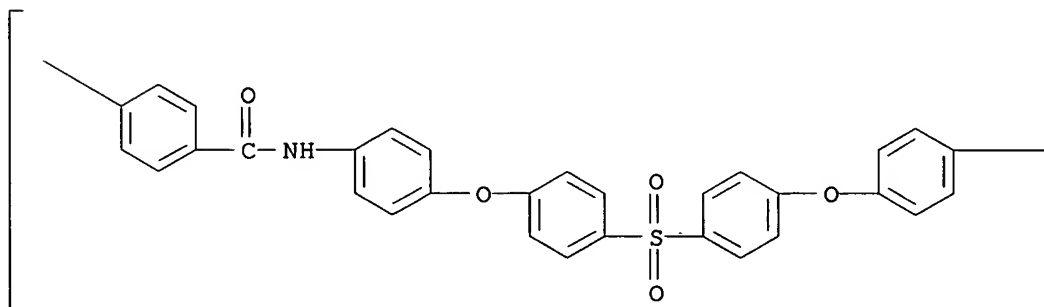
CMF C2 H4 N2 O2

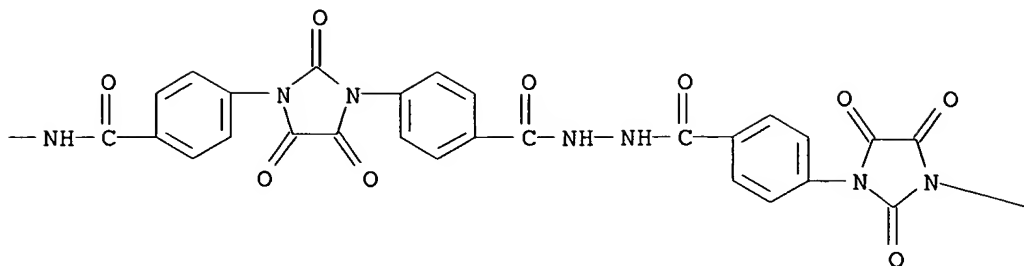


RN 150431-58-6 CAPLUS

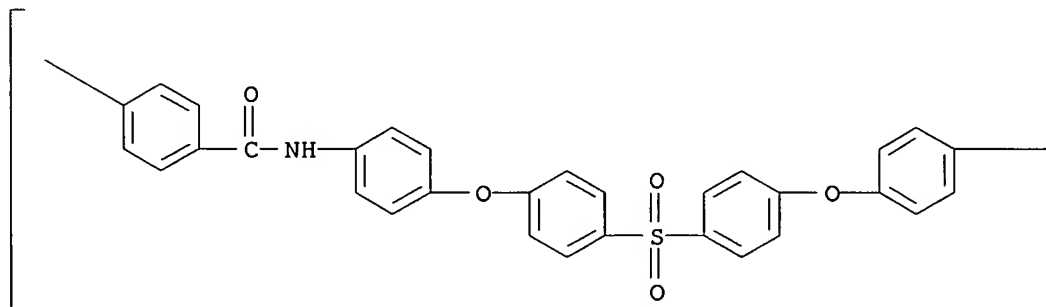
CN Poly[(2,4,5-trioxo-1,3-imidazolidinediyl)-1,4-phenylenecarbonylhydrazocarbonyl-1,4-phenylene(2,4,5-trioxo-1,3-imidazolidinediyl)-1,4-phenylenecarbonylimino-1,4-phenyleneoxy-1,4-phenylenesulfonyl-1,4-phenyleneoxy-1,4-phenyleneiminocarbonyl-1,4-phenylene] (9CI) (CA INDEX NAME)

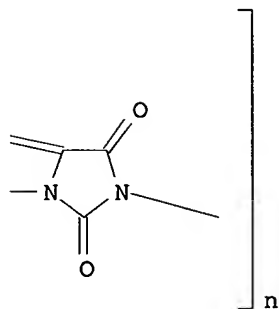
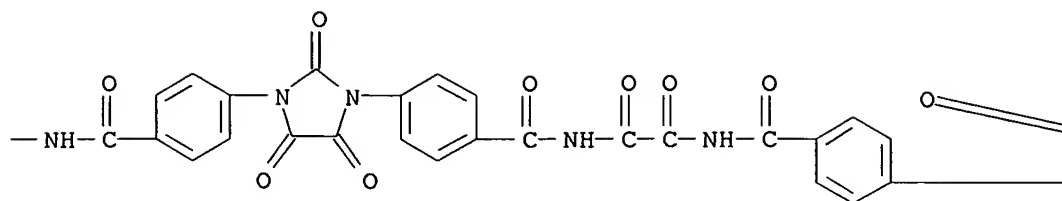
PAGE 1-A





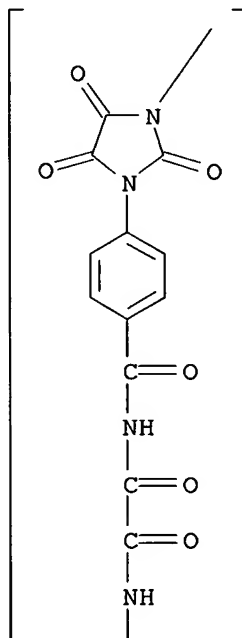
RN 150431-59-7 CAPLUS  
 CN Poly[(2,4,5-trioxo-1,3-imidazolidinediyl)-1,4-phenylenecarbonylimino(1,2-dioxo-1,2-ethanediyl)iminocarbonyl-1,4-phenylene(2,4,5-trioxo-1,3-imidazolidinediyl)-1,4-phenylenecarbonylimino-1,4-phenyleneoxy-1,4-phenylenesulfonyl-1,4-phenyleneoxy-1,4-phenyleneiminocarbonyl-1,4-phenylene] (9CI) (CA INDEX NAME)



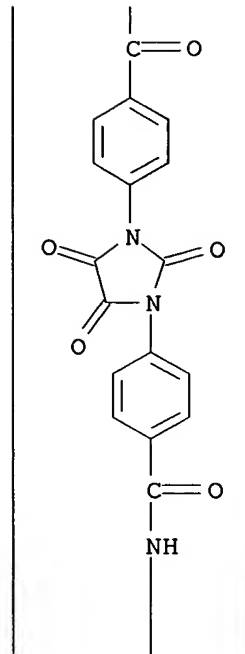


RN 150431-60-0 CAPLUS

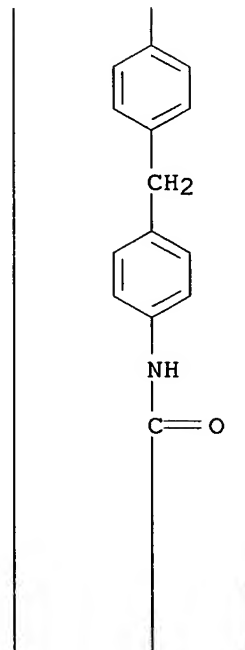
CN Poly[(2,4,5-trioxo-1,3-imidazolidinediyl)-1,4-phenylenecarbonylimino(1,2-dioxo-1,2-ethanediyl)iminocarbonyl-1,4-phenylene(2,4,5-trioxo-1,3-imidazolidinediyl)-1,4-phenylenecarbonylimino-1,4-phenylenemethylene-1,4-phenyleneiminocarbonyl-1,4-phenylene] (9CI) (CA INDEX NAME)

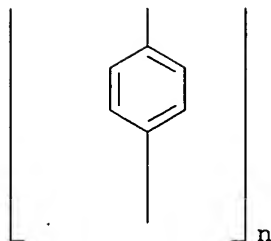


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PAGE 3-A



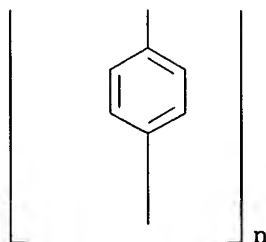
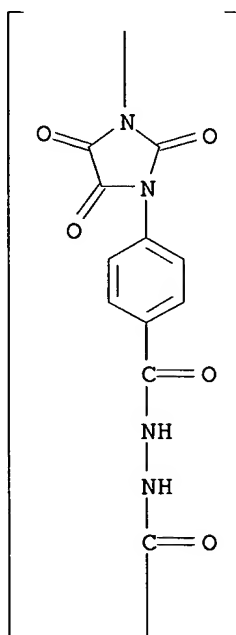


IT **150431-57-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and thermal behavior of)

RN 150431-57-5 CAPLUS

CN Poly[(2,4,5-trioxo-1,3-imidazolidinediyl)-1,4-phenylenecarbonylhydrazocarbonyl-1,4-phenylene] (9CI) (CA INDEX NAME)

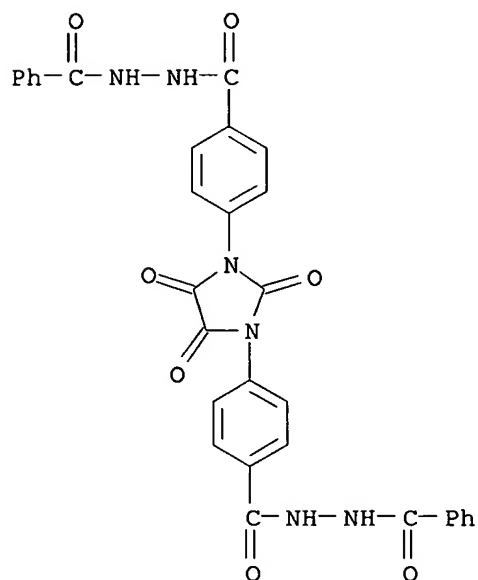


IT **150396-53-5P 150396-54-6P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as model compound for polyhydrazides)

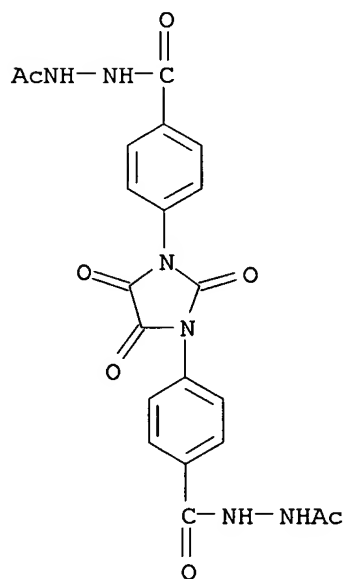
RN 150396-53-5 CAPLUS

CN Benzoic acid, 4,4'-(2,4,5-trioxo-1,3-imidazolidinediyl)bis-,  
bis(2-benzoylhydrazide) (9CI) (CA INDEX NAME)



RN 150396-54-6 CAPLUS

CN Benzoic acid, 4,4'-(2,4,5-trioxo-1,3-imidazolidinediyl)bis-,  
bis(2-acetylhydrazide) (9CI) (CA INDEX NAME)

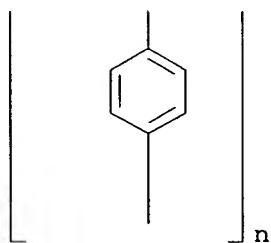
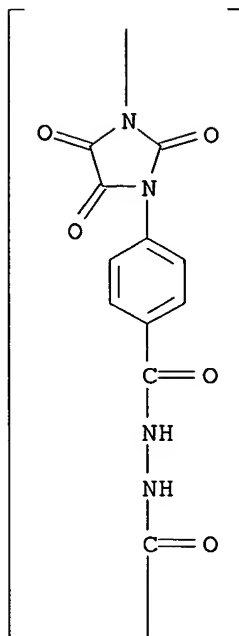


IT 150431-57-5DP, cyclized

RL: SPN (Synthetic preparation); PREP (Preparation)  
(with oxadiazole structure, preparation and thermal behavior of)

RN 150431-57-5 CAPLUS

CN Poly[(2,4,5-trioxo-1,3-imidazolidinediyl)-1,4-  
phenylenecarbonylhydrazocarbonyl-1,4-phenylene] (9CI) (CA INDEX NAME)



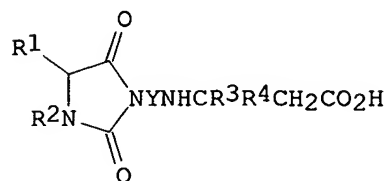
L4 ANSWER 27 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1993:581238 CAPLUS  
 DOCUMENT NUMBER: 119:181238  
 TITLE: Preparation of peptide hydantoin derivatives as drugs  
 INVENTOR(S): Koenig, Wolfgang; Zoller, Gerhard; Just, Melitta;  
 Jablonka, Bernd  
 PATENT ASSIGNEE(S): Cassella AG, Germany  
 SOURCE: Ger. Offen., 17 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4126277	A1	19930211	DE 1991-4126277	19910808
EP 530505	A2	19930310	EP 1992-113086	19920731
EP 530505	A3	19931229		
EP 530505	B1	19951011		



R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE

AT 128985	E	19951015	AT 1992-113086	19920731
ES 2081000	T3	19960216	ES 1992-113086	19920731
US 5389614	A	19950214	US 1992-924745	19920804
CA 2075590	AA	19930209	CA 1992-2075590	19920807
CA 2075590	C	20030107		
HU 61779	A2	19930301	HU 1992-2583	19920807
HU 218922	B	20001228		
ZA 9205934	A	19930428	ZA 1992-5934	19920807
JP 05213895	A2	19930824	JP 1992-211801	19920807
JP 3293885	B2	20020617		
AU 651716	B2	19940728	AU 1992-20892	19920807
AU 9220892	A1	19930311		
IL 102759	A1	19970610	IL 1992-102759	19920807
CZ 289929	B6	20020417	CZ 1992-2459	19920807
PRIORITY APPLN. INFO.:			DE 1991-4126277	A 19910808
OTHER SOURCE(S):	MARPAT	119:181238		
GI				

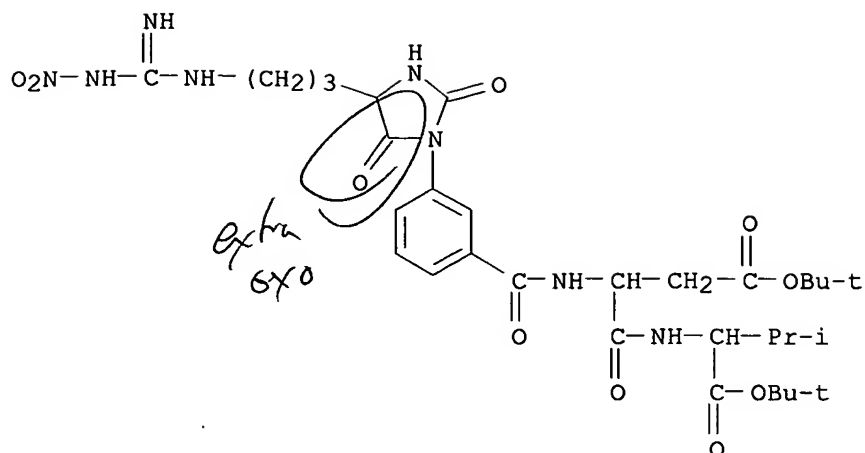


AB Title compds. [I; Y = (CH<sub>2</sub>)<sub>m</sub>CO, C<sub>6</sub>H<sub>4</sub>CO; m = 1-4; R<sub>1</sub> = (CH<sub>2</sub>)<sub>n</sub>NHX, CH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>NHX, CH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>C(:NH)NH<sub>2</sub>, CH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>NHX, C<sub>6</sub>H<sub>4</sub>NHX; R<sub>1</sub>CH may also = X<sub>1</sub>C<sub>6</sub>H<sub>4</sub>CH:C; n = 3-5; X = H, alkyl, R<sub>10</sub>NHC:NR<sub>11</sub>; X<sub>1</sub> = NHX, C(:NH)NH<sub>2</sub>; R<sub>10</sub>, R<sub>11</sub> = H, alkyl; R<sub>2</sub> = H, alkyl; R<sub>3</sub> = H, Ph; R<sub>4</sub> = H, CO<sub>2</sub>R<sub>5</sub>, CONHR<sub>5</sub>; R<sub>5</sub> = H, NHCONH<sub>2</sub>, (substituted) alkyl], were prepared as inhibitors of thrombocyte aggregation, metastasis, and of osteoclast binding to bone surfaces (no data). Thus, [5(R,S)-(4-formamidobenzyl)-2,4-dioxoimidazolidin-3-yl]acetylasparylvaline was prepared in 5 steps starting with 4-formamidino-DL-phenylalanine dihydrochloride.

IT **150376-46-8P 150376-47-9P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as drug intermediate)

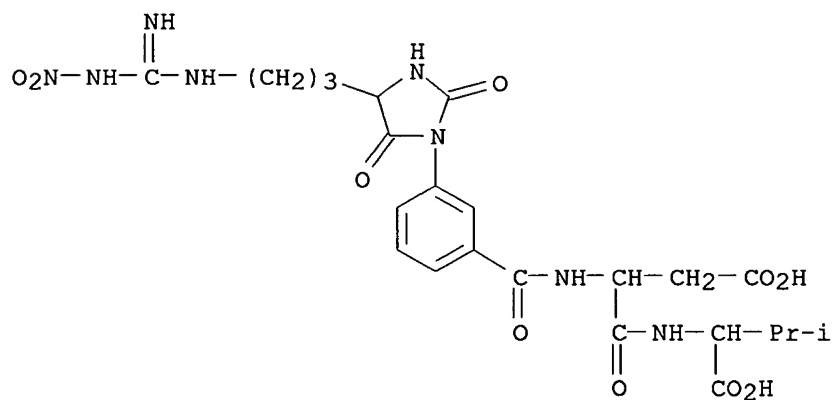
RN 150376-46-8 CAPLUS

CN L-Valine, N-[N-[3-[4-[3-[ [imino(nitroamino)methyl] amino] propyl]-2,5-dioxo-1-imidazolidinyl]benzoyl]-L-α-aspartyl]-, bis(1,1-dimethylethyl) ester, (S)- (9CI) (CA INDEX NAME)



RN 150376-47-9 CAPLUS

CN L-Valine, N-[N-[3-[4-[3-[[imino(nitroamino)methyl]amino]propyl]-2,5-dioxo-1-imidazolidinyl]benzoyl]-L-α-aspartyl]-, (S)- (9CI) (CA INDEX NAME)



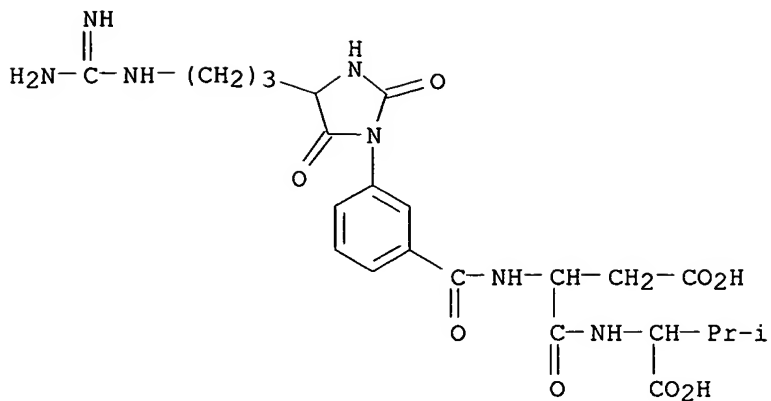
IT 150376-11-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, as inhibitor of thrombocyte aggregation, metastasis, and osteoclast binding to bone surfaces)

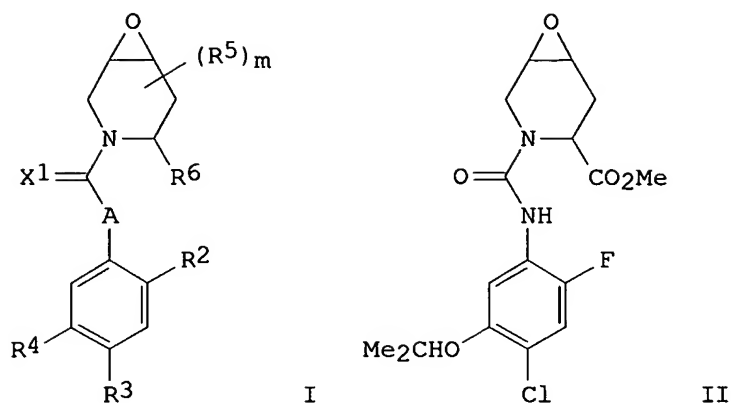
RN 150376-11-7 CAPLUS

CN L-Valine, N-[N-[3-[4-[3-[(aminoiminomethyl)amino]propyl]-2,5-dioxo-1-imidazolidinyl]benzoyl]-L-α-aspartyl]-, (S)- (9CI) (CA INDEX NAME)



L4 ANSWER 28 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 1993:124517 CAPLUS  
DOCUMENT NUMBER: 118:124517  
TITLE: Preparation of 1-acyl-2-carboxyl-4,5-epoxypiperidines  
as herbicides  
INVENTOR(S): Seckinger, Karl; Milzner, Karlheinz; Kuhnen, Fred;  
Mohanty, Sasank Sekhar  
PATENT ASSIGNEE(S): Sandoz Ltd., Switz.; Sandoz-Patent-G.m.b.H.;  
Sandoz-Erfindungen Verwaltungsgesellschaft m.b.H.  
SOURCE: Eur. Pat. Appl., 41 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 514339	A1	19921119	EP 1992-810350	19920511
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE				
HU 61654	A2	19930301	HU 1992-1457	19920430
CA 2068846	AA	19921118	CA 1992-2068846	19920515
AU 9216322	A1	19921119	AU 1992-16322	19920515
AU 644058	B2	19931202		
BR 9201856	A	19930105	BR 1992-1856	19920515
JP 05163274	A2	19930629	JP 1992-123139	19920515
ZA 9203570	A	19931115	ZA 1992-3570	19920515
US 5221744	A	19930622	US 1992-931250	19920817
PRIORITY APPLN. INFO.:			GB 1991-10679	A 19910517
			US 1992-880431	B1 19920508
OTHER SOURCE(S):		MARPAT 118:124517		
GI				



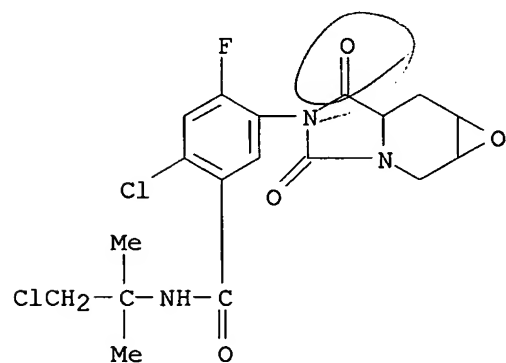
AB Title compds. [I; R2 = H, halo; R3 = halo, cyano, alkyl; R4 = H, halo, NO2, amino, cyano, (cyano)alkyl, (cyano)alkenyl, alkynyl, (substituted) alkoxyalkyl, alkoxyalkoxyalkyl, alkoxyalkenyl, alkylthioalkyl, alkylsulfonylalkyl, alkylsulfonyl, etc.; R3R4 = atoms to form an (O-, N-, or S-containing) (substituted) ring; R5 = H, alkyl, halo, OH, alkenyl, :O; R6 = (modified) carboxylate; A = NH; or AR6 = NCX2; X1, X2 = O, S; m = 0-2] were prepared as herbicides (no data). Thus, Me 4,5-epoxy-2-piperidinecarboxylate (preparation given) was stirred with 4-chloro-2-fluoro-5-isopropoxyphenyl isocyanate in PhMe to give title compound II. I were said to be particularly effective against *Abutilon theophrasti*, *Amaranthus retroflexus*, and *Solanum nigrum*.

IT 145981-38-0P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as herbicide)

RN 145981-38-0 CAPLUS

CN Benzamide, 2-chloro-N-(2-chloro-1,1-dimethylethyl)-4-fluoro-5-(hexahydro-4,6-dioxoimidazo[1,5-a]oxireno[d]pyridin-5(4H)-yl)- (9CI) (CA INDEX NAME)



L4 ANSWER 29 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1992:123822 CAPLUS

DOCUMENT NUMBER: 116:123822

TITLE: Enzymic mechanism for the hydrolysis of 5,10-methenyltetrahydropteroylglutamate to 5-formyltetrahydropteroylglutamate by serine hydroxymethyltransferase

AUTHOR(S): Stover, Patrick; Schirch, Verne

CORPORATE SOURCE: Dep. Biochem. Mol. Biophys., Virginia Commonw. Univ.,

SOURCE: Richmond, VA, 23298, USA  
 Biochemistry (1992), 31(7), 2155-64  
 CODEN: BICHAW; ISSN: 0006-2960  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

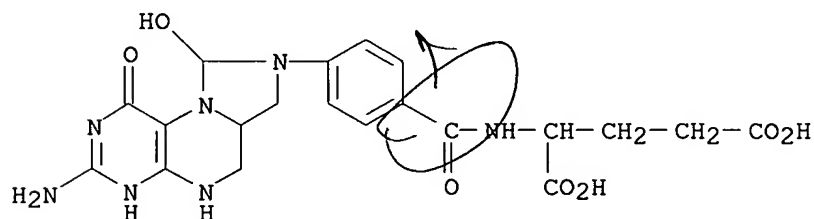
AB Serine hydroxymethyltransferase (I) of rabbit liver cytosol in the presence of glycine catalyzes the hydrolysis of (6R)-5,10-methenyltetrahydropteroylpolyglutamate to (6S)-5-formyltetrahydropteroylpolyglutamate. I also catalyzes the formation of (6S)-5-formyltetrahydropteroylpolyglutamate from a compound in equilibrium with (6R)-5,10-methenyltetrahydropteroylpolyglutamate believed to be (6R,11R)-5,10-hydroxymethylenetetrahydropteroylpolyglutamate, a putative intermediate in the nonenzymic hydrolysis of 5,10-methenyltetrahydropteroylglutamate to 5-formyltetrahydropteroylglutamate. The enzymic mechanism for the formation of (6S)-5-formyltetrahydropteroylpolyglutamate from these substrates and the role of glycine in the reaction was addressed. The evidence suggested that (6R,11R)-5,10-hydroxymethylenetetrahydropteroyltetraglutamate is a catalytically competent intermediate in the I-catalyzed hydrolysis of (6R)-5,10-methenyltetrahydropteroyltetraglutamate. I displayed a high  $K_m$  of 40  $\mu M$  for (6R)-5,10-methenyltetrahydropteroyltetraglutamate, whereas the  $K_m$  for (6R,11R)-5,10-hydroxymethylenetetrahydropteroyltetraglutamate was  $<0.5 \mu M$ . The  $k_{cat}$  values for both reactions were identical and equal to the rate of formation of an enzyme ternary complex absorbing at 502 nm which was formed from glycine and (6S)-5-formyltetrahydropteroylpolyglutamate. The hydrolysis reaction proceeded with exchange of the C-11 formyl proton of (6R)-5,10-methenyltetrahydropteroyltetraglutamate, suggesting that the enzyme-catalyzed reaction occurs by the same C-11 carbanion inversion mechanism as the nonenzymic reaction. Isotope exchange expts. using [2-3H]glycine and DSC data suggested both a catalytic and a conformational role for glycine in the enzymic reaction. The results were discussed in terms of the similarity in mechanisms of the I-catalyzed retroaldol cleavage of serine and hydrolysis of (6R)-5,10-methenyltetrahydropteroylpolyglutamates.

IT 139163-49-8

RL: FORM (Formation, nonpreparative)  
 (formation of, as intermediate in serine hydroxymethyltransferase-catalyzed hydrolysis of methenyltetrahydropteroylmonoglutamate in glycine presence)

RN 139163-49-8 CAPLUS

CN L-Glutamic acid, N-[4-(3-amino-1,4,5,6,6a,7-hexahydro-9-hydroxy-1-oxoimidazo[1,5-f]pteridin-8(9H)-yl)benzoyl]-, (6aR-cis)- (9CI) (CA INDEX NAME)



IT 139041-15-9

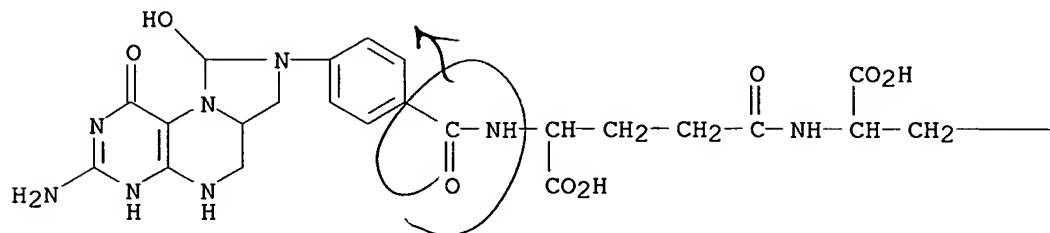
RL: FORM (Formation, nonpreparative)  
 (formation of, as intermediate in serine hydroxymethyltransferase-catalyzed hydrolysis of methenyltetrahydropteroyltetraglutamate in glycine presence)

RN 139041-15-9 CAPLUS

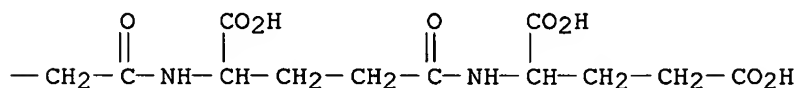
CN L-Glutamic acid, N-[N-[N-[N-[4-(3-amino-1,2,5,6,6a,7-hexahydro-9-hydroxy-1-

oxoimidazo[1,5-f]pteridin-8(9H)-yl)benzoyl]-L-γ-glutamyl]-L-γ-glutamyl]-L-γ-glutamyl]-, (6aR-cis)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



L4 ANSWER 30 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1992:101677 CAPLUS

DOCUMENT NUMBER: 116:101677

TITLE: Evidence for the accumulation of a stable intermediate in the nonenzymic hydrolysis of 5,10-methenyltetrahydropteroylglutamate to 5-formyltetrahydropteroylglutamate

AUTHOR(S): Stover, Patrick; Schirch, Verne

CORPORATE SOURCE: Dep. Biochem. Mol. Biophys., Virginia Commonw. Univ., Richmond, VA, 23298, USA

SOURCE: Biochemistry (1992), 31(7), 2148-55

CODEN: BICHAW; ISSN: 0006-2960

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Solns. of 5,10-methenyltetrahydropteroylglutamate can be converted to a stable hydrated adduct by heating solns. at 50° at pH values of 3-5 for several hours. The adduct is stable at pH values from 4 to 9 for hours, but at pH values below 2 it is converted to 5,10-methenyltetrahydropteroylglutamate and at pH values above 8 it is converted to 5-formyltetrahydropteroylglutamate. Arguments are presented that the adduct is (11R)-5,10-hydroxymethylenetetrahydropteroylglutamate formed from (11S)-5,10-hydroxymethylenetetrahydropteroylglutamate by formation of an ylide at C-11 which undergoes inversion of the electron pair to form the (11R) isomer. The (11R) hydrated adduct is believed to be the isomer of 5,10-methenyltetrahydropteroylglutamate referred to as anhydroleucovorin B by D. C. Cosulich et al. (1952). In addition, a new mechanism for the formation of 5-formyltetrahydropteroylglutamate from either 5,10-methenyltetrahydropteroylglutamate or 10-formyltetrahydropteroylglutamate via (11R)-5,10-hydroxymethylenetetrahydropteroylglutamate is proposed. A requirement for this pathway is that the formyl proton of 10-formyltetrahydropteroylglutamate exchange with solvent protons. The exchange of this formyl proton was observed at all pH values from 5.5 to 11.5 at a rate which exceeded by more than an order of magnitude the rate of formation of 5-formyltetrahydropteroylglutamate. The nonenzymic formation of 5-formyltetrahydropteroylglutamate via a tetrahedral intermediate was studied in an attempt to understand the catalytic mechanism of serine hydroxymethyltransferase.

IT 138630-86-1

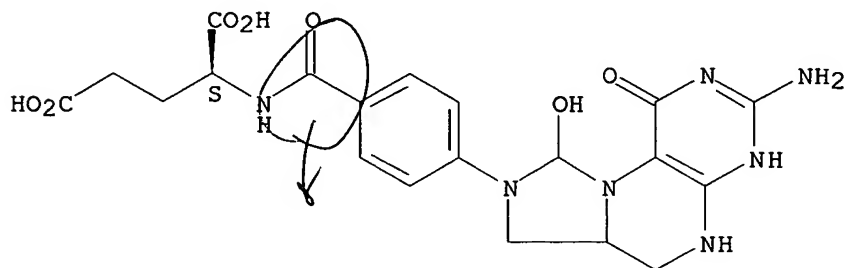
RL: FORM (Formation, nonpreparative)

(formation of, as stable intermediate of methylenetetrahydropteroylglutamate hydrolysis, serine hydroxymethyltransferase mechanism in relation to)

RN 138630-86-1 CAPLUS

CN L-Glutamic acid, N-[4-(3-amino-5,6,6a,7-tetrahydro-9-hydroxy-1-oxoimidazo[1,5-f]pteridin-8(9H)-yl)benzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 31 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1991:91827 CAPLUS

DOCUMENT NUMBER: 114:91827

TITLE: Image receiving element for silver salt diffusion-transfer photographic film

INVENTOR(S): Hayashi, Hiroshi; Karino, Yukio; Tomiyama, Hideki; Takagi, Hideki

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.

CODEN: JKXXAF

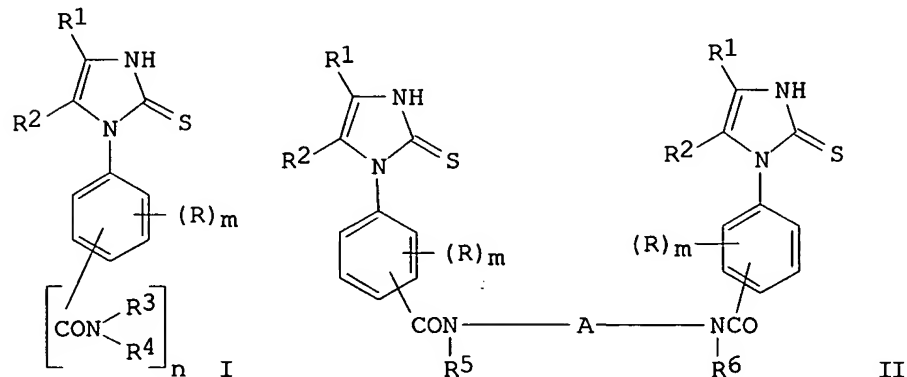
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63047760	A2	19880229	JP 1986-191227	19860815
PRIORITY APPLN. INFO.: GI			JP 1986-191227	19860815



AB In the title receptor comprising a support, an alkali neutralization

layer, a neutralization timing layer, a hydrophilic regenerated cellulose layer (e.g., cellulose acetate), and a receptor layer containing Ag precipitation nuclei, uracil absorption capacity is 50-400 mg/m<sup>2</sup>, and the neutralization layer and/or the neutralization timing layer contains a compound I or II (R = H, halo, alkyl, cycloalkyl, alkoxy, alkylsulfonyl, sulfamoyl, alkyl- or aryl-sulfonamide, carbamoyl, carboxyamide, heterocyclyl, aryl, acyl, alkoxy-carbonyl, acyloxy, alkylthio, arylthio, primary amino, sec- or tert-amino substituted by alkyl or amino, its salt, NO<sub>2</sub>, OH, COOH, sulfonic acid, CN; R<sub>1</sub>, R<sub>2</sub> = H, alkyl, aryl; R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub> = H, alkyl, aryl, heterocyclyl; R<sub>3</sub> and R<sub>4</sub> may form a N-containing 5-6 membered ring; A<sub>1</sub> = divalent moiety; m = 0, 1-4; and n = 0, 1, 2).

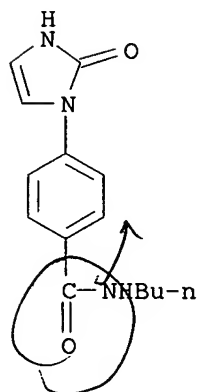
IT **132036-15-8**

RL: USES (Uses)

(silver salt diffusion-transfer reversal receptor sheet containing)

RN 132036-15-8 CAPLUS

CN Benzamide, N-butyl-4-(2,3-dihydro-2-oxo-1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)



L4 ANSWER 32 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1990:632159 CAPLUS

DOCUMENT NUMBER: 113:232159

TITLE: Polyamide-polyether-polysulfone with parabanic structure

AUTHOR(S): Lungu, Catalin N.; Caraculacu, Georgeta; Caraculacu, Adrian A.

CORPORATE SOURCE: Inst. Chim. Macromol. "Petru Poni", Iasi, Rom.

SOURCE: Materiale Plastice (Bucharest, Romania) (1989), 26(4), 193-5

CODEN: MPLAAM; ISSN: 0025-5289

DOCUMENT TYPE: Journal

LANGUAGE: Romanian

AB Bis[4-(4'-aminophenoxy)phenyl] sulfone, prepared from reaction of the Na salt of p-aminophenol with bis(4-chlorophenyl) sulfone, was polymerized with 1,3-bis(p-chloroformylphenyl)parabanic acid to give a polyether-polyamide-polysulfone with a parabanic acid ring in the main chain. The polymer had electroinsulating properties and gave resistant, flexible, clear and colorless films having a mech. strength of 700-800 kg/cm<sup>2</sup>.

IT **130425-18-2P**

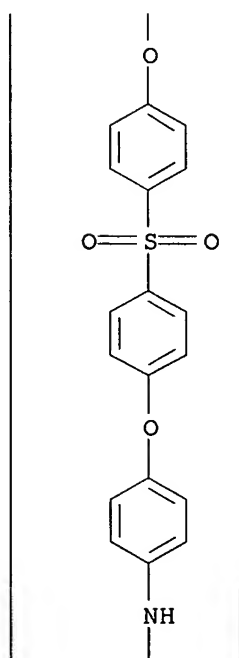
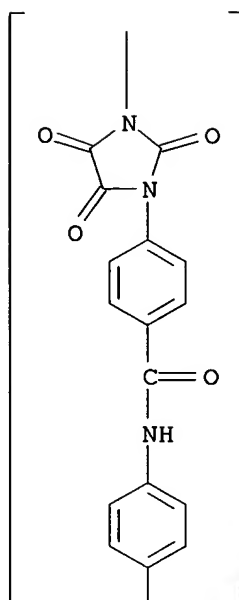
RL: SPN (Synthetic preparation); PREP (Preparation)

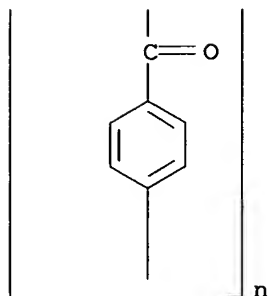
(preparation and elec. insulating properties and film properties of)

RN 130425-18-2 CAPLUS

CN Poly[(2,4,5-trioxo-1,3-imidazolidinediyl)-1,4-phenylenecarbonylimino-1,4-phenyleneoxy-1,4-phenylenesulfonyl-1,4-phenyleneoxy-1,4-phenyleneiminocarbonyl-1,4-phenylene] (9CI) (CA INDEX NAME)

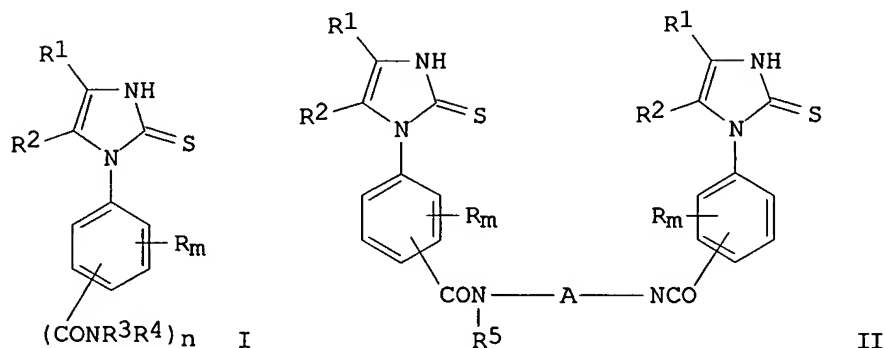






L4 ANSWER 33 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1989:467803 CAPLUS  
 DOCUMENT NUMBER: 111:67803  
 TITLE: Receptor sheet for diffusion-transfer photography  
 INVENTOR(S): Hayashi, Hiroshi; Karino, Yukio  
 PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63247755	A2	19881014	JP 1987-81964	19870402
JP 06093109	B4	19941116		
PRIORITY APPLN. INFO.:			JP 1987-81964	19870402
GI				



AB The image receptor sheet for diffusion-transfer photog., based on a water-repellent support coated successively with an alkali neutralizing layer, a neutral timing layer, and an image receptor layer containing Ag precipitation nuclei and not containing any hydrophilic polymers other than unconstituted cellulose between the neutral timing layer and the image reception layer, is characterized by a uracil absorption value (defined in patent) of 50-400 mg/m2 and incorporates in the image reception layer  $\geq 1$  compds. selected from (I) and (II) [R = H, halo, alkyl, alkoxy, alkylenefonyl, arylsulfonyl, sulfoamoyl, alkyl or arylsulfonamido, carbamoyl, carbonamido, heterocyclyl, aryl, acyl, alkoxy-carbonyl, acyloxy, alkylthio, acylthio, amino, NO<sub>2</sub>, OH, CO<sub>2</sub>H, SO<sub>3</sub>H, CN; R<sub>1</sub>, R<sub>2</sub> = H, alkyl,

aryl; R3, R4 = H, alkyl, acyl, heterocyclyl; R3, R4 may form 5- or 6-membered N heterocycle; R5, R6 = H, alkyl, aryl, heterocyclyl]. Prints can be obtained with improved color tone and min. d.

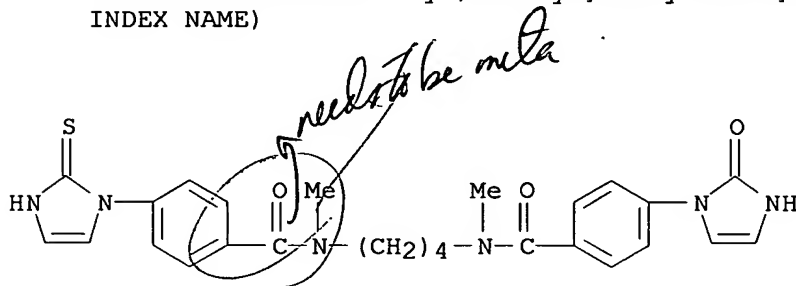
IT 121776-84-9

RL: USES (Uses)

(diffusion-transfer photog. image receptor sheet containing)

RN 121776-84-9 CAPLUS

CN Benzamide, 4-(2,3-dihydro-2-oxo-1H-imidazol-1-yl)-N-[4-[[4-(2,3-dihydro-2-thioxo-1H-imidazol-1-yl)benzoyl]methylamino]butyl]-N-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 34 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1989:95901 CAPLUS

DOCUMENT NUMBER: 110:95901

TITLE: Aromatic polyamide with parabanic structure

AUTHOR(S): Caraculacu, A. A.; Lungu, N. C.; Caraculacu, G.

CORPORATE SOURCE: "P. Poni" Inst. Macromol. Chem., Iasi, 6600, Rom.

SOURCE: European Polymer Journal (1988), 24(12), 1207-9

CODEN: EUPJAG; ISSN: 0014-3057

DOCUMENT TYPE: Journal

LANGUAGE: English

AB 1,3-Bis(p-chloroformylphenyl)parabanic acid (I) was obtained in a 2-step process starting with the reaction between aqueous p-aminobenzoic acid and COCl<sub>2</sub>. The urea acid product was treated with ClCOCOC1 to obtain I. Aromatic polyamides with parabanic structure were synthesized from I and aromatic diamines in AcNMe<sub>2</sub>. From polymer solns. flexible films could be obtained with good elec. insulating properties and good thermal stabilities.

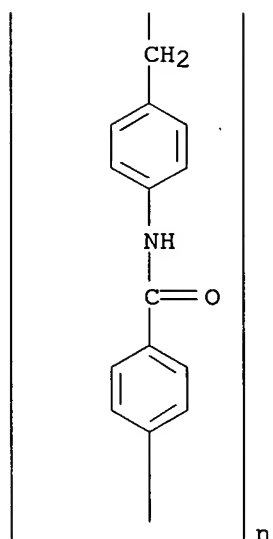
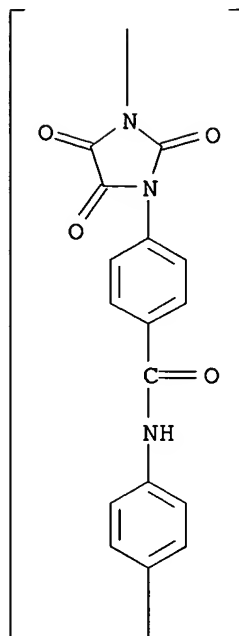
IT 119080-33-0P 119080-34-1P 119080-35-2P

119080-36-3P 119080-37-4P

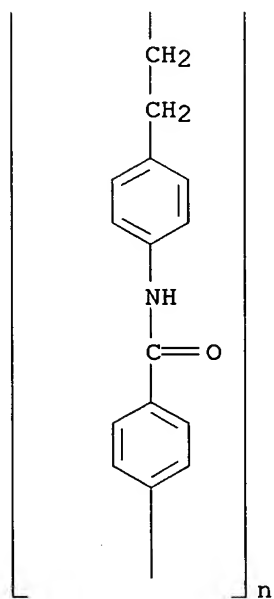
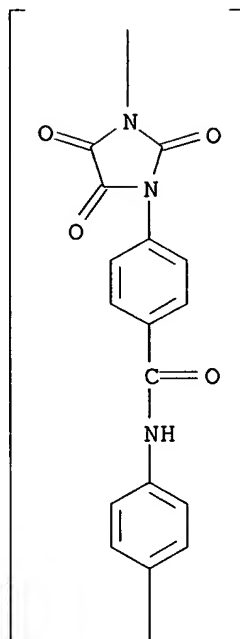
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
(preparation and dielec. properties of)

RN 119080-33-0 CAPLUS

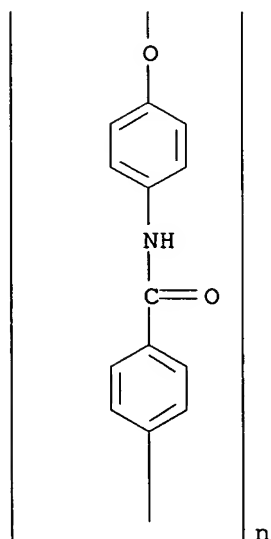
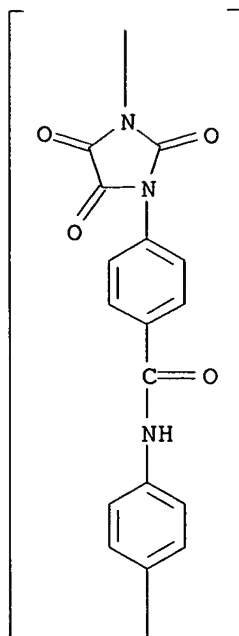
CN Poly[(2,4,5-trioxo-1,3-imidazolidinediyl)-1,4-phenylenecarbonylimino-1,4-phenylenemethylene-1,4-phenyleneiminocarbonyl-1,4-phenylene] (9CI) (CA INDEX NAME)



RN 119080-34-1 CAPLUS  
 CN Poly[(2,4,5-trioxo-1,3-imidazolidinediyl)-1,4-phenylenecarbonylimino-1,4-phenylene-1,2-ethanediyl-1,4-phenyleneiminocarbonyl-1,4-phenylene] (9CI)  
 (CA INDEX NAME)

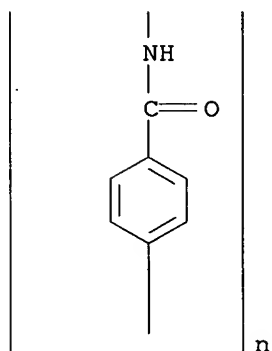
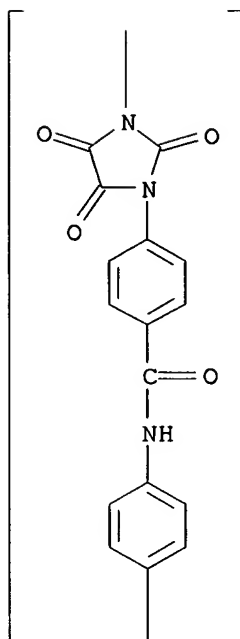


RN 119080-35-2 CAPLUS  
 CN Poly[(2,4,5-trioxo-1,3-imidazolidinediyl)-1,4-phenylenecarbonylimino-1,4-phenyleneoxy-1,4-phenyleneiminocarbonyl-1,4-phenylene] (9CI) (CA INDEX NAME)

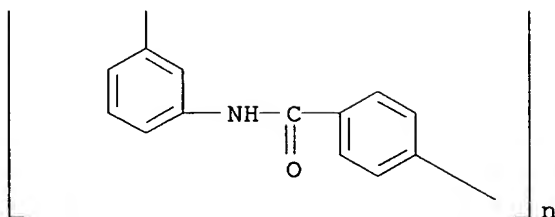
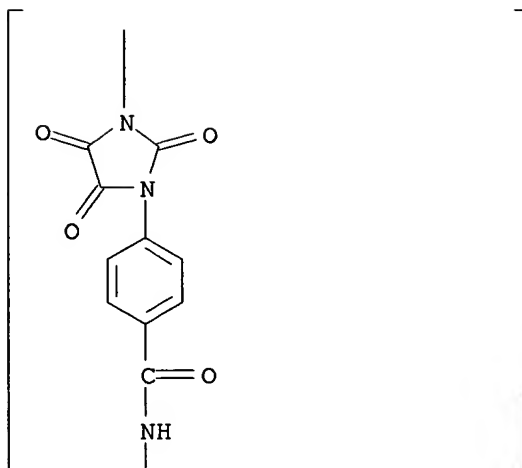


RN 119080-36-3 CAPLUS

CN . Poly[(2,4,5-trioxo-1,3-imidazolidinediyl)-1,4-phenylenecarbonylimino-1,4-phenyleneiminocarbonyl-1,4-phenylene] (9CI) (CA INDEX NAME)

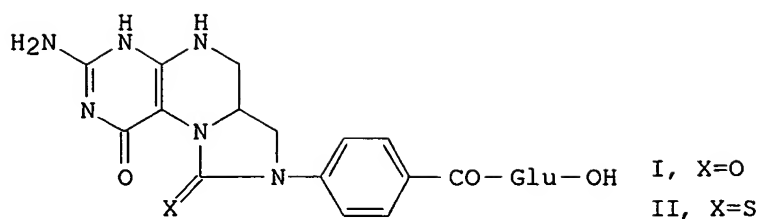


RN 119080-37-4 CAPLUS  
 CN Poly[(2,4,5-trioxo-1,3-imidazolidinediyl)-1,4-phenylenecarbonylimino-1,3-phenyleneiminocarbonyl-1,4-phenylene] (9CI) (CA INDEX NAME)



L4 ANSWER 35 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1982:45942 CAPLUS  
 DOCUMENT NUMBER: 96:45942  
 TITLE: Synthesis of pseudocofactor analogs as potential inhibitors of the folate enzymes  
 AUTHOR(S): Temple, Carroll, Jr.; Bennett, L. Lee, Jr.; Rose, Jerry D.; Elliott, Robert D.; Montgomery, John H.  
 CORPORATE SOURCE: Kettering-Meyer Lab., Southern Res. Inst., Birmingham, AL, 35255, USA  
 SOURCE: Journal of Medicinal Chemistry (1982), 25(2), 161-6  
 CODEN: JMCMAR; ISSN: 0022-2623  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI





AB Several folic acid analogs were synthesized and tested as inhibitors of folate-dependent enzymes. I [10538-99-5] and II [10538-98-4] significantly inhibited 5,10-methylene-tetrahydrofolate dehydrogenase (EC 1.5.1.5) [9029-14-5] and 5,10-methylenyl-tetrahydrofolate cyclohydrolase (EC 3.5.4.9) [9027-97-8] at micromolar concns. In vivo I and methotrexate continued therapy resulted in a lower increase in life span than methotrexate alone. Both I and II should be useful probes in enzymic studies with these enzymes.

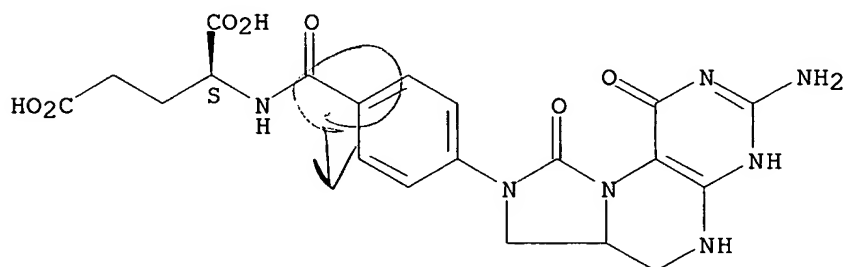
IT 10538-99-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and folate-dependent enzymes inhibition by)

RN 10538-99-5 CAPLUS

CN L-Glutamic acid, N-[4-(3-amino-1,2,5,6,6a,7-hexahydro-1,9-dioxoimidazo[1,5-f]pteridin-8(9H)-yl)benzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 36 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1981:22896 CAPLUS

DOCUMENT NUMBER: 94:22896

TITLE: Photographic magenta couplers

PATENT ASSIGNEE(S): Konishiroku Photo Industry Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.

CODEN: JKXXAF

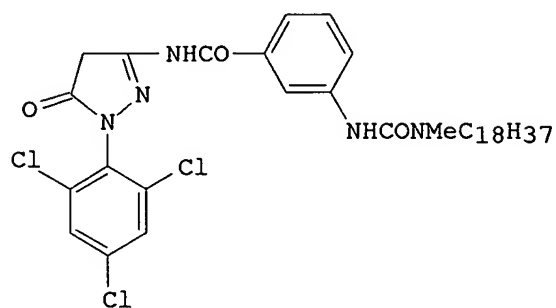
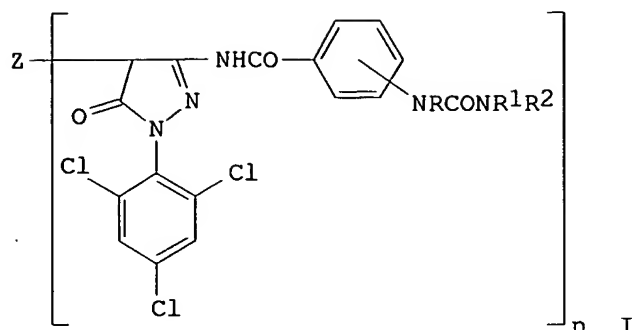
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 55083044	A2	19800623	JP 1978-158073	19781218
PRIORITY APPLN. INFO.: GI			JP 1978-158073	A 19781218



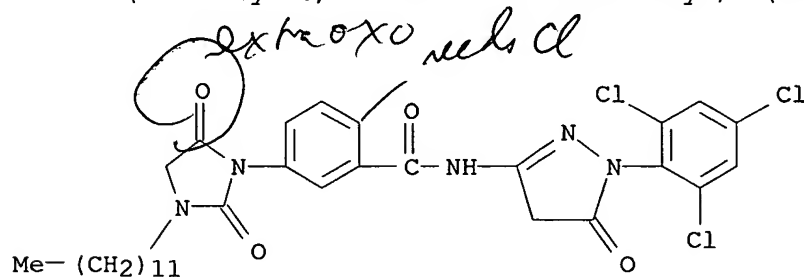
AB Compds. of the general formula I (R, R1, R2 = H, alkyl, alkenyl, aralkyl, aryl, heterocyclic moiety; RR1, RR2, and R1R2 combinations may form a 5- or 6-membered heterocyclic ring; only 1 of R, R1, and R2 can be H; Z = H, or a mono-, di-, or tetra-valent moiety which is released during coupling reactions; n = 1,2,4) are used as photog. magenta couplers. Thus, a multilayer color photog. film was prepared by using a magenta coupler II, the film was sensitometrically exposed, and developed to give high-d. magenta images having good light fastness. The film also exhibited improved sensitivity and low fog.

IT 75955-94-1

RL: TEM (Technical or engineered material use); USES (Uses)  
(photog. magenta coupler)

RN 75955-94-1 CAPLUS

CN Benzamide, N-[4,5-dihydro-5-oxo-1-(2,4,6-trichlorophenyl)-1H-pyrazol-3-yl]-3-(3-dodecyl-2,5-dioxo-1-imidazolidinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 37 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1980:121566 CAPLUS

DOCUMENT NUMBER: 92:121566

TITLE: Human thymidylate synthetase. III. Effects of methotrexate and folate analogs

AUTHOR(S): Szeto, Daniel W.; Cheng, Yung-Chi; Rosowsky, Andre; Yu, Cheng-Sein; Modest, Edward J.; Piper, James R.; Temple, Carroll, Jr.; Elliott, Robert D.; Rose, Jerry

CORPORATE SOURCE: D.; Montgomery, John A.  
Dep. Exp. Ther., Roswell Park Mem. Inst., Buffalo, NY,  
14263, USA  
SOURCE: Biochemical Pharmacology (1979), 28(17), 2633-7  
CODEN: BCPA6; ISSN: 0006-2952  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB Esterification or amidation of the  $\alpha$ -carboxylate of methotrexate (I) markedly reduced its inhibitory activity with human thymidylate synthetase (EC 2.1.1.45) [9031-61-2], suggesting that the  $\alpha$ -carboxyl group is a main point of attachment. A free  $\alpha$ -carboxyl group on the amino acid side chain, or any free carboxyl group in that vicinity, played an important part in the inhibitory potency of I analogs. Substitution of the glutamate side chain by  $\alpha$ -aminoadipic acid,  $\alpha$ -aminopimelic acid, or  $\beta$ -aminoglutaric acid slightly affected the  $K_i$ . Free aspartyl or glutamyl conjugation through a peptide linkage to the  $\gamma$ -carboxyl group of the glutamate side chain decreased the  $K_i$  by 5- and 8-fold resp., raising the possibility that other amino acid conjugation might enhance inhibitory potency and assist transport and prevent degradation by cells. Tetrahydrofolate analogs, formed by inserting an ethylene, iminyl, or carbonyl bridge between the N at N5 and N10 or by substitution at the N5 position, were poor inhibitors, showing that these positions are sensitive to electronic and steric effects.

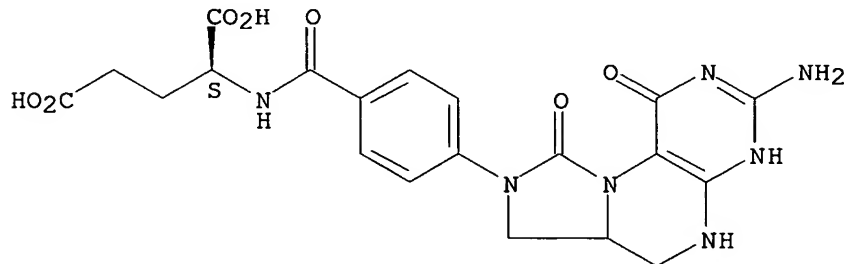
IT 10538-99-5

RL: BIOL (Biological study)  
(thymidylate synthetase response to)

RN 10538-99-5 CAPLUS

CN L-Glutamic acid, N-[4-(3-amino-1,2,5,6,6a,7-hexahydro-1,9-dioxoimidazo[1,5-f]pteridin-8(9H)-yl)benzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 38 OF 38 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1966:476281 CAPLUS

DOCUMENT NUMBER: 65:76281

ORIGINAL REFERENCE NO.: 65:14278f-g

TITLE: Mechanism of action of some new types of  
antimetabolites

AUTHOR(S): Slavik, K.; Slavikova, V.; Pristoupilova, K.; Soucek,  
J.; Tomsova, Z.

CORPORATE SOURCE: Karlova Univ., Prague

SOURCE: Acta Univ. Carolinae, Med., Suppl. (1965), 21, 155-63

DOCUMENT TYPE: Journal

LANGUAGE: Russian/English

AB Interrelations between the structure of antimetabolites and the mechanisms of their biochem. effects were studied. The synthesized antimetabolites (N10-formylaminopterin, N10-hydroxymethylaminopterin, tetrahydroaminopterin, its N5- and N10-formyl derivs., N5-10-methylenetetrahydroaminopterin, tri(hydroxymethyl)aminopterin, and their 3',5'-dibromo derivs, were studied. Aminopterin and its N10-substituted

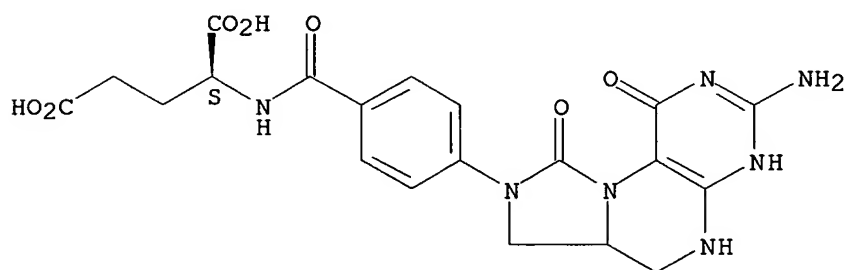
derivs. with a nonhydrogenated pyrazine ring substantially inhibited folate reductase. Tetrahydroaminopterin and its derivs. inhibited folate reductase, methylene tetrahydro-folate dehydrogenase, formimino transferase, and thymidylic acid synthesis. Halogenation of the aromatic ring by Br did not significantly alter the behavior of these compds. with regard to the enzyme systems in vitro. However, their toxicity was 500 times as great as that of the analogous nonhalogenated derivs. for mice. The folic acid N10-carboxy, -thiocarboxy, and -fluoroformyl derivs. slightly inhibited folate reductase; they did not affect purine and thymidylic acid biosynthesis.

IT 10538-99-5, Glutamic acid, N-[p-[3-amino-5,6,6a,7-tetrahydro-1-hydroxy-9-oxoimidazo[1,5-f]pteridin-8(9H)-yl]benzoyl]-  
(as folic acid antagonist)

RN 10538-99-5 CAPLUS

CN L-Glutamic acid, N-[4-(3-amino-1,2,5,6,6a,7-hexahydro-1,9-dioxoimidazo[1,5-f]pteridin-8(9H)-yl)benzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> log y

COST IN U.S. DOLLARS

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ENTRY

TOTAL  
SESSION

FULL ESTIMATED COST

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347.74

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE  
ENTRY

TOTAL  
SESSION

CA SUBSCRIBER PRICE

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-27.74

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10/748,342 5/31/05

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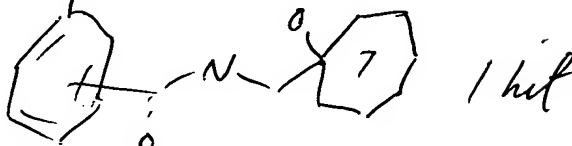
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NEWS 4 FEB 28	PATDPAFULL - New display fields provide for legal status data from INPADOC	identical to the app but not claimed
NEWS 5 FEB 28	BABS - Current-awareness alerts (SDIs) available	
NEWS 6 FEB 28	MEDLINE/LMEDLINE reloaded	
NEWS 7 MAR 02	GBFULL: New full-text patent database on STN	2/ CAPLUS, USPATFULL
NEWS 8 MAR 03	REGISTRY/ZREGISTRY - Sequence annotations enhanced	text search
NEWS 9 MAR 03	MEDLINE file segment of TOXCENTER reloaded	
NEWS 10 MAR 22	KOREAPAT now updated monthly; patent information enhanced	
NEWS 11 MAR 22	Original IDE display format returns to REGISTRY/ZREGISTRY	
NEWS 12 MAR 22	PATDPASPC - New patent database available	benzamide? (5) triazole (5)
NEWS 13 MAR 22	REGISTRY/ZREGISTRY enhanced with experimental property tags	cyclohept?
NEWS 14 APR 04	EPFULL enhanced with additional patent information and new fields	
NEWS 15 APR 04	EMBASE - Database reloaded and enhanced	
NEWS 16 APR 18	New CAS Information Use Policies available online	
NEWS 17 APR 25	Patent searching, including current-awareness alerts (SDIs), based on application date in CA/CAPLUS and USPATFULL/USPAT2 may be affected by a change in filing date for U.S. applications.	
NEWS 18 APR 28	Improved searching of U.S. Patent Classifications for U.S. patent records in CA/CAPLUS	
NEWS 19 MAY 23	GBFULL enhanced with patent drawing images	
NEWS 20 MAY 23	REGISTRY has been enhanced with source information from CHEMCATS	
NEWS 21 MAY 26	STN User Update to be held June 6 and June 7 at the SLA 2005 Annual Conference	
NEWS EXPRESS	JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005	
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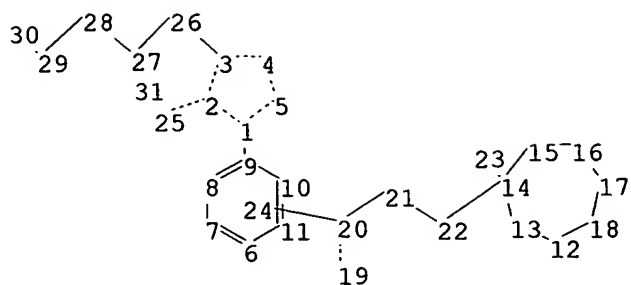
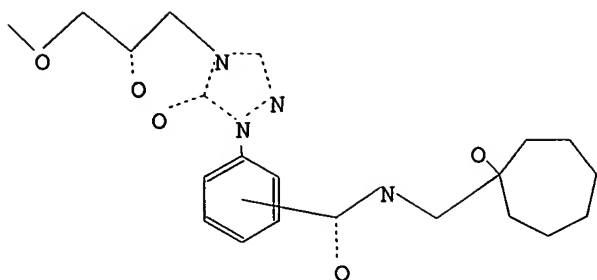
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chain nodes :

19 20 21 22 23 25 26 27 28 29 30 31

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18

chain bonds :

1-9 2-25 3-26 14-22 14-23 19-20 20-21 21-22 26-27 27-28 27-31 28-29  
29-30

ring bonds :

1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11 12-13 12-18 13-14  
14-15 15-16 16-17 17-18

exact/norm bonds :

1-2 1-5 1-9 2-3 2-25 3-4 3-26 4-5 12-13 12-18 13-14 14-15 14-23 15-16  
16-17 17-18 19-20 20-21 21-22 27-31 28-29 29-30

exact bonds :

14-22 26-27 27-28

normalized bonds :

6-7 6-11 7-8 8-9 9-10 10-11

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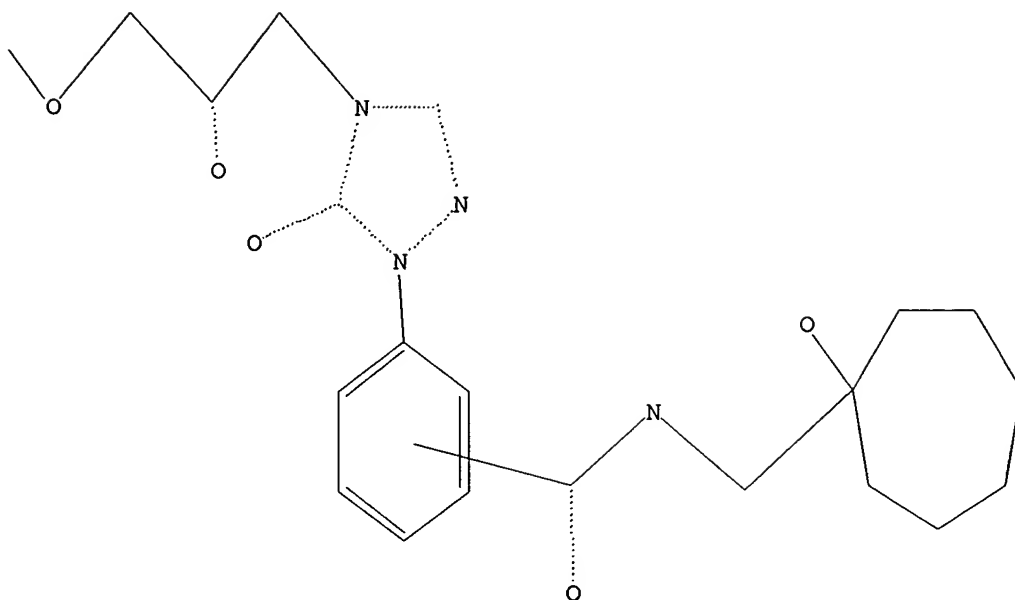
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11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS  
20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS  
28:CLASS 29:CLASS 30:CLASS 31:CLASS

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L1 STR



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 BATCH \*\*COMPLETE\*\*  
 PROJECTED ITERATIONS: 3 TO 163  
 PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s L1 full

FULL SEARCH INITIATED 10:03:43 FILE 'REGISTRY'  
 FULL SCREEN SEARCH COMPLETED - 83 TO ITERATE

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 SEARCH TIME: 00.00.02

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L4 1 L3

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L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:565226 CAPLUS

DOCUMENT NUMBER: 141:123633

TITLE: Preparation of benzamide inhibitors of the P2x7 receptor

INVENTOR(S): Duplantier, Allen J.; Subramanyam, Chakrapani; Dombroski, Mark A.

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 79 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PCT/IB2003/006232

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058731	A1	20040715	WO 2003-IB6232	20031230
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.:

OTHER SOURCE(S):

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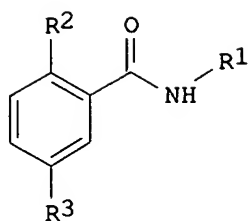
MARPAT 141:123633

US 2002-437228P

P 20021231

Same PROV is claimed in  
this application

This app does not claim the  
PCT/IB2003/006232



I

AB Title benzamide derivs. I (R1 = alkyl, optionally substituted by cycloalkyl, aryl, heteroaryl; R2 = H, halo, cyano, optionally substituted alkyl; R3 = suitably substituted nitrogen-linked heterocyclyl) and pharmaceutically acceptable salts, useful as P2X7 receptor antagonists, are prepared. Thus, 2-chloro-N-(1-hydroxycycloheptylmethyl)-5-[4-(2-methoxyethyl)-5-oxo-4,5-dihydro[1,2,4]triazol-1-yl]benzamide was prepared in a multi-step synthesis from 5-amino-2-chlorobenzoic acid. The compds. of the invention are useful in the treatment of IL-1 mediated disorders, including, without limitation, inflammatory diseases such as osteoarthritis and rheumatoid arthritis; allergies, asthma, COPD, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders.

IT **723242-85-1P 723242-86-2P**

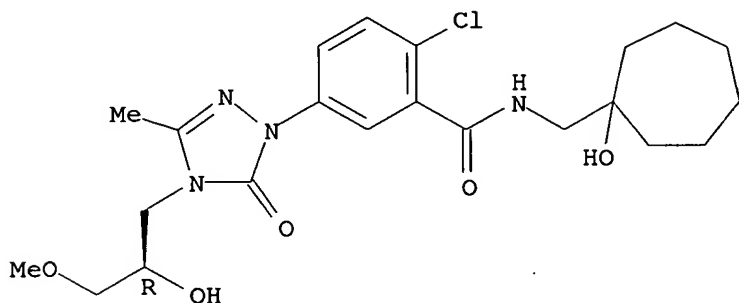
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzamide inhibitors of the P2x7 receptor)

RN 723242-85-1 CAPLUS

CN Benzamide, 2-chloro-5-[4,5-dihydro-4-[(2R)-2-hydroxy-3-methoxypropyl]-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl]-N-[(1-hydroxycycloheptyl)methyl]-  
(9CI) (CA INDEX NAME)

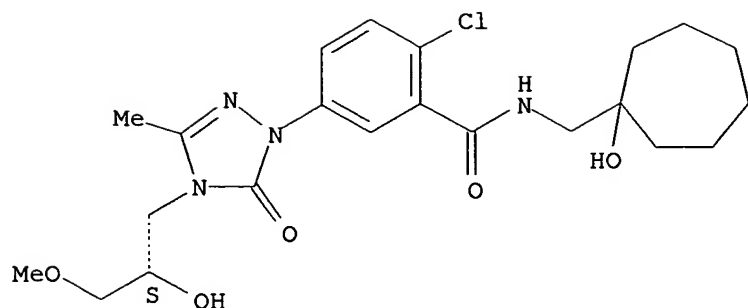
Absolute stereochemistry.



RN 723242-86-2 CAPLUS

CN Benzamide, 2-chloro-5-[4,5-dihydro-4-[(2S)-2-hydroxy-3-methoxypropyl]-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl]-N-[(1-hydroxycycloheptyl)methyl]-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



```
=> fil caplus
COST IN U.S. DOLLARS                SINCE FILE      TOTAL
                                     ENTRY      SESSION
FULL ESTIMATED COST                6.29      167.83

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)  SINCE FILE      TOTAL
                                             ENTRY      SESSION
CA SUBSCRIBER PRICE                -0.73      -0.73
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```
=> s benzamid?(s)cyclohept?(s)triazol?
    31844 BENZAMID?
    21824 CYCLOHEPT?
    39733 TRIAZOL?
L5      0 BENZAMID?(S)CYCLOHEPT?(S)TRIAZOL?

=> s benzamid?(p)cyclohept?(p)triazol?
    31844 BENZAMID?
    21824 CYCLOHEPT?
    39733 TRIAZOL?
L6      0 BENZAMID?(P)CYCLOHEPT?(P)TRIAZOL?

=> s benzamid?/cn(p)cyclohept?/cn(p)triazol?/cn
    REGISTRY INITIATED
```

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PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH  
FIELD CODE - 'AND' OPERATOR ASSUMED 'NZAMID?/CN(P)CYCLOHEPT?'  
PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH  
FIELD CODE - 'AND' OPERATOR ASSUMED 'LOHEPT?/CN(P)TRIAZOL?'

L8                      0 L7

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.45	195.20
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA 'SUBSCRIBER PRICE	0.00	-0.73

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=> fil uspatfull

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.45	195.65
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-0.73

FILE 'USPATFULL' ENTERED AT 10:08:27 ON 31 MAY 2005  
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FILE COVERS 1971 TO PATENT PUBLICATION DATE: 26 May 2005 (20050526/PD)  
FILE LAST UPDATED: 26 May 2005 (20050526/ED)  
HIGHEST GRANTED PATENT NUMBER: US6898801  
HIGHEST APPLICATION PUBLICATION NUMBER: US2005114973

CA INDEXING IS CURRENT THROUGH 26 May 2005 (20050526/UPCA)  
 ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 26 May 2005 (20050526/PD)  
 REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2005  
 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Apr 2005

```
>>> USPAT2 is now available. USPATFULL contains full text of the <<<
>>> original, i.e., the earliest published granted patents or <<<
>>> applications. USPAT2 contains full text of the latest US <<<
>>> publications, starting in 2001, for the inventions covered in <<<
>>> USPATFULL. A USPATFULL record contains not only the original <<<
>>> published document but also a list of any subsequent <<<
>>> publications. The publication number, patent kind code, and <<<
>>> publication date for all the US publications for an invention <<<
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>>> /PK, etc. <<<
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>>> Use USPATALL when searching terms such as patent assignees, <<<
>>> classifications, or claims, that may potentially change from <<<
>>> the earliest to the latest publication. <<<
```

This file contains CAS Registry Numbers for easy and accurate  
 substance identification.

'BI,IT,ST,CC' IS DEFAULT SEARCH FIELD FOR 'USPATFULL' FILE

=> s benzamid?(s)triazol?(s)cyclohept?

```
20242 BENZAMID?/BI
2154 BENZAMID?/IT
994 BENZAMID?/ST
0 BENZAMID?/CC
45946 TRIAZOL?/BI
4863 TRIAZOL?/IT
3006 TRIAZOL?/ST
0 TRIAZOL?/CC
30060 CYCLOHEPT?/BI
1136 CYCLOHEPT?/IT
171 CYCLOHEPT?/ST
0 CYCLOHEPT?/CC
L9 33 BENZAMID?/BI,IT,ST,CC(S)TRIAZOL?/BI,IT,ST,CC(S)CYCLOHEPT?/BI,IT,
ST,CC
```

=> d L9 kwic 1-4

L9 ANSWER 1 OF 33 USPATFULL on STN

SUMM . . . trifloxystrobins, triflumizole, triforine, triticonazole,  
 uniconazole, validamycin A, vinclozolin, viniconazole, zarilamide,  
 zineb, ziram and also Dagger G, OK-8705, OK-8801,  $\alpha$ -(1,1-  
 dimethylethyl)- $\beta$ -(2-phenoxyethyl)-1H-1,2,4-triazole  
 -1-ethanol,  $\alpha$ -(2,4-dichlorophenyl)- $\beta$ -fluoro- $\beta$ -propyl-1H-  
 1,2,4-triazole-1-ethanol,  $\alpha$ -(2,4-dichlorophenyl)- $\beta$ -  
 methoxy- $\alpha$ -methyl-1H-1,2,4-triazole-1-ethanol,  
 $\alpha$ -(5-methyl-1,3-dioxan-5-yl)- $\beta$ -[[4-(trifluoromethyl)-phenyl]-  
 methylene]-1H-1,2,4-triazole-1-ethanol, (5RS,6RS)-6-hydroxy-  
 2,2,7,7-tetramethyl-5-(1H-1,2,4-triazol-1-yl)-3-octanone,  
 (E)- $\alpha$ -(methoxyimino)-N-methyl-2-phenoxy-phenylacetamide,  
 1-(2,4-dichlorophenyl)-2-(1H-1,2,4-triazol-1-yl)-ethanone-O-  
 (phenylmethyl)-oxime, 1-(2-methyl-1-naphthalenyl)-1H-pyrrole-2,5-dione,  
 1-(3,5-dichlorophenyl)-3-(2-propenyl)-2,5-pyrrolidinedione,  
 1-[(diiodomethyl)-sulfonyl]-4-methyl-benzene, 1-[[2-(2,4-dichlorophenyl)-

1,3-dioxolan-2-yl]-methyl]-1H-imidazole, 1-[[2-(4-chlorophenyl)-3-phenyloxiranyl]-methyl]-1H-1,2,4-**triazole**, 1-[1-[2-[(2,4-dichlorophenyl)-methoxy]-phenyl]-ethenyl]-1H-imidazole, 1-methyl-5-nonyl-2-(phenylmethyl)-3-pyrrolidinol, 2',6'-dibromo-2-methyl-4'-trifluoromethoxy-4'-trifluoro-methyl-1,3-thiazole-5-carboxanilide, 2,6-dichloro-5-(methylthio)-4-pyrimidinyl-thiocyanate, 2,6-dichloro-N-(4-trifluoromethylbenzyl)-**benzamide**, 2,6-dichloro-N-[[4-(trifluoromethyl)-phenyl]-methyl]-**benzamide**, 2-(2,3,3-triiodo-2-propenyl)-2H-tetrazole, 2-[(1-methylethyl)-sulfonyl]-5-(trichloromethyl)-1,3,4-thiadiazole, 2-[[6-deoxy-4-O-(4-O-methyl-β-D-glycopyranosyl)-α-D-glucopyranosyl]-amino]-4-methoxy-1H-pyrrolo[2,3-d]pyrimidine-5-carbonitrile, 2-aminobutane, .sup.2-bromo-2-(bromomethyl)-pentanedinitrile, 2-chloro-N-(2,3-dihydro-1,1,3-trimethyl-1H-inden-4-yl)-3-pyridinecarboxamide, 2-chloro-N-(2,6-dimethylphenyl)-N-(isothiocyanatomethyl)-acetarnide, 2-phenylphenol (OPP), 3,4-dichloro-1-[4-(difluoromethoxy)-phenyl]-1H-pyrrole-2,5-dione, 3,5-dichloro-N-[cyano-[(1-methyl-2-propynyl)-oxy]-methyl]-**benzamide**, 3-(1,1-dimethylpropyl)-1-oxo-1H-indene-2-carbonitrile, 3-[2-(4-chlorophenyl)-5-ethoxy-3-isoxazolidinyl]-pyridine, 4-chloro-2-cyano-N,N-dimethyl-5-(4-methylphenyl)-1H-imidazole-1-sulfonamide, 4-methyl-tetrazolo[1,5-a]quinazolin-5(4H)-one, 8-hydroxyquinoline sulfate, 9H-xanthene-2-[(phenylamino)-carbonyl]-9-carboxylic hydrazide, bis-(1-methylethyl)-3-methyl-4-[(3-methylbenzoyl)-oxy]-2,5-thiophenedicarboxylate, cis-1-(4-chlorophenyl)-2-(1H-1,2,4-**triazol**-1-yl)-**cycloheptanol**, cis-4-[3-[4-(1,1-dimethylpropyl)-phenyl-2-methylpropyl]-2,6-dimethyl-morpholinehydrochloride, ethyl [(4-chlorophenyl)-azo]-cyanoacetate, potassium hydrogen carbonate, methanetetraethiol sodium salt, methyl 1-(2,3-dihydro-2,2-dimethyl-1H-inden-1-yl)-1H-imidazole-5-carboxylate, methyl N-(2,6-dimethylphenyl)-N-(5-isoxazolylcarbonyl)-DL-alaninate, methyl N-(chloroacetyl)-N-(2,6-dimethylphenyl)-DL-alaninate, N-(2,6-dimethylphenyl)-2-methoxy-N-(tetrahydro-2-oxo-3-furanyl)-acetamide, N-(2,6-dimethylphenyl)-2-methoxy-N-(tetrahydro-2-oxo-3-thienyl)-acetamide, N-(2-chloro-4-nitrophenyl)-4-methyl-3-nitro-benzenesulfonamide, N-(4-cyclohexylphenyl)-1,4,5,6-tetrahydro-2-pyrimidinamine, N-(4-hexylphenyl)-1,4,5,6-tetrahydro-2-pyrimidinamine, N-(5-chloro-2-methylphenyl)-2-methoxy-N-(2-oxo-3-oxazolidinyl)-acetamide, N-(6-methoxy-3-pyridinyl)-cyclopropanecarboxamide, N-[2,2,2-trichloro-1-[(chloroacetyl)-amino]-ethyl]-**benzamide**, N-[3-chloro-4,5-bis-(2-propinyloxy)-phenyl]-N'-methoxy-methanimidamide, N-formyl-N-hydroxy-DL-alanine sodium salt, O,O-diethyl [2-(dipropylamino)-2-oxoethyl]-ethylphosphoramidothioate, O-methyl S-phenyl phenylpropylphosphoramidothioate, S-methyl 1,2,3-benzothiadiazole-7-carbothioate, spiro[2H]-1-benzopyrane-2,1'(3'H)-isobenzofuran-3'-one, 4-[(3,4-dimethoxyphenyl)-3-(4-fluorophenyl)-acryloyl]-morpholine.

L9 ANSWER 2 OF 33 USPATFULL on STN

SUMM . . . uniconazole,  
validamycin A, vinclozolin, viniconazole,  
zarilamide, zineb, ziram and also

Dagger G,  
OK-8705,  
OK-8801,

α-(1,1-dimethylethyl)-β-(2-phenoxyethyl)-1H-1,2,4- **triazole**  
-1-ethanol,

α-(2,4-dichlorophenyl)-β-fluoro-8-propyl-1H-1,2,4- **triazole**  
-1-ethanol,

α-(2,4-dichlorophenyl)-β-methoxy-α-methyl-1H-1,2,4-  
**triazole**-1-ethanol,

α-(5-methyl-1,3-dioxan-5-yl)-β-[[4-(trifluoromethyl)-phenyl]-  
methylene]-1H-1,2,4-**triazole**-1-ethanol,

(5RS,6RS)-6-hydroxy-2,2,7,7-tetramethyl-5-(1H-1,2,4-**triazol**

-1-yl)-3-octanone,  
 (E)- $\alpha$ -(methoxyimino)-N-methyl-2-phenoxy-phenylacetamide,  
 1-isopropyl{2-methyl-1-[[[1-(4-methylphenyl)-ethyl]-amino]-carbonyl]-propyl}-  
 carbamate,  
 1-(2,4-dichlorophenyl)-2-(1H-1,2,4-triazol-1-yl)-ethanone-O-  
 (phenylmethyl)-oxime,  
 1-(2-methyl-1-naphthalenyl)-1H-pyrrol-2,5-dione,  
 1-(3,5-dichlorophenyl)-3-(2-propenyl)-2,5-pyrrolidindione,  
 1-[(diiodomethyl)-sulphonyl]-4-methylbenzene,  
 1-[[2-(2,4-dichlorophenyl)-1,3-dioxolan-2-yl]-methyl]-1H-imidazole,  
 1-[[2-(4-chlorophenyl)-3-phenyloxiranyl]-methyl]-1H-1,2,4-triazole,  
 1-[1-[2-[(2,4-dichlorophenyl)-methoxy]-phenyl]-ethenyl]-1H-imidazole,  
 1-methyl-5-nonyl-2-(phenylmethyl)-3-pyrrolidinole,  
 2',6'-dibromo-2-methyl-4'-trifluoromethoxy-4'-trifluoromethyl-1,3-thiazole-5-  
 carboxanilide,  
 2,2-dichloro-N-[1-(4-chlorophenyl)-ethyl]-1-ethyl-3-methyl-  
 cyclopropanecarboxamide,  
 2,6-dichloro-5-(methylthio)-4-pyrimidinyl-thiocyanate,  
 2,6-dichloro-N-(4-trifluoromethylbenzyl)-benzamide,  
 2,6-dichloro-N-[[4-(trifluoromethyl)-phenyl]-methyl]-benzamide,  
 2-(2,3,3-triiodo-2-propenyl)-2H-tetrazole,  
 2-[(1-methylethyl)-sulphonyl]-5-(trichloromethyl)-1,3,4-thiadiazole,  
 2-[[6-deoxy-4-O-(4-O-methyl- $\beta$ -D-glycopyranosyl)- $\alpha$ -D-glucopyranosyl]-  
 amino]-4-methoxy-1H-pyrrolo[2,3-d]pyrimidine-5-carbonitrile,  
 2-aminobutane,  
 2-bromo-2-(bromomethyl)-pentanedinitrile,  
 2-chloro-N-(2,3-dihydro-1,1,3-trimethyl-1H-inden-4-yl)-3-pyridinecarboxamide,  
 2-chloro-N-(2,6-dimethylphenyl)-N-(isothiocyanatomethyl)-acetamide,  
 2-phenylphenol (OPP),  
 3,4-dichloro-1-[4-(difluoromethoxy)-phenyl]-1H-pyrrol-2,5-dione,  
 3,5-dichloro-N-[cyano[(1-methyl-2-propynyl)-oxy]-methyl]-benzamide,  
 3-(1,1-dimethylpropyl)-1-oxo-1H-indene-2-carbonitrile,  
 3-[2-(4-chlorophenyl)-5-ethoxy-3-isoxazolidinyl]-pyridine,  
 4-chloro-2-cyano-N,N-dimethyl-5-(4-methylphenyl)-1H-imidazole-1-sulphonamide,  
 4-methyltetrazolo[1,5-a]quinazolin-5(4H)-one,  
 8-(1,1-dimethylethyl)-N-ethyl-N-propyl-1,4-dioxaspiro[4.5]decane-2-  
 methanamine,  
 8-hydroxyquinoline sulphate,  
 9H-xanthene-2-[(phenylamino)-carbonyl]-9-carboxylic hydrazide,  
 bis-(1-methylethyl)-3-methyl-4-[(3-methylbenzoyl)-oxy]-2,5-  
 thiophenedicarboxylate,  
 cis-1-(4-chlorophenyl)-2-(1H-1,2,4-triazol-1-yl)-  
 cycloheptanol,  
 cis-4-[3-[4-(1,1-dimethylpropyl)-phenyl]-2-methylpropyl]-2,6-dimethylmorpholine  
 hydrochloride,  
 ethyl [(4-chlorophenyl)-azo]-cyanoacetate,  
 potassium bicarbonate,  
 methanetetrahiol-sodium salt,  
 methyl 1-(2,3-dihydro-2,2-dimethyl-1H-inden-1-yl)-1H-imidazole-5-carboxylate,  
 methyl N-(2,6-dimethylphenyl)-N-(5-isoxazolylcarbonyl)-DL-alaninate,  
 methyl N-(chloroacetyl)-N-(2,6-dimethylphenyl)-DL-alaninate,  
 N-(2,3-dichloro-4-hydroxyphenyl)-1-methyl-cyclohexanecarboxamide,  
 N-(2,6-dimethylphenyl)-2-methoxy-N-(tetrahydro-2-oxo-3-furanyl)-acetamide,  
 N-(2,6-dimethylphenyl)-2-methoxy-N-(tetrahydro-2-oxo-3-thienyl)-acetamide,  
 N-(2-chloro-4-nitrophenyl)-4-methyl-3-nitrobenzenesulphonamide,  
 N-(4-cyclohexylphenyl)-1,4,5,6-tetrahydro-2-pyrimidinamine,  
 N-(4-hexylphenyl)-1,4,5,6-tetrahydro-2-pyrimidinamine,  
 N-(5-chloro-2-methylphenyl)-2-methoxy-N-(2-oxo-3-oxazolidinyl)-acetamide,  
 N-(6-methoxy)-3-pyridinyl-cyclopropanecarboxamide,  
 N-[2,2,2-trichloro-1-[(chloroacetyl)-amino]-ethyl]-benzamide,  
 N-[3-chloro-4,5-bis(2-propinyloxy)-phenyl]-N'-methoxymethanimidamide,  
 N-formyl-N-hydroxy-DL-alanine-sodium salt,  
 O,O-diethyl[2-(dipropylamino)-2-oxoethyl]-ethylphosphoramidothioate,

O-methyl S-phenyl phenylpropylphosphoramidothioate,  
S-methyl 1,2,3-benzothiadiazole-7-carbothioate,  
spiro[2H]-1-benzopyrane-2,1' (3'H)-isobenzofuran]-3'-one,  
4-[3,4-dimethoxyphenyl]-3-(4-fluorophenyl)-acryloyl]-morpholine

L9 ANSWER 3 OF 33 USPATFULL on STN

SUMM . . . uniconazole,  
validamycin A, vinclozolin, viniconazole,  
zarilamide, zineb, ziram and also  
Dagger G,  
OK-8705,  
OK-8801,  
 $\alpha$ -(1,1-dimethylethyl)- $\beta$ -(2-phenoxyethyl)-1H-1,2,4- **triazole**  
-1-ethanol,  
 $\alpha$ -(2,4-dichlorophenyl)- $\beta$ -fluoro- $\beta$ -propyl-1H-1,2,4-  
**triazole**-1-ethanol,  
 $\alpha$ -(2,4-dichlorophenyl)- $\beta$ -methoxy- $\beta$ -methyl-1H-1,2,4-  
**triazole**-1-ethanol,  
 $\alpha$ -(5-methyl-1,3-dioxan-5-yl)- $\beta$ -[[4-(trifluoromethyl)-phenyl]-  
methylene]-1H-1,2,4-**triazole**-1-ethanol,  
(5RS,6RS)-6-hydroxy-2,2,7,7-tetramethyl-5-(1H-1,2,4-**triazol**  
-1-yl)-3-octanone,  
(E)- $\alpha$ -(methoxyimino)-N-methyl-2-phenoxy-phenylacetamide,  
1-isopropyl {2-methyl-1-[[[1-(4-methylphenyl)ethyl]amino]carbonyl]propyl}carba  
mate,  
1-(2,4-dichlorophenyl)-2-(1H-1,2,4-**triazol**-1-yl)-ethanone-O-  
(phenylmethyl)-oxime,  
1-(2-methyl-1-naphthalenyl)-1H-pyrrole-2,5-dione,  
1-(3,5-dichlorophenyl)-3-(2-propenyl)-2,5-pyrrolidinedione,  
1-[[diiodomethyl]-sulphonyl]-4-methyl-benzene,  
1-[[2-(2,4-dichlorophenyl)-1,3-dioxolan-2-yl]-methyl]-1H-imidazole,  
1-[[2-(4-chlorophenyl)-3-phenyloxiranyl]-methyl]-1H-1,2,4-**triazole**,  
1-[1-[2-[(2,4-dichlorophenyl)-methoxy]-phenyl]-ethenyl]-1H-imidazole,  
1-methy-5-nonyl-2-(phenylmethyl)-3-pyrrolidinole,  
2',6'-dibromo-2-methyl-4'-trifluoromethoxy-4'-trifluoromethyl-1,3-thiazole-5-  
carboxanilide,  
2,2-dichloro-N-[1-(4-chlorophenyl)-ethyl]-1-ethyl-3-  
methylcyclopropanecarboxamide,  
2,6-dichloro-5-(methylthio)-4-pyrimidinyl-thiocyanate,  
2,6-dichloro-N-(4-trifluoromethylbenzyl)-**benzamide**,  
2,6-dichloro-N-[[4-(trifluoromethyl)-phenyl]-methyl]-**benzamide**,  
2-(2,3,3-triiodo-2-propenyl)-2H-tetrazole, 2-[(1-methylethyl)-sulphonyl]-5-  
(trichloromethyl)-1,3,4-thiadiazole,  
2-[[6-deoxy-4-O-(4-O-methyl- $\beta$ -D-glycopyranosyl)- $\alpha$ -D-glucopyranosyl]-  
amino]-4-methoxy-1-pyrrolo[2,3-d]pyrimidine-5-carbonitrile,  
2-aminobutane,  
2-bromo-2-(bromomethyl)-pentanedinitrile,  
2-chloro-N-(2,3-dihydro-1,1,3-trimethyl-1H-inden-4-yl)-3-pyridinecarboxamide,  
2-chloro-N-(2,6-dimethylphenyl)-N-(isothocyanatomethyl)-acetamide,  
2-phenylphenol (OPP),  
3,4-dichloro-1-[4-(difluoromethoxy)-phenyl]-1H-pyrrole-2,5-dione,  
3,5-dichloro-N-[cyano[(1-methyl-2-propynyl)-oxy]-methyl]-**benzamide**,  
3-(1,1-dimethylpropyl)-1-oxo-1H-indene-2-carbonitrile,  
3-[2-(4-chlorophenyl)-5-ethoxy-3-isoxazolidinyl]-pyridine,  
4-chloro-2-cyano-N,N-dimethyl-5-(4-methylphenyl)-1H-imidazole-1-sulphonamide,  
4-methyl-tetrazolo[1,5-a]quinazolin-5(4H)-one,  
8-(1,1-dimethylethyl)-N-ethyl-N-propyl-1,4-dioxaspiro[4.5]decane-2-  
methanamine,  
8-hydroxyquinoline sulphate,  
9H-xanthene-2-[(phenylamino)-carbonyl]-9-carboxylic hydrazide,  
bis-(1-methylethyl)-3-methyl-4-[(3-methylbenzoyl)-oxy]-2,5-  
thiophenedicarboxylate,  
cis-1-(4-chlorophenyl)-2-(1H-1,2,4-**triazol**-1-yl)-



**cycloheptanol,**  
 cis-4-[3-[4(1,1-dimethylpropyl)-phenyl]-2-methylpropyl]-2,6-dimethyl-  
 morpholine hydrochloride,  
 ethyl [(4-chlorophenyl)-azo]-cyanoacetate,  
 potassium bicarbonate,  
 methanetetraethiol-sodium salt,  
 methyl 1-(2,3-dihydro-2,2-dimethyl-1H-inden-1-yl)-1H-imidazole-5-carboxylate,  
 methyl N-(2,6-dimethylphenyl)-N-(5-isoxazolylcarbonyl)-DL-alaninate,  
 methyl N-(chloroacetyl)-N-(2,6-dimethylphenyl)-DL-alaninate,  
 N-(2,3-dichloro-4-hydroxyphenyl)-1-methylcyclohexanecarboxamide,  
 N-(2,6-dimethylphenyl)-2-methoxy-N-(tetrahydro-2-oxo-3-furanyl)-acetamide,  
 N-(2,6-dimethylphenyl)-2-methoxy-N-(tetrahydro-2-oxo-3-thienyl)-acetamide,  
 N-(2-chloro-4-nitrophenyl)-4-methyl-3-nitro-benzenesulphonamide,  
 N-(4-cyclohexylphenyl)-1,4,5,6-tetrahydro-2-pyrimidineamine,  
 N-(4-hexylphenyl)-1,4,5,6-tetrahydro-2-pyrimidineamine,  
 N-(5-chloro-2-methylphenyl)-2-methoxy-N-(2-oxo-3-oxazolidinyl)-acetamide,  
 N-(6-methoxy-3-pyridinyl)-cyclopropanecarboxamide,  
 N-[2,2,2-trichloro-1-[(chloroacetyl)-amino]-ethyl]-**benzamide,**  
 N-[3-chloro-4,5-bis-(2-propinyloxy)-phenyl]-N'-methoxy-methaneimidamide,  
 N-formyl-N-hydroxy-DL-alanine-sodium salt,  
 O,O-diethyl [2-(dipropylamino)-2-oxoethyl]-ethylphosphoramidothioate,  
 O-methyl S-phenyl phenylpropylphosphoramidothioate,  
 S-methyl 1,2,3-benzothiadiazole-7-carbothioate,  
 spiro[2H]-1-benzopyran-2,1'(3'H)-isobenzofuran-3'-one,  
 4-[3,4-dimethoxyphenyl-3-(4-fluorophenyl)-acryloyl]-morpholine.  
 Bactericides: . . . lecanii,  
 YI 5302,  
 zeta-cypermethrin, zolaprofos,  
 (1R-cis)-[5-(phenylmethyl)-3-furanyl]-methyl 3-[(dihydro-2-oxo-3(2H)-  
 furanylidene)-methyl]-2,2-dimethylcyclopropanecarboxylate,  
 (3-phenoxyphenyl)-methyl 2,2,3,3-tetramethylcyclopropanecarboxylate,  
 1-[(2-chloro-5-thiazolyl)methyl]tetrahydro-3,5-dimethyl-N-nitro-1,3,5-triazine-  
 2(1H)-imine,  
 2-(2-chloro-6-fluorophenyl)-4-[4-(1,1-dimethylethyl)phenyl]-4,5-dihydro-  
 oxazole,  
 2-(acetyloxy)-3-dodecyl-1,4-naphthalenedione,  
 2-chloro-N-[[[4-(1-phenylethoxy)-phenyl]-amino]-carbonyl]-**benzamide,**  
 2-chloro-N-[[[4-(2,2-dichloro-1,1-difluoroethoxy)-phenyl]-amino]-carbonyl]-  
**benzamide,**  
 3-methylphenyl propylcarbamate,  
 4-[4-(4-ethoxyphenyl)-4-methylpentyl]-1-fluoro-2-phenoxy-benzene,  
 4-chloro-2-(1,1-dimethylethyl)-5-[[2-(2,6-dimethyl-4-  
 phenoxyphenoxy)ethyl]thio]-3(2H)-pyridazinone,  
 4-chloro-2-(2-chloro-2-methylpropyl)-5-[(6-iodo-3-pyridinyl)methoxy]-3(2H)-  
 pyridazinone,  
 4-chloro-5-[(6-chloro-3-pyridinyl)methoxy]-2-(3,4-dichlorophenyl)-3(2H)-  
 pyridazinone,  
 Bacillus thuringiensis strain EG-2348,  
 2-benzoyl-1-(1,1-dimethylethyl)-hydrazinobenzoic acid,  
 . . .

L9 ANSWER 4 OF 33 USPATFULL on STN

SUMM . . . trichlamide, tricyclazole, tridemorph, triflumizole,  
 triforine, triticonazole, uniconazole, validamycin A, vinclozolin,  
 viniconazole, zarilamide, zineb, ziram and also Dagger G. OK-8705,  
 OK-8801,  $\alpha$ -(1,1-dimethylethyl)- $\beta$ -(2-phenoxyethyl)-1H-1,2,4-  
**triazole**-1-ethanol,  $\alpha$ -(2,4-dichloro-phenyl)- $\beta$ -fluoro-  
 b-propyl-1H-1,2,4-**triazole**-1-ethanol,  $\alpha$ -(2,4-  
 dichlorophenyl)- $\beta$ -methoxy-a-methyl-1H-1,2,4- **triazole**  
 -1-ethanol,  $\alpha$ -(5-methyl-1,3-dioxan-5-yl)- $\beta$ -[[4-  
 (trifluoromethyl)-phenyl]-methylene]-1H-1,2,4-**triazole**  
 -1-ethanol, (5RS,6RS)-6-hydroxy-2,2,7,7-tetramethyl-5-(1H-1,2,4-  
**triazol**-1-yl)-3-octanone,. (E)-a-(methoxy-imino)-N-methyl-2-

phenoxy-phenylacetamide, isopropyl 1-(2-methyl-1-[[[1-(4-methylphenyl)-ethyl]-amino]-carbonyl]-propyl)-carbamate, 1-(2,4-dichlorophenyl)-2-(1H-1,2,4-triazol-1-yl)-ethanone. O-(phenylmethyl) oxime, 1-(2-methyl-1-naphthalenyl)-1H-pyrrol-2,5-dione, 1-(3,5-dichlorophenyl)-3-(2-propenyl)-2,5-pyrrolidinedione, 1-[(diiodomethyl)-sulphonyl]-4-methyl-benzene, 1-[[2-(2,4-dichlorophenyl)-1,3-dioxolan-2-yl]-methyl]-1H-imidazole, 1-[[2-(4-chlorophenyl)-3-phenyloxiranyl]-methyl]-1H-1,2,4-triazole, 1-[1-[2-[(2,4-dichlorophenyl)-methoxy]-phenyl]-ethenyl]-1H-imidazole, 1-methyl-5-nonyl-2-(phenylmethyl)-3-pyrrolidinole, 2',6'-dibromo-2-methyl-4'-trifluoromethoxy-4'-trifluoro-methyl-1,3-thiazole-5-carboxanilide, 2,2-dichloro-N-[1-(4-chlorophenyl)-ethyl]-1-ethyl-3-methyl-cyclopropanecarboxamide, 2,6-dichloro-5-(methylthio)-4-pyrimidinyl thiocyanate, 2,6-dichloro-N-(4-trifluoromethylbenzyl)-benzamide, 2,6-dichloro-N-[[4(trifluoromethyl)-phenyl]-methyl]-benzamide, 2-(2,3,3-triiodo-2-propenyl)-2H-tetrazole, 2-[(1-methylethyl)-sulphonyl]-5-(trichloromethyl)-1,3,4-thiadiazole, 2-[[6-deoxy-4-O-(4-O-methyl-β-D-glycopyranosyl)-α-D-glucopyranosyl]-amino]-4-methoxy-1H-pyrrolo[2,3-d]pyrimidine-5-carbonitrile, 2-aminobutane, 2-bromo-2-(bromomethyl)-pentanedinitrile, 2-chloro-N-(2,3-dihydro-1,1,3-trimethyl-1H-inden-4-yl)-3-pyridine-carboxamide, 2-chloro-N-(2,6-dimethylphenyl)-N-(isothiocyanatomethyl)-acetamide, 2-phenylphenol (OPP), 3,4-dichloro-1-[4-(difluoromethoxy)-phenyl]-1H-pyrrol-2,5-dione, 3,5-dichloro-N-[cyano-[(1-methyl-2-propynyl)-oxy]-methyl]-benzamide, 3-(1,1-dimethylpropyl-1-oko-1H-indene-2-carbonitrile, 3-[2-(4-chlorophenyl)-5-ethoxy-3-isoxazolidinyl]-pyridine, 4-chloro-2-cyano-N,N-dimethyl-5-(4-methylphenyl)-1H-imidazole-1-sulphonamide, .sup.4-methyl-tetrazolo[1,5-a]quinazolin-5(4H)-one, 8-(1,1-dimethylethyl)-N-ethyl-N-propyl-1,4-dioxaspiro[4.5]decane-2-methanamine, 8-hydroxyquinoline sulphate, 9H-xanthene-2-[(phenylamino)-carbonyl]-9-carboxylic hydrazide, bis-(1-methylethyl) 3-methyl-4-[(3-methylbenzoyl)-oxy]-2,5-thiophenedicarboxylate, cis-1-(4-chlorophenyl)-2-(1H-1,2,4-triazol-1-yl)-cycloheptanol, cis-4-[3-[4-(1,1-dimethylpropyl)-phenyl-2-methylpropyl]-2,6-dimethyl-morpholine hydrochloride, ethyl [(4-chlorophenyl)-azo]-cyanoacetate, potassium hydrogen carbonate, methanetetraethiol sodium salt, methyl 1-(2,3-dihydro-2,2-dimethyl-1H-inden-1-yl)-1H-imidazole-5-carboxylate, methyl N-(2,6-dimethyl-phenyl)-N-(5-isoxazolylcarbonyl)-DL-alaninate, methyl N-(chloroacetyl)-N-(2,6-dimethylphenyl)-DL-alaninate, N-(2,3-dichloro-4-hydroxyphenyl)-1-methyl-cyclo-hexanecarboxamide, N-(2,6-dimethylphenyl)-2-methoxy-N-(tetrahydro-2-oxo-3-furanyl)-acetamide, N-(2,6-dimethylphenyl)-2-methoxy-N-(tetrahydro-2-oxo-3-thienyl)-acetamide, N-(2-chloro-4-nitrophenyl)-4-methyl-3-nitro-benzenesulphonamide, N-(4-cyclohexylphenyl)-1,4,5,6-tetrahydro-2-pyrimidineamine, N-(4-hexylphenyl)-1,4,5,6-tetrahydro-2-pyrimidineamine, N-(5-chloro-2-methylphenyl)-2-methoxy-N-(2-oxo-3-oxazolidinyl)-acetamide, N-(6-methoxy)-3-pyridinyl)-cyclopropanecarboxamide, N-[2,2,2-trichloro-1-[(chloroacetyl)-amino]-ethyl]-benzamide, N-[3-chloro-4,5-bis(2-propinyloxy)-phenyl]-N-methoxy-methanimidamide, N-formyl-N-hydroxy-DL-alanine-sodium salt, O,O-diethyl [2-(dipropylamino)-2-oxoethyl]-ethylphosphoramidothioate, O-methyl S-phenyl phenylpropylphosphoramidothioate, S-methyl 1,2,3-benzothiadiazole-7-carbothioate, and spiro[2H]-1-benzopyran-2,1'(3'H)-isobenzofuran]-3'-one. bronopol, dichlorophen, nitrapyrin, nickel dimethyldithiocarbamate, kasugamycin, octhilinone, furancarboxylic. .

=> d L9 ibib kwic 1-3

L9 ANSWER 1 OF 33 USPATFULL on STN

ACCESSION NUMBER: 2005:125066 USPATFULL

TITLE: Substituted pyrazolines for use as pesticides

INVENTOR(S): Maurer, Fritz, Lauffen, GERMANY, FEDERAL REPUBLIC OF  
 Fuchs, Rainer, Wuppertal, GERMANY, FEDERAL REPUBLIC OF  
 Erdelen, Christoph, Leichlingen, GERMANY, FEDERAL  
 REPUBLIC OF  
 Lubos-Erdelen, Angelika, Leichlingen, GERMANY, FEDERAL  
 REPUBLIC OF legal representative  
 Turberg, Andreas, Haan, GERMANY, FEDERAL REPUBLIC OF

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005107456	A1	20050519
APPLICATION INFO.:	US 2003-501414	A1	20030107 (10)
	WO 2003-EP58		20030107

	NUMBER	DATE
PRIORITY INFORMATION:	DE 2003-10201544	20020117
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	BAYER CROPSCIENCE LP, Patent Department, 100 BAYER ROAD, PITTSBURGH, PA, 15205-9741, US	
NUMBER OF CLAIMS:	15	
EXEMPLARY CLAIM:	1-14	
LINE COUNT:	1875	

SUMM . . . trifloxystrobins, triflumizole, triforine, triticonazole, uniconazole, validamycin A, vinclozolin, viniconazole, zarilamide, zineb, ziram and also Dagger G, OK-8705, OK-8801,  $\alpha$ -(1,1-dimethylethyl)- $\beta$ -(2-phenoxyethyl)-1H-1,2,4-triazole-1-ethanol,  $\alpha$ -(2,4-dichlorophenyl)- $\beta$ -fluoro- $\beta$ -propyl-1H-1,2,4-triazole-1-ethanol,  $\alpha$ -(2,4-dichlorophenyl)- $\beta$ -methoxy- $\alpha$ -methyl-1H-1,2,4-triazole-1-ethanol,  $\alpha$ -(5-methyl-1,3-dioxan-5-yl)- $\beta$ -[[4-(trifluoromethyl)-phenyl]-methylene]-1H-1,2,4-triazole-1-ethanol, (5RS,6RS)-6-hydroxy-2,2,7,7-tetramethyl-5-(1H-1,2,4-triazol-1-yl)-3-octanone, (E)- $\alpha$ -(methoxyimino)-N-methyl-2-phenoxy-phenylacetamide, 1-(2,4-dichlorophenyl)-2-(1H-1,2,4-triazol-1-yl)-ethanone-O-(phenylmethyl)-oxime, 1-(2-methyl-1-naphthalenyl)-1H-pyrrole-2,5-dione, 1-(3,5-dichlorophenyl)-3-(2-propenyl)-2,5-pyrrolidinedione, 1-[[diiodomethyl]-sulfonyl]-4-methyl-benzene, 1-[[2-(2,4-dichlorophenyl)-1,3-dioxolan-2-yl]-methyl]-1H-imidazole, 1-[[2-(4-chlorophenyl)-3-phenyloxiranyl]-methyl]-1H-1,2,4-triazole, 1-[1-[2-[(2,4-dichlorophenyl)-methoxy]-phenyl]-ethenyl]-1H-imidazole, 1-methyl-5-nonyl-2-(phenylmethyl)-3-pyrrolidinol, 2',6'-dibromo-2-methyl-4'-trifluoromethoxy-4'-trifluoro-methyl-1,3-thiazole-5-carboxanilide, 2,6-dichloro-5-(methylthio)-4-pyrimidinyl-thiocyanate, 2,6-dichloro-N-(4-trifluoromethylbenzyl)-benzamide, 2,6-dichloro-N-[[4-(trifluoromethyl)-phenyl]-methyl]-benzamide, 2-(2,3,3-triiodo-2-propenyl)-2H-tetrazole, 2-[(1-methylethyl)-sulfonyl]-5-(trichloromethyl)-1,3,4-thiadiazole, 2-[[6-deoxy-4-O-(4-O-methyl- $\beta$ -D-glycopyranosyl)- $\alpha$ -D-glucopyranosyl]-amino]-4-methoxy-1H-pyrrolo[2,3-d]pyrimidine-5-carbonitrile, 2-aminobutane, .sup.2-bromo-2-(bromomethyl)-pentanedinitrile, 2-chloro-N-(2,3-dihydro-1,1,3-trimethyl-1H-inden-4-yl)-3-pyridinecarboxamide, 2-chloro-N-(2,6-dimethylphenyl)-N-(isothiocyanatomethyl)-acetarnide, 2-phenylphenol (OPP), 3,4-dichloro-1-[4-(difluoromethoxy)-phenyl]-1H-pyrrole-2,5-dione, 3,5-dichloro-N-[cyano-[(1-methyl-2-propynyl)-oxy]-methyl]-benzamide, 3-(1,1-dimethylpropyl)-1-oxo-1H-indene-2-carbonitrile, 3-[2-(4-chlorophenyl)-5-ethoxy-3-isoxazolidinyl]-pyridine, 4-chloro-2-cyano-N,N-dimethyl-5-(4-methylphenyl)-1H-imidazole-1-sulfonamide, 4-methyl-tetrazolo[1,5-a]quinazolin-5(4H)-one, 8-hydroxyquinoline sulfate, 9H-xanthene-2-[(phenylamino)-carbonyl]-9-carboxylic hydrazide, bis-(1-methylethyl)-3-methyl-4-[(3-methylbenzoyl)-oxy]-2,5-thiophenedicarboxylate, cis-1-(4-chlorophenyl)-2-(1H-1,2,4-

triazol-1-yl)-cycloheptanol, cis-4-[3-[4-(1,1-dimethylpropyl)-phenyl-2-methylpropyl]-2,6-dimethyl-morpholinehydrochloride, ethyl [(4-chlorophenyl)-azo]-cyanoacetate, potassium hydrogen carbonate, methanetetraethiol sodium salt, methyl 1-(2,3-dihydro-2,2-dimethyl-1H-inden-1-yl)-1H-imidazole-5-carboxylate, methyl N-(2,6-dimethylphenyl)-N-(5-isoxazolylcarbonyl)-DL-alaninate, methyl N-(chloroacetyl)-N-(2,6-dimethylphenyl)-DL-alaninate, N-(2,6-dimethylphenyl)-2-methoxy-N-(tetrahydro-2-oxo-3-furanyl)-acetamide, N-(2,6-dimethylphenyl)-2-methoxy-N-(tetrahydro-2-oxo-3-thienyl)-acetamide, N-(2-chloro-4-nitrophenyl)-4-methyl-3-nitro-benzenesulfonamide, N-(4-cyclohexylphenyl)-1,4,5,6-tetrahydro-2-pyrimidinamine, N-(4-hexylphenyl)-1,4,5,6-tetrahydro-2-pyrimidinamine, N-(5-chloro-2-methylphenyl)-2-methoxy-N-(2-oxo-3-oxazolidinyl)-acetamide, N-(6-methoxy-3-pyridinyl)-cyclopropanecarboxamide, N-[2,2,2-trichloro-1-[(chloroacetyl)-amino]-ethyl]-benzamide, N-[3-chloro-4,5-bis-(2-propinyloxy)-phenyl]-N'-methoxy-methanimidamide, N-formyl-N-hydroxy-DL-alanine sodium salt, O,O-diethyl [2-(dipropylamino)-2-oxoethyl]-ethylphosphoramidothioate, O-methyl S-phenyl phenylpropylphosphoramidothioate, S-methyl 1,2,3-benzothiadiazole-7-carbothioate, spiro[2H]-1-benzopyrane-2,1'(3'H)-isobenzofuran-3'-one, 4-[(3,4-dimethoxyphenyl)-3-(4-fluorophenyl)-acryloyl]-morpholine.

L9 ANSWER 2 OF 33 USPATFULL on STN

ACCESSION NUMBER: 2005:105462 USPATFULL

TITLE: 3-biphenyl-substituted-3-substituted-4-ketolactam and ketolactone and their utilization as pesticide

INVENTOR(S): Fischer, Reiner, Monheim, GERMANY, FEDERAL REPUBLIC OF  
Ullmann, Astrid, Koln, GERMANY, FEDERAL REPUBLIC OF  
Bretschneider, Thomas, Lohmar, GERMANY, FEDERAL  
REPUBLIC OF  
Drewes, Mark Wilhelm, Langenfeld, GERMANY, FEDERAL  
REPUBLIC OF  
Erdelen, Christoph, Leichlingen, GERMANY, FEDERAL  
REPUBLIC OF  
Lubos-Erdelen, Angelika, Leichlingen, GERMANY, FEDERAL  
REPUBLIC OF legal representative  
Feucht, Dieter, Kelkheim, GERMANY, FEDERAL REPUBLIC OF  
Reckmann, Udo, Koln, GERMANY, FEDERAL REPUBLIC OF  
Kuck, Karl-Heinz, Langenfeld, GERMANY, FEDERAL REPUBLIC  
OF  
Wachendorff-Neumann, Ulrike, Neuwied, GERMANY, FEDERAL  
REPUBLIC OF

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005090400	A1	20050428
APPLICATION INFO.:	US 2003-496734	A1	20021118 (10)
	WO 2002-EP12881		20021118

	NUMBER	DATE
PRIORITY INFORMATION:	DE 2003-101	20011129
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Patent Department, Bayer CropScience, 100 Bayer Road, Pittsburgh, PA, 15205-9741, US	
NUMBER OF CLAIMS:	16	
EXEMPLARY CLAIM:	1-13	
LINE COUNT:	2773	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		
SUMM . . .	uniconazole, validamycin A, vinclozolin, viniconazole,	

zarilamide, zineb, ziram and also

Dagger G,

OK-8705,

OK-8801,

$\alpha$ -(1,1-dimethylethyl)- $\beta$ -(2-phenoxyethyl)-1H-1,2,4-**triazole**-1-ethanol,

$\alpha$ -(2,4-dichlorophenyl)- $\beta$ -fluoro-8-propyl-1H-1,2,4-**triazole**-1-ethanol,

$\alpha$ -(2,4-dichlorophenyl)- $\beta$ -methoxy- $\alpha$ -methyl-1H-1,2,4-**triazole**-1-ethanol,

$\alpha$ -(5-methyl-1,3-dioxan-5-yl)- $\beta$ -[[4-(trifluoromethyl)-phenyl]-methylene]-1H-1,2,4-**triazole**-1-ethanol,

(5RS,6RS)-6-hydroxy-2,2,7,7-tetramethyl-5-(1H-1,2,4-**triazol**-1-yl)-3-octanone,

(E)- $\alpha$ -(methoxyimino)-N-methyl-2-phenoxy-phenylacetamide, 1-isopropyl{2-methyl-1-[[[1-(4-methylphenyl)-ethyl]-amino]-carbonyl]-propyl}-carbamate,

1-(2,4-dichlorophenyl)-2-(1H-1,2,4-**triazol**-1-yl)-ethanone-O-(phenylmethyl)-oxime,

1-(2-methyl-1-naphthalenyl)-1H-pyrrol-2,5-dione,

1-(3,5-dichlorophenyl)-3-(2-propenyl)-2,5-pyrrolidindione,

1-[[diiodomethyl]-sulphonyl]-4-methylbenzene,

1-[[2-(2,4-dichlorophenyl)-1,3-dioxolan-2-yl]-methyl]-1H-imidazole,

1-[[2-(4-chlorophenyl)-3-phenyloxiranyl]-methyl]-1H-1,2,4-**triazole**,

1-[1-[2-[(2,4-dichlorophenyl)-methoxy]-phenyl]-ethenyl]-1H-imidazole,

1-methyl-5-nonyl-2-(phenylmethyl)-3-pyrrolidinole,

2',6'-dibromo-2-methyl-4'-trifluoromethoxy-4'-trifluoromethyl-1,3-thiazole-5-carboxanilide,

2,2-dichloro-N-[1-(4-chlorophenyl)-ethyl]-1-ethyl-3-methyl-cyclopropanecarboxamide,

2,6-dichloro-5-(methylthio)-4-pyrimidinyl-thiocyanate,

2,6-dichloro-N-(4-trifluoromethylbenzyl)-**benzamide**,

2,6-dichloro-N-[[4-(trifluoromethyl)-phenyl]-methyl]-**benzamide**,

2-(2,3,3-triiodo-2-propenyl)-2H-tetrazole,

2-[(1-methylethyl)-sulphonyl]-5-(trichloromethyl)-1,3,4-thiadiazole,

2-[[6-deoxy-4-O-(4-O-methyl- $\beta$ -D-glycopyranosyl)- $\alpha$ -D-glucopyranosyl]-amino]-4-methoxy-1H-pyrrolo[2,3-d]pyrimidine-5-carbonitrile,

2-aminobutane,

2-bromo-2-(bromomethyl)-pentanedinitrile,

2-chloro-N-(2,3-dihydro-1,1,3-trimethyl-1H-inden-4-yl)-3-pyridinecarboxamide,

2-chloro-N-(2,6-dimethylphenyl)-N-(isothiocyanatomethyl)-acetamide,

2-phenylphenol (OPP),

3,4-dichloro-1-[4-(difluoromethoxy)-phenyl]-1H-pyrrol-2,5-dione,

3,5-dichloro-N-[cyano[(1-methyl-2-propynyl)-oxy]-methyl]-**benzamide**,

3-(1,1-dimethylpropyl)-1-oxo-1H-indene-2-carbonitrile,

3-[2-(4-chlorophenyl)-5-ethoxy-3-isoxazolidinyl]-pyridine,

4-chloro-2-cyano-N,N-dimethyl-5-(4-methylphenyl)-1H-imidazole-1-sulphonamide,

4-methyltetrazolo[1,5-a]quinazolin-5(4H)-one,

8-(1,1-dimethylethyl)-N-ethyl-N-propyl-1,4-dioxaspiro[4.5]decane-2-methanamine,

8-hydroxyquinoline sulphate,

9H-xanthene-2-[(phenylamino)-carbonyl]-9-carboxylic hydrazide,

bis-(1-methylethyl)-3-methyl-4-[(3-methylbenzoyl)-oxy]-2,5-thiophenedicarboxylate,

cis-1-(4-chlorophenyl)-2-(1H-1,2,4-**triazol**-1-yl)-**cycloheptanol**,

cis-4-[3-[4-(1,1-dimethylpropyl)-phenyl-2-methylpropyl]-2,6-dimethylmorpholine hydrochloride,

ethyl [(4-chlorophenyl)-azo]-cyanoacetate,

potassium bicarbonate,

methanetetraethiol-sodium salt,

methyl 1-(2,3-dihydro-2,2-dimethyl-1H-inden-1-yl)-1H-imidazole-5-carboxylate,

methyl N-(2,6-dimethylphenyl)-N-(5-isoxazolylcarbonyl)-DL-alaninate,

methyl N-(chloroacetyl)-N-(2,6-dimethylphenyl)-DL-alaninate,  
 N-(2,3-dichloro-4-hydroxyphenyl)-1-methyl-cyclohexanecarboxamide,  
 N-(2,6-dimethylphenyl)-2-methoxy-N-(tetrahydro-2-oxo-3-furanyl)-acetamide,  
 N-(2,6-dimethylphenyl)-2-methoxy-N-(tetrahydro-2-oxo-3-thienyl)-acetamide,  
 N-(2-chloro-4-nitrophenyl)-4-methyl-3-nitrobenzenesulphonamide,  
 N-(4-cyclohexylphenyl)-1,4,5,6-tetrahydro-2-pyrimidinamine,  
 N-(4-hexylphenyl)-1,4,5,6-tetrahydro-2-pyrimidinamine,  
 N-(5-chloro-2-methylphenyl)-2-methoxy-N-(2-oxo-3-oxazolidinyl)-acetamide,  
 N-(6-methoxy)-3-pyridinyl-cyclopropanecarboxamide,  
 N-[2,2,2-trichloro-1-[(chloroacetyl)-amino]-ethyl]-benzamide,  
 N-[3-chloro-4,5-bis(2-propinyloxy)-phenyl]-N'-methoxymethanimidamide,  
 N-formyl-N-hydroxy-DL-alanine-sodium salt,  
 O,O-diethyl[2-(dipropylamino)-2-oxoethyl]-ethylphosphoramidothioate,  
 O-methyl S-phenyl phenylpropylphosphoramidothioate,  
 S-methyl 1,2,3-benzothiadiazole-7-carbothioate,  
 spiro[2H]-1-benzopyrane-2,1'(3'H)-isobenzofuran]-3'-one,  
 4-[3,4-dimethoxyphenyl]-3-(4-fluorophenyl)-acryloyl-morpholine

L9 ANSWER 3 OF 33 USPATFULL on STN

ACCESSION NUMBER: 2005:93593 USPATFULL

TITLE: Halogenated nitrobutadienes for controlling animal pests

INVENTOR(S): Fischer, Reiner, Monheim, GERMANY, FEDERAL REPUBLIC OF  
 Jeschke, Peter, Bergisch Gladbach, GERMANY, FEDERAL  
 REPUBLIC OF  
 Erdelen, Christoph, Leichlingen, GERMANY, FEDERAL  
 REPUBLIC OF  
 Lubos-Erdelen, Angelika, Leichlingen, GERMANY, FEDERAL  
 REPUBLIC OF legal representative  
 Losel, Peter, Leverkusen, GERMANY, FEDERAL REPUBLIC OF  
 Reckmann, Udo, Koln, GERMANY, FEDERAL REPUBLIC OF  
 Kaufmann, Dieter, Goslar, GERMANY, FEDERAL REPUBLIC OF  
 Zapolskil, Viktor, Clausthal-Zellerfeld, GERMANY,  
 FEDERAL REPUBLIC OF

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005080272	A1	20050414
APPLICATION INFO.:	US 2003-494212	A1	20021023 (10)
	WO 2002-EP11844		20021023

	NUMBER	DATE
PRIORITY INFORMATION:	DE 2001-154313	20011105
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	BAYER CROPSCIENCE LP, Patent Department, 100 BAYER ROAD, PITTSBURGH, PA, 15205-9741, US	

NUMBER OF CLAIMS: 5  
 EXEMPLARY CLAIM: 1-4  
 LINE COUNT: 2388

SUMM . . . uniconazole,  
 validamycin A, vinclozolin, viniconazole,  
 zarilamide, zineb, ziram and also  
 Dagger G,  
 OK-8705,  
 OK-8801,  
 $\alpha$ -(1,1-dimethylethyl)- $\beta$ -(2-phenoxyethyl)-1H-1,2,4- triazole  
 -1-ethanol,  
 $\alpha$ -(2,4-dichlorophenyl)- $\beta$ -fluoro- $\beta$ -propyl-1H-1,2,4-  
 triazole-1-ethanol,  
 $\alpha$ -(2,4-dichlorophenyl)- $\beta$ -methoxy- $\beta$ -methyl-1H-1,2,4-  
 triazole-1-ethanol,

$\alpha$ -(5-methyl-1,3-dioxan-5-yl)- $\beta$ -[[4-(trifluoromethyl)-phenyl]-  
 methylene]-1H-1,2,4-**triazole**-1-ethanol,  
 (5RS,6RS)-6-hydroxy-2,2,7,7-tetramethyl-5-(1H-1,2,4-**triazol**  
 -1-yl)-3-octanone,  
 (E)- $\alpha$ -(methoxyimino)-N-methyl-2-phenoxy-phenylacetamide,  
 1-isopropyl {2-methyl-1-[[[1-(4-methylphenyl)ethyl]amino]carbonyl]propyl}carba  
 mate,  
 1-(2,4-dichlorophenyl)-2-(1H-1,2,4-**triazol**-1-yl)-ethanone-O-  
 (phenylmethyl)-oxime,  
 1-(2-methyl-1-naphthalenyl)-1H-pyrrole-2,5-dione,  
 1-(3,5-dichlorophenyl)-3-(2-propenyl)-2,5-pyrrolidinedione,  
 1-[(diiodomethyl)-sulphonyl]-4-methyl-benzene,  
 1-[[2-(2,4-dichlorophenyl)-1,3-dioxolan-2-yl]-methyl]-1H-imidazole,  
 1-[[2-(4-chlorophenyl)-3-phenyloxiranyl]-methyl]-1H-1,2,4-**triazole**,  
 1-[1-[2-[(2,4-dichlorophenyl)-methoxy]-phenyl]-ethenyl]-1H-imidazole,  
 1-methy-5-nonyl-2-(phenylmethyl)-3-pyrrolidinole,  
 2',6'-dibromo-2-methyl-4'-trifluoromethoxy-4'-trifluoromethyl-1,3-thiazole-5-  
 carboxanilide,  
 2,2-dichloro-N-[1-(4-chlorophenyl)-ethyl]-1-ethyl-3-  
 methylcyclopropanecarboxamide,  
 2,6-dichloro-5-(methylthio)-4-pyrimidinyl-thiocyanate,  
 2,6-dichloro-N-(4-trifluoromethylbenzyl)-**benzamide**,  
 2,6-dichloro-N-[[4-(trifluoromethyl)-phenyl]-methyl]-**benzamide**,  
 2-(2,3,3-triiodo-2-propenyl)-2H-tetrazole, 2-[(1-methylethyl)-sulphonyl]-5-  
 (trichloromethyl)-1,3,4-thiadiazole,  
 2-[[6-deoxy-4-O-(4-O-methyl- $\beta$ -D-glycopyranosyl)- $\alpha$ -D-glucopyranosyl]-  
 amino]-4-methoxy-1-pyrrolo[2,3-d]pyrimidine-5-carbonitrile,  
 2-aminobutane,  
 2-bromo-2-(bromomethyl)-pentanedinitrile,  
 2-chloro-N-(2,3-dihydro-1,1,3-trimethyl-1H-inden-4-yl)-3-pyridinecarboxamide,  
 2-chloro-N-(2,6-dimethylphenyl)-N-(isothocyanatomethyl)-acetamide,  
 2-phenylphenol (OPP),  
 3,4-dichloro-1-[4-(difluoromethoxy)-phenyl]-1H-pyrrole-2,5-dione,  
 3,5-dichloro-N-[cyano[(1-methyl-2-propynyl)-oxy]-methyl]-**benzamide**,  
 3-(1,1-dimethylpropyl)-1-oxo-1H-indene-2-carbonitrile,  
 3-[2-(4-chlorophenyl)-5-ethoxy-3-isoxazolidinyl]-pyridine,  
 4-chloro-2-cyano-N,N-dimethyl-5-(4-methylphenyl)-1H-imidazole-1-sulphonamide,  
 4-methyl-tetrazolo[1,5-a]quinazolin-5(4H)-one,  
 8-(1,1-dimethylethyl)-N-ethyl-N-propyl-1,4-dioxaspiro[4.5]decane-2-  
 methanamine,  
 8-hydroxyquinoline sulphate,  
 9H-xanthene-2-[(phenylamino)-carbonyl]-9-carboxylic hydrazide,  
 bis-(1-methylethyl)-3-methyl-4-[(3-methylbenzoyl)-oxy]-2,5-  
 thiophenedicarboxylate,  
 cis-1-(4-chlorophenyl)-2-(1H-1,2,4-**triazol**-1-yl)-  
**cycloheptanol**,  
 cis-4-[3-[4(1,1-dimethylpropyl)-phenyl]-2-methylpropyl]-2,6-dimethyl-  
 morpholine hydrochloride,  
 ethyl [(4-chlorophenyl)-azo]-cyanoacetate,  
 potassium bicarbonate,  
 methanetetraethiol-sodium salt,  
 methyl 1-(2,3-dihydro-2,2-dimethyl-1H-inden-1-yl)-1H-imidazole-5-carboxylate,  
 methyl N-(2,6-dimethylphenyl)-N-(5-isoxazolylcarbonyl)-DL-alaninate,  
 methyl N-(chloroacetyl)-N-(2,6-dimethylphenyl)-DL-alaninate,  
 N-(2,3-dichloro-4-hydroxyphenyl)-1-methylcyclohexanecarboxamide,  
 N-(2,6-dimethylphenyl)-2-methoxy-N-(tetrahydro-2-oxo-3-furanyl)-acetamide,  
 N-(2,6-dimethylphenyl)-2-methoxy-N-(tetrahydro-2-oxo-3-thienyl)-acetamide,  
 N-(2-chloro-4-nitrophenyl)-4-methyl-3-nitro-benzenesulphonamide,  
 N-(4-cyclohexylphenyl)-1,4,5,6-tetrahydro-2-pyrimidineamine,  
 N-(4-hexylphenyl)-1,4,5,6-tetrahydro-2-pyrimidineamine,  
 N-(5-chloro-2-methylphenyl)-2-methoxy-N-(2-oxo-3-oxazolidinyl)-acetamide,  
 N-(6-methoxy-3-pyridinyl)-cyclopropanecarboxamide,  
 N-[2,2,2-trichloro-1-[(chloroacetyl)-amino]-ethyl]-**benzamide**,

N-[3-chloro-4,5-bis-(2-propinyloxy)-phenyl]-N'-methoxy-methaneimidamide,  
 N-formyl-N-hydroxy-DL-alanine-sodium salt,  
 O,O-diethyl [2-(dipropylamino)-2-oxoethyl]-ethylphosphoramidothioate,  
 O-methyl S-phenyl phenylpropylphosphoramidothioate,  
 S-methyl 1,2,3-benzothiadiazole-7-carbothioate,  
 spiro[2H]-1-benzopyran-2,1'(3'H)-isobenzofuran-3'-one,  
 4-[3,4-dimethoxyphenyl-3-(4-fluorophenyl)-acryloyl]-morpholine.  
 Bactericides:. . . lecanii,  
 YI 5302,  
 zeta-cypermethrin, zolaprofos,  
 (1R-cis)-[5-(phenylmethyl)-3-furanyl]-methyl 3-[(dihydro-2-oxo-3(2H)-  
 furanylidene)-methyl]-2,2-dimethylcyclopropanecarboxylate,  
 (3-phenoxyphenyl)-methyl 2,2,3,3-tetramethylcyclopropanecarboxylate,  
 1-[(2-chloro-5-thiazolyl)methyl]tetrahydro-3,5-dimethyl-N-nitro-1,3,5-triazine-  
 2(1H)-imine,  
 2-(2-chloro-6-fluorophenyl)-4-[4-(1,1-dimethylethyl)phenyl]-4,5-dihydro-  
 oxazole,  
 2-(acetyloxy)-3-dodecyl-1,4-naphthalenedione,  
 2-chloro-N-[[[4-(1-phenylethoxy)-phenyl]-amino]-carbonyl]-**benzamide**,  
 2-chloro-N-[[[4-(2,2-dichloro-1,1-difluoroethoxy)-phenyl]-amino]-carbonyl]-  
**benzamide**,  
 3-methylphenyl propylcarbamate,  
 4-[4-(4-ethoxyphenyl)-4-methylpentyl]-1-fluoro-2-phenoxy-benzene,  
 4-chloro-2-(1,1-dimethylethyl)-5-[[2-(2,6-dimethyl-4-  
 phenoxyphenoxy)ethyl]thio]-3(2H)-pyridazinone,  
 4-chloro-2-(2-chloro-2-methylpropyl)-5-[(6-iodo-3-pyridinyl)methoxy]-3(2H)-  
 pyridazinone,  
 4-chloro-5-[(6-chloro-3-pyridinyl)methoxy]-2-(3,4-dichlorophenyl)-3(2H)-  
 pyridazinone,  
 Bacillus thuringiensis strain EG-2348,  
 2-benzoyl-1-(1,1-dimethylethyl)-hydrazinobenzoic acid,  
 . . .

=> d L9 ibib kwic 29-33

L9 ANSWER 29 OF 33 USPATFULL on STN  
 ACCESSION NUMBER: 2002:22636 USPATFULL  
 TITLE: Oxyranyle-triazoline thiones and their use as  
 microbicides  
 INVENTOR(S): Hillebrand, Stefan, Neuss, GERMANY, FEDERAL REPUBLIC OF  
 Jautelat, Manfred, Burscheid, GERMANY, FEDERAL REPUBLIC  
 OF  
 Mauler-Machnik, Astrid, Leichlingen, GERMANY, FEDERAL  
 REPUBLIC OF  
 Stenzel, Klaus, Dusseldorf, GERMANY, FEDERAL REPUBLIC  
 OF  
 Kugler, Martin, Leichlingen, GERMANY, FEDERAL REPUBLIC  
 OF  
 Exner, Otto, Ratingen, GERMANY, FEDERAL REPUBLIC OF

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002013472	A1	20020131
	US 6414007	B2	20020702
APPLICATION INFO.:	US 2001-827058	A1	20010405 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 2000-529678, filed on 17 Apr 2000, GRANTED, Pat. No. US 6245793 A 371 of International Ser. No. WO 1998-EP6449, filed on 12 Oct 1998, UNKNOWN		

NUMBER	DATE
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PRIORITY INFORMATION: DE 1997-19746993 19971024  
DE 1998-19823861 19980528  
DOCUMENT TYPE: Utility  
FILE SEGMENT: APPLICATION  
LEGAL REPRESENTATIVE: BAYER CORPORATION, PATENT DEPARTMENT, 100 BAYER ROAD,  
PITTSBURGH, PA, 15205  
NUMBER OF CLAIMS: 10  
EXEMPLARY CLAIM: 1  
LINE COUNT: 1052

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . tricyclazole, tridemorph, triflumizole, triforine,  
triticotiazole, Linicotiazole, validarnycin A, vinclozolin,  
viniconazole, zarilamide, zineb, ziram and also Dagger G, OK-8705,  
OK-8801,  $\alpha$ -(1,1-dimethylethyl)- $\beta$ -(2-pienoxyethyl)-  
1H-1,2,4-triazole-1-ethanol,  $\alpha$ -(2,4-dichlorophenyl)-  
 $\beta$ -fluoro-b-propyl-1H-1,2,4-triazole-1-ethanol,  
 $\alpha$ -(2,4-dichlorophenyl)- $\beta$ -methoxy- $\alpha$ -methyl-1H-1,2,4-  
triazole-1-ethanol,  $\alpha$ -(5-methyl-1,3-dioxan-5-yl)- $\beta$ -[[4-  
(trifluoromethyl)-phenyl]-methylene]-1H-1,2,4-triazole  
-1-ethanol, (5R,6R)-6-hydroxy-2,2,7,7-tetranietihyl-5-(1H-1,2,4-  
triazol-1-yl)-3-octanone, (E)- $\alpha$ -(methoxyimino)-N-methyl-2-  
phenoxy-phenylacetamide, isopropyl {2-methyl-1-[[[1-(4-methylphenyl)-  
ethyl]-amino]-carbonyl]-propyl}-carbamate, 1-(2,4-dichlorophenyl)-2-  
(1H,1,2,4-triazol-1-yl)-ethanone .largecircle.- (phenylmethyl)  
oxime, 1-(2-methyl-1-naphthalenyl)-1H-pyrrole-2,5-dione,  
1-[(3,5-dichlorophenyl)-3-(2-propenyl)-2,5-pyrrold(1incdione,  
1-[(diiodomethyl)-sulphonyl]-4-methyl-benzene, 1-[[2-(2,4-  
dichlorophenyl)-1,3-dioxolan-2-yl]-methyl]-1H-imidazole,  
1-[[2-(4-chlorophenyl)-3-phenyloxiranyl]-methyl]-1H-1,2,4-  
triazole, 1-[[2-[(2,4-dichlorophenyl)-methoxy]-phenyl]-ethenyl]-  
1H-imidazole, 1-methyl-5-nonyl-2-(phenylmethyl)-3-pyrrolidinole,  
2',6'-dibromo-2-methyl-4'-trifluoromethoxy-4'-trifluoro-methyl-1,3-  
thiazole-5-carboxanilide, 2,2-dichloro-N-[1-(4-chlorophenyl)-ethyl]-1-  
ethyl-3-methyl-cyclopropaiecarboxamide, 2,6-dichloro-5-(methylthio)-4-  
pyrimidinyl-thiocyanate, 2,6-dichloro-N-(4-trifluoromethylbenzyl)-  
benzamide, 2,6-dichloro-N-[[4-(trifluoromethyl)-phenyl]-methyl]-  
benzamide, 2-(2,3,3-triiodo-2-propenyl)-2H-tetrazole,  
2-[(1-methylethyl)-sulphonyl]-5-(trichloromethyl)-1,3,4-thiadiazole,  
2-[[6-deoxy-4-.largecircle.- (4-.largecircle.-methyl- $\Gamma$ -D-  
glycopyranosyl)- $\alpha$ -D-glucopyranosyl]-aminol]-4-methoxy-1H-pyrrolo[2,3-  
d]pyrimidine-5-carbonitrile, 2-aminobutane, 2-bromo-2-(bromomethyl)-  
pentanedinitrile, 2-chltoro-N-(2,3-dihydro-1,1,3-trimethyl-III-inden-4-  
yl)-3-pyridinecarboxamide, 2-chloro-N-(2,6-dimethylphenyl)-N-  
(isothiocyantomethyl)-acetamide, 2-phenylphenol (OPP),  
3,4-dichloro-1-[4-(difluoromethoxy)-phenyl]-III-pyrrole-2,5-dione,  
3,5-dictiloro-N-[cyano-[(1-methyl-2-propynyl)-oxy]-methyl]-  
benzamide, 3-(1,1-dimethylpropyl-1-oxo-III-indene-2-  
carbonitrile, 3[2-(4-chlorophenyl)-5-ethoxy-3-isoxazoldinyl]-pyridine,  
4-chloro-2-cyano-N,N-dimethyl-5-(4-methylphenyl)-1H-imidazole-1-  
sulphonamide, 4-methyl-tetrazolo[1,5-a]quinazolin-5(4H)-one, 8-(1,1-  
-dimethylethyl)-N-ethyl-N-propyl-1,4-dioxaspiro[4.5]decane-2-  
methanamine, 8-hydroxyquinoline sulphate, 9H-xanthlene-2-[(phenylamino)-  
carbonyl]-9-carboxylic hydrazide, bis-(1-methylethyl)-3-methyl-4-[(3-  
methylbenzoyl)-oxy]-2,5-thiophenedicarboxylate, cis-1-(4-chlorophenyl)-2-  
(1H-1,2,4-triazol-1-yl)-cycloheptanol, cis-4-[3  
-[4-(1,1-dimethylpropyl)-phenyl-2-methylpropyl]-2,6-dimethyl-  
morpholinehydrochloride, ethyl [(4-chlorophenyl)-azo]-cyanoacetate,  
potassium hydrogen carbonate, methanetetrahiol sodium salt, methyl  
1-(2,3-dihydro-2,2-dimethyl-1H-inden-1-yl)-1H-imidazole-5-carboxylate,  
methyl N-(2,6-dimethylphenyl)-N-(5-isoxazolylcarbonyl)-DL-alaninate,  
methyl N-(chloroacetyl)-N-(2,6-dimethylphenyl)-DL-alaninate,  
N-(2,3-dichloro-4-hydroxyphenyl)-1-methyl-cyclohexanecarbox am ide, . .

L9 ANSWER 30 OF 33 USPATFULL on STN

ACCESSION NUMBER: 2001:212449 USPATFULL

TITLE: AZOLE INHIBITORS OF CYTOKINE PRODUCTION

INVENTOR(S): BAMAUNG, NWE Y., NILES, IL, United States  
BASHA, ANWER, LAKE FOREST, IL, United States  
DJURIC, STEVAN W., LIBERTYVILLE, IL, United States  
GUBBINS, EARL J., LIBERTYVILLE, IL, United States  
LULY, JAY R., WELLESLEY, MA, United States  
TU, NOAH P., GURNEE, IL, United States  
MADAR, DAVID J., GRAYSLAKE, IL, United States  
WARRIOR, USHA, GREEN OAKS, IL, United States  
WIEDEMAN, PAUL E., LIBERTYVILLE, IL, United States  
ZHOU, XUN, PARK CITY, IL, United States  
SCIOTTI, RICHARD J., GURNEE, IL, United States  
WAGENAAR, FRANK L., GURNEE, IL, United States

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2001044445	A1	20011122
APPLICATION INFO.:	US 1999-289155	A1	19990408 (9)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	ABBOTT LABORATORIES, DEPT. 377 - AP6D-2, 100 ABBOTT PARK ROAD, ABBOTT PARK, IL, 60064-6050		
NUMBER OF CLAIMS:	44		
EXEMPLARY CLAIM:	1		
LINE COUNT:	9955		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

CLM What is claimed is:

. . . to claim 4 selected from N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-cyclopropanecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2,2,3,3-tetramethylcyclopropanecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2,2-dichloro-1-methylcyclopropanecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-oxo-6-pentyl-2H-pyran-3-carboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-1-cyclohexene-1-carboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-methylcyclopropanecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-5-(3,5-dichlorophenoxy)-2-furancarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-1-methyl-2-cyclohexene-1-carboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-1-cyclopentene-1-carboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-3-methoxycyclohexanecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-butyramide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-3-furancarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-methyl-3-nitrobenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-1-hydroxycyclopropanecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]**cycloheptanecarboxamide**, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-benzofurancarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-5-fluoro-1H-indole-2-carboxamide, (E)-N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-3-(2-chlorophenyl)-2-propenamide, 2-benzoyl-N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]**benzamide**, 3a(S)-(3a, 4 $\beta$ , 6a)-N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]hexahydro-2-oxo-1H-thieno[3,4-d]imidazole-4-pentanamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-3-iodobenzamide, exo-N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]bicyclo[2.2.1]hept-5-ene-2-carboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-methylcyclohexanecarboxamide, (R)-phenylmethyl [1-[[[4-[3,5-

bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]amino]carbonyl]propyl]carbamate, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-3-cyclohexene-1-carboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-1-methylcyclopropanecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-5-methyl-2-thiophenecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-4-(1H-pyrrol-1-yl)**benzamide**, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-7-methoxy-2-benzofurancarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-3-(hydroxymethyl)**benzamide**, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-cyanoacetamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-cyclohexane-1-carboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-4-methylcyclohexanecarboxamide, (R)-N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- $\alpha$ -methoxy- $\alpha$ -(trifluoromethyl)benzeneacetamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]heptanamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-phenoxybenzamide, 3-Amino-N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]**benzamide**, 4-Amino-N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]benzanamide, 4-Azido-N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]**benzamide**, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]-2-thiopheneacetamide, N-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-1-tricyclo [3.3.1.1<sup>sup</sup>.3,7]-decanecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-N<sup>sup</sup>.2-[(1,1-dimethylethoxy)carbonyl]-L-asparagine, phenylmethyl ester, 1,1-dimethylethyl [7-[[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]amino]- N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-3-(methylthio)propanamide, N-[4-([3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl)-1-naphthylenecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-4-cyanobenzamide, (trans)-N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-phenylcyclopropanecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-4-iodobenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-3-chloropropanamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-4-methoxybenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-ethylhexanamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-4-hydroxybenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-4-(hexyloxy)**benzamide**, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-3-methylbenzamide, 2-(acetyloxy)-N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]**benzamide**, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2,4,6-trimethylbenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-1-methyl-5-nitro-1H-pyrazole-4-carboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-4-bromobenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-(dimethylamino)**benzamide**, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-3-(dimethylamino)**benzamide**, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-4-(trifluoromethyl)**benzamide**, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-4-fluorobenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-chlorobenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]**benzamide**, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-4-nitrobenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-methylbenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-3,4-dimethoxybenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]cyclopentanepropanamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-4-methylbenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-3-(trifluoromethyl)**benzamide**, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-3-methyl-2-butenamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-

hydroxybenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-3-hydroxybenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2,4-dimethyl-5-thiazolecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-3-pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-4-(hydroxymethyl)benzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-4-(methylsulfonyl)benzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-iodobenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-4-heptylbenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-furancarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-fluorobenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-N'-methyl-1,2-benzenedicarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-4-pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-4-chloro-2-nitrobenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-4-cinnolinecarboxamide, 4-acetyl-N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]benzamide, 1,1-dimethylethyl 4-[[[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-amino]carbonyl]-1-piperidinecarboxylate, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-4-(diethylamino)benzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]cyclopentanecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]cyclohexanecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-4-piperidinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-3-(methylsulfonyl)benzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-(trifluoromethyl)benzamide, methyl 3-[[[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]amino]-carbonyl]benzoate, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-3-chlorobenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-thiophenecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-1,4-benzenedicarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-3,5-dinitrobenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2,4-difluorobenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-nitrobenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-3-cyanobenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-1,3-benzenedicarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-3-nitrobenzamide, 3-(aminosulfonyl)-N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]benzamide, methyl 4-[[[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]amino]-carbonyl]benzoate, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-methoxybenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-3-bromobenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-3-methoxybenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-3-fluorobenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-bromobenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-1,3-benzodioxole-5-carboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2,6-dichloro-3-pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-chloro-3-pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-chloro-6-methyl-3-pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-4-fluoro-γ-oxobenzenebutanamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-1,2,3,4-tetrahydro-2-naphthalenecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-(4-chlorophenoxy)-2-methylpropanamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]acetamide, 4-[[[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-

yl]phenyl]amino]carbonyl]benzoic acid, phenylmethyl  
 N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]amino]-4-  
 oxobutyl]carbamate, 3-[[[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-  
 yl]phenyl]amino]carbonyl]benzoic acid, N-[4-[3,5-bis(trifluoromethyl)-  
 1H-pyrazol-1-yl]phenyl]-3-bromo-2-thiophenecarboxamide,  
 N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-3-methyl-2-  
 thiophenecarboxamide, 2-amino-N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-  
 1-yl]phenyl]**benzamide**, N-[4-[3,5-bis(trifluoromethyl)-1H-  
 pyrazol-1-yl]phenyl]-2-fluoro-3-pyridinecarboxamide,  
 N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-3-chloro-4-  
 (methylsulfonyl)-2-thiophenecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-  
 1H-pyrazol-1-yl]phenyl]-1H-pyrrole-2-carboxamide, N-[4-[3,5-  
 bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-3,6-dichloro-2-  
 pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-  
 yl]phenyl]-2-(2-nitrophenoxy)acetamide, N-[4-[3,5-bis(trifluoromethyl)-  
 1H-pyrazol-1-yl]phenyl]-1H-indole-2-acetamide, (E)-N-[4-[3,5-  
 bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-3-(2-thienyl)-2-  
 propenamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-  
 yl]phenyl]pyrazinecarboxamide, 1,1-dimethylethyl [[4-[4-[3,5-  
 bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]amino]-4-oxobutyl]carbamate,  
 1-acetyl-N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-4-  
 piperidinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-  
 yl]phenyl]butanamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-  
 yl]phenyl]-4-chloro-2-methoxybenzamide, N-[4-[3,5-bis(trifluoromethyl)-  
 1H-pyrazol-1-yl]phenyl]- $\alpha$ -methyl-4-(2-  
 thienylcarbonyl)benzeneacetamide, N-[4-[3,5-bis(trifluoromethyl)-1H-  
 pyrazol-1-yl]phenyl]- $\alpha$ -methyl-4-(2-thienylcarbonyl)benzeneacetamid  
 e, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-methoxy-4-  
 (methylthio)**benzamide**, N-[4-[3,5-bis(trifluoromethyl)-1H-  
 pyrazol-1-yl]phenyl]-4-hydroxy-3-nitrobenzamide, N-[4-[3,5-  
 bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-3,4-dihydroxy  
**benzamide**, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-  
 yl]phenyl]-2-hydroxy-6-methoxybenzamide, N-[4-[3,5-bis(trifluoromethyl)-  
 1H-pyrazol-1-yl]phenyl]-2,4-bis(trifluoromethyl)**benzamide**,  
 N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-5-methyl-4-  
 isoxazolecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-  
 yl]phenyl]-4-fluoro-3-(trifluoromethyl)**benzamide**,  
 N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-4-fluoro-3-  
 nitrobenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-  
 4-fluoro-2-(trifluoromethyl)**benzamide**, N-[4-[3,5-  
 bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-bromo-3-nitrobenzamide,  
 N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-chloro-4-  
 fluorobenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-  
 2-chloro-4-(methylsulfonyl)**benzamide**, N-[4-[3,5-  
 bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2,5-dichlorobenzamide,  
 N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2,3-  
 difluorobenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-  
 yl]phenyl]-3-chloro-4-fluorobenzamide, N-[4-[3,5-bis(trifluoromethyl)-  
 1H-pyrazol-1-yl]phenyl]-2,5-difluorobenzamide, N-[4-[3,5-  
 bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-chloro-6-fluorobenzamide,  
 N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-fluoro-6-  
 (trifluoromethyl)**benzamide**, N-[4-[3,5-bis(trifluoromethyl)-1H-  
 pyrazol-1-yl]phenyl]-3-chloro-2-fluorobenzamide, N-[4-[3,5-  
 bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-chloro-4-  
 methoxybenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-  
 yl]phenyl]-2,6-dichloro-3-nitrobenzamide, N-[4-[3,5-  
 bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-4-bromo-2-chlorobenzamide,  
 N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-3,4-  
 difluorobenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-  
 yl]phenyl]-2-bromo-5-methoxybenzamide, N-[4-[3,5-bis(trifluoromethyl)-  
 1H-pyrazol-1-yl]phenyl]-4-chloro-2-hydroxybenzamide,  
 N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-3-bromo-4-  
 methoxybenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-

yl]phenyl]-3-bromo-4-hydroxybenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-chloro-4,5-difluorobenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-4-chloro-2,5-difluorobenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2,3,4-trifluorobenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-3,4,5-trifluorobenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2,4,5-trifluorobenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2,4,6-trifluorobenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2,6-difluoro-3-nitrobenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2,3,5-trifluorobenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2,4-dichloro-6-fluorobenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2,4-dichloro-3,5-dinitrobenzamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2,3,5,6-tetrafluorobenzamide, . . .  
 (S)-N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]tetrahydro-5-oxo-2-furancarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-5-oxo-2-pyrrolidinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-5-bromo-3-pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-5-nitro-3-thiophenecarboxamide, 1,1-dimethylethyl 4-[[[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-amino]carbonyl]-3-thiazolidinecarboxylate, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-5-methoxy-3-thiophenecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2,3-dibromo-5-thiophenecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-3-fluoro-4-pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-1-methyl-1H-pyrazole-4-carboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-5-chloro-4-methoxy-3-thiophenecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-5,6-dichloro-3-pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2,6-dichloro-4-pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2,5-dichloro-3-pyridinecarboxamide, 3-amino-N-(4-(3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)isonicotinamide, N-(4-(3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)-3-chloro-5-methoxyisonicotinamide, 4-(aminomethyl)-N-(4-(3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)-2-chlorobenzamide, N-(4-(3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)-2-methylacrylamide, N-(4-(3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)-4-chloro-2-fluorobenzamide, N-(4-(5-cyano-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)-2-fluorobenzamide, 2-fluoro-N-(4-(5-(2-furyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)**benzamide**, N-(4-(5-cyano-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)-4-methyl-1,2,3-thiadiazole-5-carboxamide, N-(4-(5-cyano-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)isonicotinamide, N-(4-(5-cyano-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)-3-fluoroisonicotinamide, N-(4-(5-acetyl-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)-2-fluorobenzamide, N-(4-(5-cyano-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)-2-fluoronicotinamide, N-(4-(5-cyano-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)-2,3,5-trifluorobenzamide, 2-fluoro-N-(4-(5-(2-thienyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)**benzamide**, 2-fluoro-N-(4-(5-(methylsulfanyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)**benzamide**, 2-fluoro-N-(4-(5-(3-pyridinyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)**benzamide**, 3-fluoro-N-(4-(5-(2-thienyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)isonicotinamide, N-(4-(5-methoxy-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)isonicotinamide, 2-fluoro-N-(4-(5-methoxy-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)**benzamide**, N-(4-(5-methoxy-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)-4-methyl-1,2,3-thiadiazole-5-carboxamide, N-(4-(5-acetyl-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)-2-fluoronicotinamide, 2-fluoro-N-(4-(5-(methylsulfanyl)-3-(trifluoromethyl)-1H-pyrazol-1-

yl)phenyl)nicotinamide, 2-fluoro-N-(4-(5-methoxy-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)nicotinamide, N-(4-(5-ethoxy-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)-2-fluoronicotinamide, 3-fluoro-N-(4-(5-(methylsulfanyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)isonicotinamide, 3-fluoro-N-(4-(5-methoxy-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)isonicotinamide, N-(4-(5-(difluoromethoxy)-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)isonicotinamide, N-(4-(5-(difluoromethoxy)-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)-4-methyl-1,2,3-thiadiazole-5-carboxamide, N-(4-(5-(difluoromethoxy)-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)-2-fluoronicotinamide, N-(4-(5-chloro-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)-2-fluoronicotinamide, 2-fluoro-N-(4-(5-nitro-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)**benzamide**, N-(4-(5-(difluoromethoxy)-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)-3-fluoroisonicotinamide, N-(4-(5-chloro-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)-3-fluoroisonicotinamide, N-(4-(5-bromo-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)-3-fluoroisonicotinamide, 3-fluoro-N-(4-(5-nitro-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)isonicotinamide, N-(4-(5-bromo-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)-4-methyl-1,2,3-thiadiazole-5-carboxamide, N-(4-(5-chloro-3-(trifluoromethyl)-1H-1,2,4-triazol-1-yl)phenyl)-3-fluoroisonicotinamide, 3-fluoro-N-(4-(5-(1-methyl-1H-pyrrol-3-yl)-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)isonicotinamide, 3-chloro-N-(4-(5-chloro-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)isonicotinamide, N-(4-(5-bromo-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)-2,3-difluorobenzamide, N-(4-(5-bromo-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)-3-chloroisonicotinamide, 2-chloro-N-(4-(5-cyano-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)**benzamide**, 3-chloro-N-(4-(5-cyano-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)isonicotinamide, N-(4-(5-(difluoromethoxy)-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)-2-fluorobenzamide, 2-chloro-N-(4-(5-(difluoromethoxy)-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)**benzamide**, and N-(4-(5-(difluoromethoxy)-3-(trifluoromethyl)-1H-pyrazol-1-yl)phenyl)-2,3-difluorobenzamide.

L9 ANSWER 31 OF 33 USPTAFULL on STN

ACCESSION NUMBER: 2001:75401 USPTAFULL

TITLE: Oxo-substituted compounds, process of making, and compositions and methods for inhibiting parp activity

INVENTOR(S): Li, Jia-He, Cockeysville, MD, United States  
Zhang, Jie, Ellicott City, MD, United States

PATENT ASSIGNEE(S): Guilford Pharmaceuticals Inc., Baltimore, MD, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6235748	B1	20010522
APPLICATION INFO.:	US 2000-524750		20000314 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 1998-79509, filed on 15 May 1998, now abandoned Continuation-in-part of Ser. No. US 1997-922520, filed on 3 Sep 1997, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	McKane, Joseph K.		
ASSISTANT EXAMINER:	Solola, Taofiq A.		
LEGAL REPRESENTATIVE:	Nixon & Vanderhye P.C.		
NUMBER OF CLAIMS:	47		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	2 Drawing Figure(s); 2 Drawing Page(s)		
LINE COUNT:	2242		
CAS INDEXING IS AVAILABLE FOR THIS PATENT.			
CLM	What is claimed is:		

. . . ethenyl, propenyl, butenyl, pentenyl, 2-methylpentenyl, vinyl, isopropenyl, 2,2-dimethyl-1-propenyl, decenyl, hexadecenyl, ethynyl, propynyl, butynyl, pentynyl, hexynyl, heptynyl, octynyl, cyclobutyl, cyclopentyl, cyclohexyl, **cycloheptyl**, cyclooctyl, cyclononyl, cyclodecyl, cyclopropenyl, cyclopentadienyl, cyclohexenyl, cyclooctenyl, benzyl, 3-(1)-naphthyl-1-propyl, p-halobenzyl, p-ethylbenzyl, 1-phenyl-1-propyl, 3-pyridinyl-1-propyl, 1-phenyl-2-sec-butyl, 4-phenyl-4-methyl-1-pentyl, phenyl, naphthyl, indenyl, azulenyl, fluorenyl, anthracenyl, indolyl, isoindolyl, indolinyl, benzofuranyl, benzothiophenyl, indazolyl, **benzamidazolyl**, benzathiazolyl, tetrahydrofuranyl, tetrahydropyranyl, pyridyl, pyrrolyl, pyrrolidinyl, pyridinyl, pyrimidinyl, purinyl, quinolinyl, isoquinolinyl, tetrahydroquinolinyl, quionoliziny, furyl, thiophenyl, imidazolyl, oxazolyl, benzoxazolyl, thiazolyl, isoxazolyl, isotriazolyl, oxadiazolyl, **triazolyl**, thiadiazolyl, pyridazinyl, pyrimidinyl, pyrazolyl, pyrazolinyl, pyrazolidinyl, thienyl, tetrahydroisoquinolinyl, cinnolinyl, phthalazinyl, quinazolinyl, quinoxalinyl, naphthyridinyl, pteridinyl, carbazolyl, acridinyl, phenazinyl, phenothiazinyl, phenoxazinyl, methoxy, . . .

L9 ANSWER 32 OF 33 USPATFULL on STN

ACCESSION NUMBER: 2000:109841 USPATFULL

TITLE: Dihydrofuran carboxamides

INVENTOR(S): Elbe, Hans-Ludwig, Wuppertal, Germany, Federal Republic of  
Kunisch, Franz, Odenthal, Germany, Federal Republic of  
Bielefeldt, Dietmar, Ratingen, Germany, Federal Republic of  
Tiemann, Ralf, Leverkusen, Germany, Federal Republic of  
Stenzel, Klaus, Dusseldorf, Germany, Federal Republic of  
Dutzmann, Stefan, Langenfeld, Germany, Federal Republic of  
Kugler, Martin, Leichlingen, Germany, Federal Republic of  
Schrage, Heinrich, Krefeld, Germany, Federal Republic of

PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Leverkusen, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6107336		20000822
	WO 9803495		19980129
APPLICATION INFO.:	US 1999-230198		19990120 (9)
	WO 1997-EP3693		19970711
			19990120 PCT 371 date
			19990120 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1996-19629825	19960724
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Dentz, Bernard	
LEGAL REPRESENTATIVE:	Gil, Joseph C., Marmo, Carol	
NUMBER OF CLAIMS:	5	
EXEMPLARY CLAIM:	1	
LINE COUNT:	918	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM Dagger G, OK-8705, OK-8801, 2',6'-dibromo-2-methyl-4'-trifluoromethoxy-4'-trifluoro-methyl-1,3-thiazole-5-carboxanilide, 2,6-dichloro-N-(4-trifluorormethylbenzyl)-**benzamide**, 2-aminobutane,



2-phenylphenol (OPP), 8-hydroxyquinoline sulphate, cis-1-(4-chlorophenyl)-2-(1H-1,2,4-triazol-1-yl)-cycloheptanol, (5RS,6RS)-6-hydroxy-2,2,7,7-tetramethyl-5-(1H-1,2,4-triazol-1-yl)-3-octanone,  $\alpha$ -(2,4-dichlorophenyl)- $\beta$ -methoxy- $\alpha$ -methyl-1H-1,2,4-triazole-1-ethanol,  $\alpha$ -(1,1-dimethylethyl)- $\beta$ -(2-phenoxyethyl)-1H-1,2,4-triazole-1-ethanol, 1-[1-[2-[(2,4-dichlorophenyl)-methoxy]-phenyl]-ethenyl]-1H-imidazole, bis-(-methylethyl)-3-methyl-4-[(3-methylbenzoyl)-oxy]-2,5-thiophenedicarboxylate, 2,6-dichloro-N-[[4-(trifluoromethyl)-phenyl]-methyl]-benzamide, (E)- $\alpha$ -(methoxyimino)-N-methyl-2-phenoxy-phenylacetamide, 9H-xanthene-2-[(phenylamino)-carbonyl]-9-carboxylic hydrazide, O-methyl S-phenyl phenylpropylphosphoramidothioate, N-(5-chloro-2-methylphenyl)-2-methoxy-N-(2-oxo-3-oxazolidinyl)-acetamide, 1-(2,4-dichlorophenyl)-2-(1H-1,2,4-triazol-1-yl)ethanone-O-(phenylmethyl)-oxime, N-(2,6-dimethylphenyl)-2-methoxy-N-(tetrahydro-2-oxo-3-thienyl)-acetamide, cis-4-[3-[4-(1,1-dimethylpropyl)-phenyl-2-methylpropyl]-2,6-dimethyl-morpholinehydrochloride, 1-(3,5-dichlorophenyl)-3-(2-propenyl)-2,5-pyrrolidindione, 1-methyl-5-nonyl-2-(phenylmethyl)-3-pyrrolidinole, 1-[[2-(4-chlorophenyl)-3-phenyloxiranyl]-methyl]-1H-1,2,4-triazole, methanetetraethiol sodium salt, 2-(2,3,3-triiodo-2-propenyl)-2H-tetrazole, N-[3-chloro-4,5-bis(2-propinyloxy)-phenyl]-N'-methoxy-methanimidamide,  $\alpha$ -(5-methyl-1,3-dioxan-5-yl)- $\beta$ -[[4-(trifluoromethyl)-phenyl]-methylene]-1H-1,2,4-triazole-1-ethanol, 1-(2-methyl-1-naphthalenyl)-1H-pyrrol-2,5-dione, N-(2,6-dimethylphenyl)-2-methoxy-N-(tetrahydro-2-oxo-3-furanyl)-acetamide, 3,4-dichloro-1-[4-(difluoromethoxy)-phenyl]-1H-pyrrol-2,5-dione, N-[2,2,2-trichloro-1-[(chloroacetyl)-amino]-ethyl]-benzamide, N-formyl-N-hydroxy-DL-alanine sodium salt, N-(4-cyclohexylphenyl)-1,4,5,6-tetrahydro-2-pyrimidinamine, 4-methyl-tetrazolo[1,5-a]quinazolin-5(4H)-one, 2-chloro-N-(2,6-dimethylphenyl)-N-(isothiocyanatomethyl)-acetamide, ethyl[(4-chlorophenyl)-azo]-cyanoacetate, N-(4-hexylphenyl)-1,4,5,6-tetrahydro-2-pyrimidinamine, N-(2-chloro-4-nitrophenyl)-4-methyl-3-nitro-benzenesulphonamide, methyl N-(chloroacetyl)-N-(2,6-dimethylphenyl)-DL-alaninate, 3-[2-(4-chlorophenyl)-5-ethoxy-3-isoxazolidinyl]-pyridine, 2-[(1-methylethyl)sulphonyl]-5-(trichloromethyl)-1,3,4-thiadiazole, spiro[2H]-1-benzopyrane-2,1'(3'H)-isobenzofuran]-3'-one, methyl N-(2,6-dimethylphenyl)-N-(5-isoxazolylcarbonyl)-DL-alaninate, potassium hydrogen carbonate, 1-[[2-(2,4-dichlorophenyl)-1,3-dioxolan-2-yl]-methyl]-1H-imidazole, 1-[(diiodomethyl)-sulphonyl]-4-methyl-benzene, 2-bromo-2-(bromomethyl)-pentanedinitrile, 2-[[6-deoxy-4-O-(4-O-methyl- $\beta$ -D-glycopyranosyl)- $\alpha$ -D-glucopyranosyl]-amino]-4-methoxy-1H-pyrrolo[2,3-d]pyrimidine-5-carbonitrile, methyl 1-(2,3-dihydro-2,2-dimethyl-1H-inden-1-yl)-1H-imidazole-5-carboxylate, 2-chloro-N-(2,3-dihydro-1,1,3-trimethyl-1H-inden-4-yl)-3-pyridinecarboxamide, O,O-diethyl[2-(dipropylamino)-2-oxoethyl]-ethylphosphoramidothioate,  $\alpha$ -(2,4-dichlorophenyl)- $\beta$ -fluoro- $\beta$ -propyl-1H-1,2,4-triazole-1-ethanol, 3-(1,1-dimethylpropyl-1-oxo-1H-indene-2-carbonitrile, 2,6-dichloro-5-(methylthio)-4-pyrimidinyl-thiocyanate, S-methyl 1,2,3-benzothiadiazole-7-carbothioate, N-(6-methoxy)-3-pyridinyl)-cyclopropanecarboxamide, 3,5-dichloro-N-[cyano-[(1-methyl-2-propynyl)-oxy]-methyl]-benzamide, 4-chloro-2-cyano-N,N-dimethyl-5-(4-methylphenyl)-1H-imidazole-1-sulfonamide, 8-(1,1-dimethylethyl)-N-ethyl-N-propyl-1,4-dioxaspiro[4.5]decane-2-methanamine, 2,2-dichloro-N-[1-(4-chlorophenyl)ethyl]-1-ethyl-3-methyl-cyclopropanecarboxamide, N-(2,3-dichloro-4-hydroxyphenyl)-1-methyl-cyclohexanecarboxamide.

L9 ANSWER 33 OF 33 USPATFULL on STN

ACCESSION NUMBER: 1999:117504 USPATFULL

TITLE: Neurologically active compounds and compounds with multiple activities

INVENTOR(S): Naftchi, N. Eric, 389 Forest Ave., Teaneck, NJ, United States 10170

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5958933		19990928
APPLICATION INFO.:	US 1995-488893		19950606 (8)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1993-147150, filed on 2 Nov 1993, now abandoned which is a continuation-in-part of Ser. No. US 1992-956600, filed on 5 Oct 1992, now abandoned And Ser. No. US 1988-150767, filed on 1 Feb 1988, now patented, Pat. No. US 4855325 which is a continuation-in-part of Ser. No. US 1985-691830, filed on 16 Jan 1985, now patented, Pat. No. US 4742054, issued on 3 May 1988 which is a continuation of Ser. No. US 1982-443915, filed on 23 Nov 1982, now abandoned , said Ser. No. US 956600 which is a continuation-in-part of Ser. No. US 1988-189464, filed on 2 May 1988 which is a continuation-in-part of Ser. No. US 150767		

DOCUMENT TYPE: Utility  
FILE SEGMENT: Granted  
PRIMARY EXAMINER: Ford, John M.  
ASSISTANT EXAMINER: Sripada, Pavanaram K  
LEGAL REPRESENTATIVE: Magidoff, Barry G.Thelen Reid & Priest  
NUMBER OF CLAIMS: 6  
EXEMPLARY CLAIM: 1  
LINE COUNT: 2436

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD +

Indole-3-carboxaldehyde

N-(Indole-3-methylene)-2,6-diisopropylanillin

(170)

Glycerol + PGE.sub.1 (2 mols)

1,3-Di-PGE.sub.1 -2-propanol-ester

(171)

4-(3,5-Dichlorobenzylidene-amino)benzoic acid

+

Aminoguanidine

4-N-(3,5-Dichlorobenzylideneamino)-1-guanidinobenzamide

(172)

6-(Guanidinoacetoxy)-2,5,7,8-tetramethylchroman-2-carboxylic acid

+

4-Aminobutyric acid

4-N-(6-Guanidinoacetoxy)-2,5,7,8-tetramethylchroman-2-carboxamido)-4-butyric acid

(173)

Aminoguanidine

+

2-(All-cis-5,8,11,14,17-eicosapentaenoyloxy)-benzoic acid

2-(All-cis-5,8,11,14,17-eicosapentaenoyl)-2-oxy-1-(guanidino)-benzamide

(174)

Glycerol

+  
 All-cis-5,8,11,14,17-  
 eicosapentaenoic acid (2 mols)  
     1,3-Bis-(all-cis-5,8,11,14,17-  
     eicosapentaenoyloxy)-2-propanol  
 (175)  
 Melamine  
 +  
 All-cis-5,8,11,14,17-  
 eicosapentaenoic acid (3 mols)  
     2,4,6-Tris(-all cis-5,8,11,14,17-  
     eicosapentaeneamido)-1,3,5-s-triazine  
 (176)  
 L-Arginine  
 +  
 2,6-Disopropylaniline  
     N-(-2,6-Diisopropylphenyl)-L-  
     arginineamide  
 (177)  
 2,4,6-Trimethoxybenzaldehyde  
 +  
 Aminoguanidine  
     2,4,6-Trimethoxybenzylideneamino-  
     guanidine  
 (178)  
 Guanidineacetic acid  
 +  
 2,6-Dimethylaniline  
     N-(2,6-Dimethylphenyl)-guanidino-  
     acetamide  
 (179)  
 Guanidine  
 +  
 All-cis-5,8,11,14,17-  
 eicosapentaenoic acid (2. . . [Z]-2-[4-(1,2-Diphenyl-1-butenyl)-  
     phenoxy]-guanidineacetate ester  
 (188)  
 2-Guanidinobenzimidazol  
 +  
 Indole-3-carboxaldehyde  
     2-(Indole-3-methyleneamino)-2-  
     amidinobenzimidazol  
 (189)  
 Phenylacetic Acid  
 +  
 Guanidine  
     Phenylacetamidoamididine  
 (190)  
 1,4-Diguanidinobutane  
 (Commercially available product as arcaine)  
 (191)  
 2,6-Dichlorobenzaldehyde  
 +  
 3,7-Dihydro-8-amino-  
 1,3,7-trimethyl-1H-  
 purine-2,6-dione  
     3,7-Dihydro-8-(2,6-dichlorobenzylidene  
     amino)-1,3,7-trimethyl-1H-purine-2,6-  
     dione  
 (192)  
 4,4'-[Pentanediy]bis(oxy)]  
 bis-benzenecarboximidamide  
 (Commercially available as pentamidine)

(193)  
 Cytosine + 2,6-Dichlorobenzaldehyde  
                   4-N-(2,6-Dichlorobenzylideneamino)-2-oxypyrimidine

(194)  
 3,4,5-Trimethoxybenzaldehyde  
 +  
 3,5-Diamino-1,2,4-**triazole**  
                   3,5-Bis(3,4,5-trimethoxybenzylideneamino)-1,2,4-**triazole**

(195)  
 Trolox  
 +  
 3,5-Diamino-1,2,4-**triazole**  
                   3,5-Bis-(6-hydroxy-2,5,7,8-tetramethylchroman-2-carboxamido)-1,2,4 **triazole**

(196)  
 5-Hydroxyindole-3-acetaldehyde  
 +  
 3,4,5-Trimethoxybenzaldehyde  
 +  
 3,5-Diamino-1,2,4-**triazole**  
                   3-(5-Hydroxyindole-3-ethylamino)-5-(3,4,5-trimethoxybenzylideneamino)-1,2,4-**triazole**

(197)  
 8-Aminocaffeine  
 +  
 Acetylsalicylic acid  
                   3,7-Dihydro-8-N-(2-acetoxybenzamido)-1,3,7-trimethyl-1H-purine-2,6-dione

(198)  
 Cytosine +  
 D-glucose  
                   4-N-(1-Glucosylamino)-cytosine

(199)  
 Theophylline-7-acetic acid  
 +  
 2,6-Dichlorobenzaldehyde  
 +  
 3,5-Diamino-1,2,4-**triazole**  
                   3-(2,6-Dichlorobenzylideneamino)-5-(theophylline-7-acetamido)-1,2,4-**triazole**

(200)  
 Trolox +  
 Betaine +  
 3,5-Diamino-1,2,4-**triazole**  
                   3-(6-Hydroxy-2,5,7,8-tetramethylchroman-2-carboxamido)-5-(N,N,N-trimethylmethanaminiumcarboxamido)-1,2,4-**triazole**

(201)  
 Theophylline-7-acetic acid +  
 3,5-Diamino-1,2,4-**triazole** +  
 Acetylsalicylic  
                   3-(-2-Acetoxybenzamido)-5-(theophylline-7-acetamido)-1,2,4-**triazole**

(202)  
 Theophylline-7-acetic acid +  
 2-Guanidinoacetoxybenzoic acid +  
 3,5-Diamino-1,2,4-**triazole**

3-(-2-Guanidinoacetoxybenzamido)-5-(theophylline-7-acetamido)-1,2,4-**triazole**

(203)  
8-Aminocaffeine +  
2-Guanidinoacetoxy-  
benzoic acid  
3,7-Dihydro-8-(2-guanidinoacetoxyphenyl-carboxamido)-1,3,7-trimethyl-1H-purine-2,6-dione

(204)  
p-Hydroxyanilin +  
Guanidinoacetic Acid  
N-(4-Guanidinoacetoxy)-guanidino-acetanilid

((205)  
8-Aminocaffeine +  
Trolox  
3,7-Dihydro-8-(6-hydroxy-2,5,7,8-tetramethylchroman-2-carboxamido)-1,3,7-trimethyl-1H-purine-2,6-dione

(206)  
Trolox + Cytosine +  
Guanidineacetic Acid  
4-N-(-6-Guanidinoacetoxy-2,5,7,8-tetramethylchroman-2-carboxamido)-4,2-(hydroxy)-pyrimidine

(207)  
Trolox + 8-Aminocaffeine +  
Guanidineacetic acid  
3,7-Dihydro-8-N-(-2-guanidinoacetoxy-2,5,7,8-tetramethylchroman-2-carboxamido)-1,3,7-trimethylxanthine

(208)  
Thioctic acid  
(Alpha-lipoic acid)  
+  
Aminoguanidine  
N-(1,2-Dithiolane-3-pentanainido)-guanidine

(209)  
Thioctic acid + 3,5-Diamino-1,2,4-**triazole**  
3,5-Bis-(1,2-dithiolane-3-pentanamido)-1,2,4-**triazole**

(210)  
Aminoguanidine +  
3,3'-Thiodipropionic acid  
3,3'-Thiodipropanamidoguanidine

(211)  
Maleic Acid +  
Aminoguanidine  
Cis-1,2-ethylene-bis-(carboxamido)-guanidine

(212)  
Theophylline-7-acetic acid +  
3,5-Diamino-1,2,4-**triazole** +  
Glycine  
3-(Glycylamido)-5-(theophylline-7-acetamido)-1,2,3-triazine

(213)  
8-Aminocaffeine +

2-(4-Amino-3,5-dichlorophenyl)-2-hydroxyethylaldehyde  
8-[2-(4-Amino-3,5-dichlorophenyl)-2-hydroxyethylene]-8-imino-1,3,7-trimethylxanthine

(214)  
Cafaminol +  
Guanidineacetic acid  
8-[(2-Guanidinoacetoxyethyl methylamino)-caffeine

(215)  
8-Aminocaffeine +  
GABA  
8-(4-Aminobutyramido)-1,3,7-trimethyl-xanthine

(216)  
2'-Deoxyadenosine +  
Guanidineacetic acid  
9-(2'-Deoxy-5'-guanidinoacetoxy)-9-beta-D-ribofuranosidoadenine  
or  
2'-deoxyadenosine-5-'guanidinoacetic . . . ester

(217)  
N-(-4-)Chlorophenyl)-N'-(1-methyl-ethyl)imido-dicarbonimidic diamide  
(Available commercially as chlorguanide)

(218)  
4-Chlorobutyric Acid  
+  
Dimethoxybenzylidene-guanidine  
4-N-(2,6-Dimethoxybenzylideneamino)-4-amidinobutyric acid

(219)  
4-Chloro-3,5-xyleneol  
+  
2-Hydrazinoimidazole  
2-(2,6-Dimethyl-4-hydroxyphenylamino)-2-aminoimidazole

(220)  
8-Chlorocaffeine  
+  
5-Hydroxytryptamine  
8-N-(5-Hydroxyindole-3-ethylamino)-8-(1,3,7-trimethyl)-xanthine

(221)  
7-(2-Chloroethyl)-theophylline  
+  
Aminoguanidine  
7-(Guanidinoaminoethyl)-7-(1,3-dimethyl)-xanthine

(222)  
8-Chlorocaffeine  
+  
4-Aminobutyric Acid  
4-N-(8-Amino-1,3,7-trimethylxanthine)-4-butyric acid

(223)  
4-Amidinobenzamide  
+

Chlorodiphenylmethane

N-(Diphenylmethyl)-4-(amidino)-  
**Benzamide**

(224)

8-Chlorotheophylline

+

Hydralazine

1-N-(Theophylline-8-amino)-1-amino-  
pthalazine

(225)

2-Chloroadenosine

+

Guanidine

6-Amino-2-guanidinopurineriboside

(226)

4-Chlorophenoxyacetic  
acid

+

Aminoguanidine

4-Chlorophenoxyacetamidoguanidine

(227)

4-Chlorophenoxyacetamido-  
guanidine

+

Aminoguanidine

4-Aminoguanidinophenoxyacetamido-  
guanidine

(228)

2-Amino-1,3,4-thiadiazole

+

8-Chlorocaffeine

2-(8-caffeineamino)-1,3,4-thiadiazole  
2-N-(1,3,7-Trimethylxanthine-8-amino)-  
1,3,4-thiadiazole

(229)

Cyheptamide

+

8-Chlorocaffeine

8-N-(10,11-Dihydro-5H-dibenzo[a,d]  
**cycloheptene**-5-carboxamido)-8-(1,3,7-  
trimethyl)-xanthine

(230)

4,6-Dichloro-2-(methylthio)-  
pyrimidine

+

aminoguanidine

4,6-Diaminoguanidino-2-(methylthio)-  
pyrimidine

(231)

4-Chlorophenoxyacetamido-  
guanidine

+

cyheptamide

5-N-(10,11-Dihydro-5H-dibenzo[a,d]  
**cycloheptene**-5-carboxamido)-5-  
(phenoxyacetamido)-guanidine

(232)

3,5-Diamino-1,2,4-**triazole**

+

8-chlorocaffeine

3,5-Bis-(7-methyl-8-theophyllineamino)-  
1,2,4-**triazole**

(233)

Biotin + 4-

=> d L9 ibib kwic 25-28

L9 ANSWER 25 OF 33 USPATFULL on STN

ACCESSION NUMBER: 2003:40702 USPATFULL

TITLE: Substituted 3-thiocarbamoylpyrazoles

INVENTOR(S): Alig, Bernd, Konigswinter, GERMANY, FEDERAL REPUBLIC OF  
Marhold, Albrecht, Leverkusen, GERMANY, FEDERAL  
REPUBLIC OF  
Stolting, Jorn, Koln, GERMANY, FEDERAL REPUBLIC OF  
Gau, Wolfgang, Wuppertal, GERMANY, FEDERAL REPUBLIC OF  
Erdelen, Christoph, Leichlingen, GERMANY, FEDERAL  
REPUBLIC OF  
Turberg, Andreas, Haan, GERMANY, FEDERAL REPUBLIC OF  
Mencke, Norbert, Leverkusen, GERMANY, FEDERAL REPUBLIC  
OF  
Hansen, Olaf, Langenfeld, GERMANY, FEDERAL REPUBLIC OF  
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Leverkusen, GERMANY, FEDERAL  
REPUBLIC OF (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6518296	B1	20030211
APPLICATION INFO.:	US 2000-701364		20001128 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1998-19824487	19980602
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	Morris, Patricia L.	
LEGAL REPRESENTATIVE:	Henderson, Richard E. L., Mrozinski, John E.	
NUMBER OF CLAIMS:	8	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 0 Drawing Page(s)	
LINE COUNT:	1733	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM  $\alpha$ -(1,1-dimethylethyl)- $\beta$ -(2-phenoxyethyl)-1H-1,2,4-**triazole**-1-ethanol,  $\alpha$ -(2,4-dichlorophenyl)- $\beta$ -fluoro- $\beta$ -propyl-1H-1,2,4-**triazole**-1-ethanol,  $\alpha$ -(2,4-dichlorophenyl)- $\beta$ -methoxy- $\beta$ -methyl-1H-1,2,4-**triazole**-1-ethanol,  $\alpha$ -(5-methyl-1,3-dioxan-5-yl)- $\beta$ -[[4-(trifluoromethyl)-phenyl]-methylene]-1H-1,2,4-**triazole**-1-ethanol, (5RS,6RS)-6-hydroxy-2,2,7,7-tetramethyl-5-(1H-1,2,4-**triazol**-1-yl)-3-octanone, (E)- $\alpha$ -(methoxyimino)-N-methyl-2-phenoxy-phenylacetamide, isopropyl{2-methyl-1-[[[1-(4-methylphenyl)-ethyl]-amino]-carbonyl]-propyl}-carbamate, 1-(2,4-dichlorophenyl)-2-(1H-1,2,4-**triazol**-1-yl)-ethanone-O-(phenylmethyl)-oxime, 1-(2-methyl-1-naphthalenyl)-1H-pyrrole-2,5-dione, 1-(3,5-dichlorophenyl)-3-(2-propenyl)-2,5-pyrrolidinedione, 1-[(diiodomethyl)-sulphonyl]-4-methyl-benzene, 1-[[2-(2,4-dichlorophenyl)-1,3-dioxolan-2-yl]-methyl]-1H-imidazole, 1-[[2-(4-chlorophenyl)-3-phenyloxiranyl]-methyl]-1H-1,2,4-**triazole**, 1-[1-[2-[(2,4-dichlorophenyl)-methoxy]-phenyl]-ethenyl]-1H-imidazole, 1-methyl-5-nonyl-2-(phenylmethyl)-3-pyrrolidinole, 2',6'-dibromo-2-methyl-4'-trifluoromethoxy-4'-trifluoromethyl-1,3-thiazole-5-carboxanilide, 2,2-dichloro-N-[1-(4-chlorophenyl)-ethyl]-1-ethyl-3-methyl-cyclopropanecarboxamide, 2,6-dichloro-5-(methylthio)-4-pyrimidinyl-thiocyanate, 2,6-dichloro-N-(4-trifluoromethylbenzyl)-**benzamide**, 2,6-dichloro-N-[[4-(trifluoromethyl)-phenyl]-methyl]-**benzamide**, 2-(2,3,3-triiodo-2-propenyl)-2H-tetrazole, 2-[(1-methylethyl)-sulphonyl]-



5-(trichloromethyl)-1,3,4-thiadiazole, 2-[[6-deoxy-4-O-(4-O-methyl-β-D-glucopyranosyl)-α-D-glucopyranosyl]-amino]-4-methoxy-1H-pyrrolo[2,3-d]pyrimidine-5-carbonitrile, 2-aminobutane, 2-bromo-2-(bromomethyl)-pentanedinitrile, 2-chloro-N-(2,3-dihydro-1,1,3-trimethyl-1H-inden-4-yl)-3-pyridinecarboxamide, 2-chloro-N-(2,6-dimethylphenyl)-N-(isothiocyanatomethyl)-acetamide, 2-phenylphenol (OPP), 3,4-dichloro-1-[4-(difluoromethoxy)-phenyl]-1H-pyrrole-2,5-dione, 3,5-dichloro-N-[cyano-[(1-methyl-2-propynyl)-oxy]-methyl]-benzamide, 3-(1,1-dimethylpropyl-1-oxo-1H-indene-2-carbonitrile, 3-[2-(4-chlorophenyl)-5-ethoxy-3-isoxazolidinyl]-pyridine, 4-chloro-2-cyano-N,N-dimethyl-5-(4-methylphenyl)-1H-imidazole-1-sulphonamide, 4-methyl-tetrazolo[1,5-a]quinazolin-5(4H)-one, 8-(1,1-dimethylethyl)-N-ethyl-N-propyl-1,4-dioxaspiro[4.5]decane-2-methanamine, 8-hydroxyquinoline sulphate, 9H-xanthene-2-[(phenylamino)-carbonyl]-9-carboxylic hydrazide, bis-(1-methylethyl)-3-methyl-4-[(3-methylbenzoyl)-oxy]-2,5-thiophenedicarboxylate, cis-1-(4-chlorophenyl)-2-(1H-1,2,4-triazol-1-yl)-cycloheptanol, cis-4-[3-[4-(1-sub.1-dimethylpropyl)-phenyl-2-methylpropyl]-2,6-dimethyl-morpholine hydrochloride, ethyl[(4-chlorophenyl)-azo]-cyanoacetate, potassium hydrogen carbonate, methanetetraethiol sodium salt, methyl 1-(2,3-dihydro-2,2-dimethyl-1H-inden-1-yl)-1H-imidazole-5-carboxylate, methyl N-(2,6-dimethylphenyl)-N-(5-isoxazolylcarbonyl)-DL-alaninate, methyl N-(chloroacetyl)-N-(2,6-dimethylphenyl)-DL-alaninate, N-(2,3-dichloro-4-hydroxyphenyl)-1-methyl-cyclohexanecarboxamide, N-(2,6-dimethylphenyl)-2-methoxy-N-(tetrahydro-2-oxo-3-furanyl)-acetamide, N-(2,6-dimethylphenyl)-2-methoxy-N-(tetrahydro-2-oxo-3-thienyl)-acetamide, N-(2-chloro-4-nitrophenyl)-4-methyl-3-nitro-benzenesulphonamide, N-(4-cyclohexylphenyl)-1,4,5,6-tetrahydro-2-pyrimidinamine, N-(4-hexylphenyl)-1,4,5,6-tetrahydro-2-pyrimidinamine, N-(5-chloro-2-methylphenyl)-2-methoxy-N-(2-oxo-3-oxazolidinyl)-acetamide, N-((6-methoxy)-3-pyridinyl)-cyclopropanecarboxamide, N-[2,2,2-trichloro-1-[(chloroacetyl)-amino]-ethyl]-benzamide, N-[3-chloro-4,5-bis-(2-propinyloxy)-phenyl]-N'-methoxy-methanimidamide, N-formyl-N-hydroxy-DL-alanine-sodium salt, O,O-diethyl [2-(dipropylamino)-2-oxoethyl]-ethylphosphoramidothioate, O-methyl S-phenyl phenylpropylphosphoramidothioate, S-methyl 1,2,3-benzothiadiazole-7-carbothioate, spiro[2H]-1-benzopyran-2, 1'-(3'H)-isobenzofuran]-3'-one.

L9 ANSWER 26 OF 33 USPATFULL on STN

ACCESSION NUMBER: 2002:160764 USPATFULL

TITLE: Thiophene compounds, process for preparing the same, and pharmaceutical compositions containing the same background of the invention

INVENTOR(S): Fancelli, Daniele, Milan, ITALY  
Pevarello, Paolo, Pavia, ITALY  
Varasi, Mario, Milan, ITALY

PATENT ASSIGNEE(S): Pharmacia & Upjohn S.p.A., Milan, ITALY (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6414013	B1	20020702
APPLICATION INFO.:	US 2000-596550		20000619 (9)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	GRANTED		
PRIMARY EXAMINER:	Shah, Mukund J.		
ASSISTANT EXAMINER:	Patel, Sudhaker B.		
LEGAL REPRESENTATIVE:	Oblon, Spivak, McClelland, Maier & Neustadt, P.C.		
NUMBER OF CLAIMS:	45		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 0 Drawing Page(s)		
LINE COUNT:	1522		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD . . . yl]pyrrole-2-carboxamide;  
 14 N-[3-carbamoyl-4,5,6,7-tetrahydrobenzo[b]thien-2- 293  
 yl]cyclopentanecarboxamide;  
 15 N-[3-carbamoyl-4,5,6,7-tetrahydrobenzo[b]thien-2- 290  
 yl]1-cyanocyclopropanecarboxamide;  
 16 N-[3-carbamoyl-4,5,6,7-tetrahydrobenzo[b]thien-2- 296  
 yl]N-acetylglycinamide;  
 17 N-[3-carbamoyl-4,5,6,7-tetrahydrobenzo[b]thien-2- 290  
 yl]pyrrole-3-carboxamide;  
 18 N-[3-carbamoyl-4,5,6,7-tetrahydrobenzo[b]thien-2- 301  
 yl]**benzamide**;  
 19 N-[3-carbamoyl-4,5,6,7-tetrahydrobenzo[b]thien-2- 291  
 yl]4-pyrazolecarboxamide;  
 20 N-[3-carbamoyl-4,5,6,7-tetrahydrobenzo[b]thien-2- 302  
 yl]picolinic amide;  
 21 N-[3-carbamoyl-4,5,6,7-tetrahydrobenzo[b]thien-2- 302  
 yl]nicotinic amide;  
 22 N-[3-carbamoyl-4,5,6,7-tetrahydrobenzo[b]thien-2- 302  
 yl]isonicotinic amide;  
 23. . . fluorobenzamide;  
 47 N-[3-carbamoyl-5-isopropyl-thien-2-yl]3- 299  
 ureidopropionamide;  
 48 N-[3-carbamoyl-5-isopropyl-thien-2-yl]thiophene-2- 309  
 acetamide;  
 49 N-[3-carbamoyl-5-isopropyl-thien-2-yl]thiophene-3- 309  
 acetamide;  
 50 N-[3-carbamoyl-5-isopropyl-thien-2-yl]3- 309  
 cyclopentylpropionamide;  
 51 N-[3-carbamoyl-5-isopropyl-thien-2- 309  
 yl]**cycloheptanecarboxamide**;  
 52 N-[3-carbamoyl-5-isopropyl-thien-2-yl]2,2- 311  
 dimethylhexanoic amide;  
 53 N-[3-carbamoyl-5-isopropyl-thien-2-yl]alpha- 312  
 (isopropylideneaminooxy)propionamide;  
 54 N-[3-carbamoyl-5-isopropyl-thien-2-yl]N,N- 312  
 dimethylsuccinamic amide;  
 55 N-[3-carbamoyl-5-isopropyl-thien-2-yl]urocanic 305  
 amide;  
 56 N-[3-carbamoyl-5-isopropyl-thien-2-. . . N-[3-carbamoyl-5-phenyl-thien-2-  
 yl]N- 360  
 (acetoacetyl)glycinamide;  
 108 N-[3-carbamoyl-5-phenyl-thien-2-yl]N'-acetyl-dl- 360  
 valinamide;  
 109 N-[3-carbamoyl-5-phenyl-thien-2-yl]dl-alanyl-dl- 361  
 alanine;  
 110 N-[3-carbamoyl-5-phenyl-thien-2-yl]indole-6- 362  
 carboxamide;  
 111 N-[3-carbamoyl-5-phenyl-thien-2-yl]benzofuran-2- 363  
 carboxamide;  
 112 N-[3-carbamoyl-5-phenyl-thien-2-yl]1-phenyl-1- 363  
 cyclopropanecarboxamide;  
 113 N-[3-carbamoyl-5-phenyl-thien-2- 357  
 yl]**cycloheptylacetamide**;  
 114 N-[3-carbamoyl-5-phenyl-thien-2-yl]alpha- 363  
 methylcinnamic amide;  
 115 N-[3-carbamoyl-5-phenyl-thien-2-yl]2- 365  
 acetylbenzamide;  
 116 N-[3-carbamoyl-5-benzyl-thien-2-yl]4- 379  
 acetylbenzamide;  
 117 N-[3-carbamoyl-5-benzyl-thien-2-yl]o-coumaric 379  
 amide;  
 118 N-[3-carbamoyl-5-benzyl-thien-2-yl]3- 379

hydroxycinnamic amide;  
 119 N-[3-carbamoyl-5-benzyl-thien-2-yl]4- 379  
 hydroxycinnamic. . . N-[3-carbamoyl-4,5-dimethyl-thien-2-yl]indole-3- 328  
 acetamide;  
 203 N-[3-carbamoyl-4,5-dimethyl-thien-2-yl]3-(2- 337  
 thenoyl)-propionamide;  
 204 N-[3-carbamoyl-4,5-dimethyl-thien-2-yl]3-chloro-4- 339  
 methoxybenzamide;  
 205 N-[3-carbamoyl-4,5-dimethyl-thien-2-yl]5- 328  
 methylindole-2-carboxamide;  
 206 N-[3-carbamoyl-4,5-dimethyl-thien-2-yl]5-chloro-2- 339  
 methoxybenzamide;  
 207 N-[3-carbamoyl-4,5-dimethyl-thien-2-yl]1-(2- 340  
 carboxyphenyl)pyrrole;  
 208 N-[3-carbamoyl-4,5-dimethyl-thien-2-yl]4-(1-H- 340  
 pyrrol-1-yl)**benzamide**;  
 209 N-[3-carbamoyl-4,5-dimethyl-thien-2-yl]1-methyl-3- 342  
 indoleacetamide;  
 210 N-[3-carbamoyl-4,5-dimethyl-thien-2-yl]2-methyl-1h- 329  
 benzimidazole-5-carboxamide;  
 211 N-[3-carbamoyl-4,5-dimethyl-thien-2-yl]2- 343  
 (trifluoromethyl)**benzamide**;  
 212 N-[3-carbamoyl-4,5-dimethyl-thien-2-yl]3- 343  
 (trifluoromethyl)**benzamide**;  
 213 N-[3-carbamoyl-4,5-dimethyl-thien-2-yl]4- 343  
 (trifluoromethyl)**benzamide**;  
 214 N-[3-carbamoyl-4,5-dimethyl-thien-2-yl]chromone-2- 343  
 carboxamide;  
 215 N-[3-carbamoyl-4,5-dimethyl-thien-2-yl]5- 330  
 hydroxyindole-2-carboxamide;  
 216 N-[3-carbamoyl-4,5-dimethyl-thien-2-yl]chromone-3- 343  
 carboxamide;  
 217 N-[3-carbamoyl-4,5-dimethyl-thien-2-yl]3-hydroxy-2- 343  
 quinoxalinecarboxamide;  
 218 N-[3-carbamoyl-4,5-dimethyl-thien-2-yl]1-phenyl-1- 343  
 cyclopentanecarboxamide;  
 219 N-[3-carbamoyl-4,5-dimethyl-thien-2-yl]2,3- 344  
 dichlorobenzamide;  
 220 N-[3-carbamoyl-4,5-dimethyl-thien-2-yl]2,4- 344  
 . . . 334  
 hippuric amide;  
 237 N-[3-carbamoyl-4-methyl-thien-2-yl]N'-(furan-2-yl- 334  
 acryl)-glycinamide;  
 238 N-[3-carbamoyl-4-methyl-thien-2-yl](3,5- 335  
 dimethoxyphenyl)acetamide;  
 239 N-[3-carbamoyl-4-methyl-thien-2-yl]3,5-dimethoxy-4- 335  
 methylbenzamide;  
 240 N-[3-carbamoyl-4-methyl-thien-2-yl](2,4-dimethoxy- 335  
 phenyl)-acetamide;  
 241 N-[3-carbamoyl-4-methyl-thien-2-yl]5-(2- 337  
 thienoyl)butyramide;  
 242 N-[3-carbamoyl-4-methyl-thien-2-yl]4- 339  
 (methylsulfonyl)**benzamide**;  
 243 N-[3-carbamoyl-4-methyl-thien-2- 339  
 yl]phenylsulphonylacetamide;  
 244 N-[3-carbamoyl-4-methyl-thien-2-yl]3- 328  
 indolepropionamide;  
 245 N-[3-carbamoyl-4-methyl-thien-2-yl]3- 339  
 (methylsulfonyl)**benzamide**;  
 246 N-[3-carbamoyl-4-methyl-thien-2-yl]2-methyl-3- 328  
 indoleacetamide;  
 247 N-[3-carbamoyl-4-methyl-thien-2-yl]2- 339  
 (methylsulfonyl)**benzamide**;

248 N-[3-carbamoyl-4-methyl-thien-2-yl]4- 340  
sulfonamidobenzamide;  
249 N-[3-carbamoyl-4-methyl-thien-2-yl]5-methyl-1- 341  
phenylpyrazole-4-carboxamide;  
250 N-[3-carbamoyl-4-methyl-thien-2-yl]5-methyl-3- 342  
phenylisoxazole-4-carboxamide;  
251 N-[3-carbamoyl-4-methyl-thien-2-yl]2-hydroxy-5-(1 h- 342  
pyrrol-1-yl)benzamide;  
252 N-[3-carbamoyl-4-methyl-thien-2-yl]4-methyl-2- 342  
phenyl-1,2,3-triazole-5-carboxamide;  
253 N-[3-carbamoyl-4-methyl-thien-2-yl]N'-acetyl-dl- 346  
phenylglycinamide;  
254 N-[3-carbamoyl-4-methyl-thien-2-yl]2,3- 347  
dimethoxycinnamic amide;  
255 N-[3-carbamoyl-4-methyl-thien-2-yl]2- 329  
benzimidazolepropionamide;  
256 N-[3-carbamoyl-4-methyl-thien-2-yl]2,5- 347  
dimethoxycinnamic amide;  
257 N-[3-carbamoyl-4-methyl-thien-2-yl]3,4- 347  
dimethoxycinnamic amide;  
258 N-[3-carbamoyl-4-methyl-thien-2-yl]3,5- 347  
. . .

L9 ANSWER 27 OF 33 USPATFULL on STN

ACCESSION NUMBER: 2002:160723 USPATFULL  
TITLE: Guanidino compounds effective as anesthetics  
INVENTOR(S): Naftchi, N. Eric, 389 Forest Ave., Teaneck, NJ, United  
States 07666

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6413962	B1	20020702
APPLICATION INFO.:	US 1999-377878		19990819 (9)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1995-488893, filed on 6 Jun 1995, now patented, Pat. No. US 5958933 Continuation of Ser. No. US 1993-147150, filed on 2 Nov 1993, now abandoned Continuation of Ser. No. US 1992-956600, filed on 5 Oct 1992, now abandoned Continuation-in-part of Ser. No. US 1998-189464, filed on 2 May 1998, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	GRANTED		
PRIMARY EXAMINER:	Jarvis, William R. A.		
LEGAL REPRESENTATIVE:	Magidoff, Barry G.		
NUMBER OF CLAIMS:	8		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 0 Drawing Page(s)		
LINE COUNT:	2148		
CAS INDEXING IS AVAILABLE FOR THIS PATENT.			
DETD . . . Acid +			
Guanidine			
(190)			
1,4-Diguanidinobutane			
(Commercially available product as arcaïne)			
(191) 3,7-Dihydro-8-(2,6-dichlorobenzylidene			
2,6-Dichlorobenzaldehyde + amino)-1,3,7-trimethyl-1H-purine-2,6-			
3,7-Dihydro-8-amino- dione			
1,3,7-trimethyl-1H-			
purine-2,6-dione			
(192)			
4,4'-[Pentanediy]bis(oxy)]			
bis-benzenecarboximidamide			
(Commercially available as pentamidine)			

(193) 4-N-(2,6-Dichlorobenzylideneamino)-2-Cytosine + 2,6-Dichlorobenzaldehyde oxypyrimidine

(194) 3,5-Bis (3,4,5-trimethoxybenzylidene 3,4,5-Trimethoxybenzaldehyde + amino)-1,2,4-triazole 3,5-Diamino-1,2,4-triazole

(195) 3,5-Bis-(6-hydroxy-2,5,7,8-tetramethyl-Trolox + chroman-2-carboxamido)-1,2,4 triazole 3,5-Diamino-1,2,4-triazole

(196) 3-(5-Hydroxyindole-3-ethylamino)-5-5-Hydroxyindole-3-acetaldehyde + (3,4,5-trimethoxybenzylideneamino)-3,4,5-Trimethoxybenzaldehyde + 1,2,4-triazole 3,5-Diamino-1,2,4-triazole

(197) 3,7-Dihydro-8-N-(2-acetoxybenzamido)-8-Aminocaffeine + 1,3,7-trimethyl-1H-purine-2,6-dione Acetylsalicylic acid

(198) 4-N-(1-Glucosylamino)-cytosine Cytosine + D-glucose

(199) 3-(2,6-Dichlorobenzylideneamino)-5-Theophylline-7-acetic acid + (theophylline-7-acetamido)-1,2,2,6-Dichlorobenzaldehyde + triazole 3,5-Diamino-1,2,4-triazole

(200) 3-(6-Hydroxy-2,5,7,8-tetramethylchroman-Trolox + 2-carboxamido)-5-(N,N,N-trimethylmethan-Betaine + aminiumcarboxamido)-1,2,4-triazole 3,5-Diamino-1,2,4-triazole

(201) 3-(-2-Acetoxybenzamido)-5-(theophylline-Theophylline-7-acetic acid + 7-acetamido)-1,2,4-triazole 3,5-Diamino-1,2,4-triazole + Acetylsalicylic

(202) 3-(-2-Guanidinoacetoxybenzamido)-5-Theophylline-7-acetic acid + (theophylline-7-acetamido)-1,2,4-2-Guanidinoacetoxybenzoic triazole acid + 3,5-Diamino-1,2,4-triazole

(203) 3,7-Dihydro-8-(2-guanidinoacetoxyphenyl-8-Aminocaffeine + carboxamido)-1,3,7-trimethyl-1H-purine-2-Guanidinoacetoxy- 2,6-dione benzoic acid

(204) N-(4-Guanidinoacetoxy)-guanidino-P-Hydroxyanilin + acetanilid Guanidinoacetic Acid

(205) 3,7-Dihydro-8-(6-hydroxy-2,5,7,8-8-Aminocaffeine + tetramethylchroman-2-carboxamido)-Trolox 1,3,7-trimethyl-1H-purine-2,6-dione

(206) 4-N-(-6-Guanidinoacetoxy-2,5,7,8-tetra-Trolox + Cytosine + methylchroman-2-carboxamido)-4-2-Guanidineacetic Acid (hydroxy)-pyrimidine

(207) 3,7-Dihydro-8-N-(-2-guanidinoacetoxy-Trolox + 8-Aminocaffeine + 2,5,7,8-tetramethylchroman-2-Guanidineacetic acid carboxamido)-1,3,7-trimethylxanthine

(208) N-(1,2-Dithiolane-3-pentanamido)-Thioctic acid guanidine (Alpha-lipoic acid) + Aminoguanidine

(209) 3,5-Bis-(1,2-dithiolane-3-pentanamido)-Thioctic acid + 3,5- 1,2,4-triazole Diamino-1,2,4-triazole

(210) 3,3'-Thiodipropylguanidine Aminoguanidine + 3,3'-Thiodipropionic acid

(211) Cis-1,2-ethylene-bis-(carboxamido)-  
Maleic Acid + guanidine  
Aminoguanidine

(212) 3-(Glycylamido)-5-(theophylline-7-  
Theophylline-7-acetic acid + acetamido)-1,2,3-triazine  
3,5-Diamino-1,2,4-**triazole** +  
Glycine

(213) 8-[2-(4-Amino-3,5-dichlorophenyl)-2-  
8-Aminocaffeine + hydroxyethylene]-8-imino-1,3,8-  
2-(4-Amino-3, trimethylxanthine  
dichlorophenyl)-2-  
hydroxyethylaldehyde

(214) 8-[(2-Guanidinoacetoxyethyl  
Cafaminol + methylamino)-caffeine  
Guanidineacetic acid

(215) 8-(4-Aminobutyramido)-1,3,7-trimethyl-  
8-Aminocaffeine + xanthine  
GABA

(216) 9-(2'-Deoxy-5'-guanidinoacetoxy)-9-beta-  
2'-Deoxyadenosine + D-ribofuranosidoadenine  
Guanidineacetic acid or  
2'-deoxyadenosine-5-'guanidinoacetic  
acid ester

(217)  
N-(-4-)chlorophenyl)-N'-  
(1-methyl-ethyl)imido-  
dicarbonimidic. . . + amidinobutyric acid  
Dimethoxybenzyl idene-  
guanidine

(219) 2-(2,6-Dimethyl-4-hydroxyphenylamino)-  
4-Chloro-3,5-xlenol + 2-aminoimidazole  
2-Hydrazinoimidazole

(220) 8-N-(5-Hydroxyindole-3-ethylamino)-8-  
8-Chlorocaffeine + (1,3,7-trimethyl)-xanthine  
5-Hydroxytryptamine

(221) 7-(Guanidinoaminoethyl)-7-(1,3-  
7-(2-Chloroethyl)-theophylline + dimethyl)-xanthine  
Aminoguanidine

(222) 4-N-(8-Amino-1,3,7-trimethylxanthine)-4-  
8-Chlorocaffeine + butyric acid  
4-Aminobutyric Acid

(223) N-(Diphenylmethyl)-4-(amidino)-  
4-Amidinobenzamide + **Benzamide**  
Chlorodiphenylmethane

(224) 1-N-(Theophylline-8-amino)-1-amino-  
8-Chlorotheophylline + pthalazine  
Hydralazine

(225) 6-Amino-2-guanidinopurineriboside  
2-Chloroadenosine +  
Guanidine

(226) 4-Chlorophenoxyacetamidoguanidine  
4-Chlorophenoxyacetic  
acid +  
Aminoguanidine

(227) 4-Aminoguanidinophenoxyacetamido-  
4-Chlorophenoxyacetamido- guanidine  
guanidine +  
Aminoguanidine

(228) 2-(8-caffeineamino)-1,3,4-thiadiazole  
2-Amino-1,3,4-thiadiazole + 2-N-(1,3,7-Trimethylxanthine-8-amino)-  
8-Chlorocaffeine 1,3,4-thiadiazole

(229) 8-N-(10,11-Dihydro-5H-dibenzo[a,d]  
Cyheptamide + **cycloheptene**-5-carboxamido)-8-(1,3,7-

8-Chlorocaffeine trimethyl)-xanthine  
 (230) 4,6-Diaminoguanidino-2-(methylthio)-  
 4,6-Dichloro-2-(methylthio)- pyrimidine  
 pyrimidine +  
 aminoguanidine  
 (231) 5-N-(10,11-Dihydro-5H-dibenzo[a,d]  
 4-Chlorophenoxyacetamido- **cycloheptene**-5-carboxamido)-5-  
 guanidine + (phenoxyacetamido)-guanidine  
 cyheptamide  
 (232) 3,5-Bis-(7-methyl-8-theophyllineamino)-  
 3,5-Diamino-1,2,4-**triazole** + 1,2,4-**triazole**  
 8-chlorocaffeine  
 (233) N-(Hexahydro-2-oxo-1H-thieno[3,4-d]-  
 Biotin + 4- imidazole-4-pentanoyl)-4-  
 Amidinobenzamide amidinobenzamide  
 (234) N-(Hexahydro-2-oxo-1H-thieno[3,4-d]-  
 Biotin + imidazole-4-pentanamido-4-guanidine  
 Aminoguanidine

L9 ANSWER 28 OF 33 USPATFULL on STN

ACCESSION NUMBER: 2002:37916 USPATFULL

TITLE: OXO-SUBSTITUTED COMPOUNDS, PROCESS OF MAKING, AND  
 COMPOSITIONS AND METHODS FOR INHIBITING PARP ACTIVITY

INVENTOR(S): LI, JIA-HE, COCKEYSVILLE, MD, UNITED STATES  
 TAYS, KEVIN LEONARD, ELKRIDGE, MD, UNITED STATES  
 ZHANG, JIE, ELLICOTT CITY, MD, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002022636	A1	20020221
APPLICATION INFO.:	US 1998-145180	A1	19980901 (9)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1998-79509, filed on 15 May 1998, ABANDONED Continuation-in-part of Ser. No. US 1997-922520, filed on 3 Sep 1997, ABANDONED		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	NIXON & VANDERHYE P.C.,, 1100 NORTH GLEBE ROAD, 8TH FLOOR, ARLINGTONN, VA, 22201		
NUMBER OF CLAIMS:	183		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	2 Drawing Page(s)		
LINE COUNT:	3766		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD . . . groups, such as ethynyl, propynyl, butynyl, pentynyl, hexynyl,  
heptynyl, octynyl and the like; cycloalkyl groups, such as cyclobutyl,  
cyclopentyl, cyclohexyl, **cycloheptyl**, cyclooctyl, cyclononyl,  
cyclodecyl and the like; cycloalkenyl groups, such as cyclopropenyl,  
cyclopentadienyl, cyclohexenyl, cyclooctenyl and the like; aralkyl  
groups, such. . . 4-phenyl-4-methyl-1-pentyl and the like; aryl  
groups such as phenyl, naphthyl, indenyl, azulenyl, fluorenyl,  
anthracenyl, indolyl, isoindolyl, indolinyl, benzofuranyl,  
benzothiophenyl, indazolyl, **benzamidazolyl**, benzathiazolyl,  
tetrahydrofuranlyl, tetrahydropyranylyl, pyridyl, pyrrolyl, pyrrolidinyl,  
pyridinyl, pyrimidinyl, purinyl, quinolinyl, isoquinolinyl,  
tetrahydroquinolinyl, quinolizinylyl, furyl, thiophenyl, imidazolyl,  
oxazolyl, benzoxazolyl, thiazolyl, isoxazolyl, isotriazolyl,  
oxadiazolyl, **triazolyl**, thiadiazolyl, pyridazinyl,  
pyrimidinyl, pyrazolyl, pyrazolinyl, pyrazolidinyl, thienyl,  
tetrahydroisoquinolinyl, cinnolinyl, phthalazinyl, quinazolinyl,  
quinoxalinyl, naphthyridinyl, pteridinyl, carbazolyl, acridinyl,  
phenazinyl, phenothiazinyl, phenoxazinyl and. . .

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

28.32

223.97

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

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-0.73

STN INTERNATIONAL LOGOFF AT 10:15:45 ON 31 MAY 2005



5/31/05 10/7/8, 312  
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1/ CAPLUS structure search

15 hits CAPLUS

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NEWS	4	FEB 28 PATDPAFULL - New display fields provide for legal status data from INPADOC
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NEWS	7	MAR 02 GBFULL: New full-text patent database on STN
NEWS	8	MAR 03 REGISTRY/ZREGISTRY - Sequence annotations enhanced
NEWS	9	MAR 03 MEDLINE file segment of TOXCENTER reloaded
NEWS	10	MAR 22 KOREAPAT now updated monthly; patent information enhanced
NEWS	11	MAR 22 Original IDE display format returns to REGISTRY/ZREGISTRY
NEWS	12	MAR 22 PATDPASPC - New patent database available
NEWS	13	MAR 22 REGISTRY/ZREGISTRY enhanced with experimental property tags
NEWS	14	APR 04 EPFULL enhanced with additional patent information and new fields
NEWS	15	APR 04 EMBASE - Database reloaded and enhanced
NEWS	16	APR 18 New CAS Information Use Policies available online
NEWS	17	APR 25 Patent searching, including current-awareness alerts (SDIs), based on application date in CA/CAPLUS and USPATFULL/USPAT2 may be affected by a change in filing date for U.S. applications.
NEWS	18	APR 28 Improved searching of U.S. Patent Classifications for U.S. patent records in CA/CAPLUS
NEWS	19	MAY 23 GBFULL enhanced with patent drawing images
NEWS	20	MAY 23 REGISTRY has been enhanced with source information from CHEMCATS
NEWS	21	MAY 26 STN User Update to be held June 6 and June 7 at the SLA 2005 Annual Conference
NEWS EXPRESS		JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005
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NEWS INTER		General Internet Information
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COST IN U.S. DOLLARS

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TOTAL

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SESSION

FULL ESTIMATED COST

0.21

0.21

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STRUCTURE FILE UPDATES: 29 MAY 2005 HIGHEST RN 851364-46-0

DICTIONARY FILE UPDATES: 29 MAY 2005 HIGHEST RN 851364-46-0

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TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

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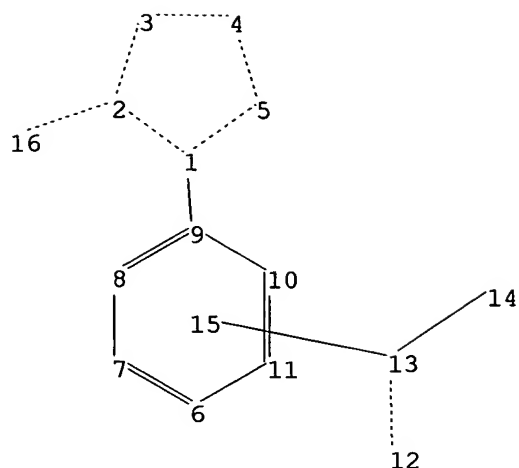
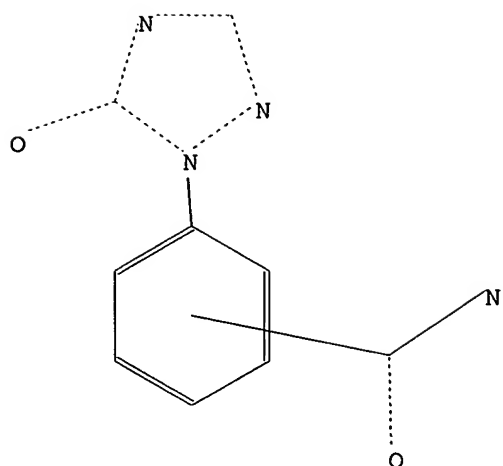
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\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
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Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

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ring nodes :
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chain bonds :
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ring bonds :
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exact/norm bonds :
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normalized bonds :
6-7 6-11 7-8 8-9 9-10 10-11

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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS

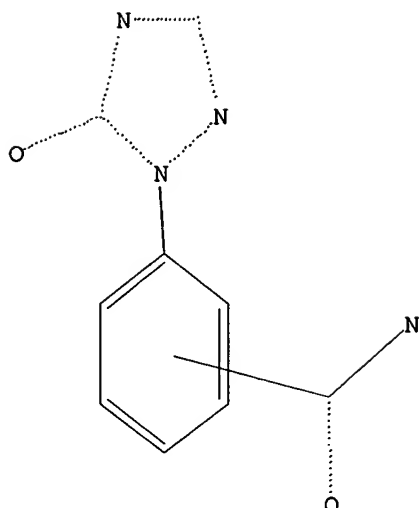
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L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 544 TO ITERATE

100.0% PROCESSED 544 ITERATIONS  
SEARCH TIME: 00.00.01

6 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 9481 TO 12279  
PROJECTED ANSWERS: 6 TO 266

L2 6 SEA SSS SAM L1

=> s L1 full

FULL SEARCH INITIATED 10:32:12 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 11221 TO ITERATE

100.0% PROCESSED 11221 ITERATIONS  
SEARCH TIME: 00.00.01

113 ANSWERS

L3 113 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
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161.33	161.54

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FILE COVERS 1907 - 31 May 2005 VOL 142 ISS 23  
FILE LAST UPDATED: 30 May 2005 (20050530/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s L3

L4 15 L3

=> d L4 1-15 ibib abs hitstr

L4 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 2004:565226 CAPLUS  
DOCUMENT NUMBER: 141:123633  
TITLE: Preparation of benzamide inhibitors of the P2x7 receptor  
INVENTOR(S): Duplantier, Allen J.; Subramanyam, Chakrapani; Dombroski, Mark A.  
PATENT ASSIGNEE(S): Pfizer Products Inc., USA  
SOURCE: PCT Int. Appl., 79 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

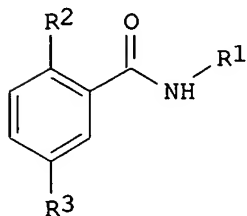
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058731	A1	20040715	WO 2003-IB6232	20031230
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.:

US 2002-437228P P 20021231

OTHER SOURCE(S): MARPAT 141:123633

GI



I

*related PCT  
PCT/IB03/006232  
not claimed  
but uses  
same  
PRV app-  
for priority  
same inventor*

AB Title benzamide derivs. I (R1 = alkyl, optionally substituted by cycloalkyl, aryl, heteroaryl; R2 = H, halo, cyano, optionally substituted alkyl; R3 = suitably substituted nitrogen-linked heterocyclyl) and pharmaceutically acceptable salts, useful as P2X7 receptor antagonists, are prepared. Thus, 2-chloro-N-[(1-hydroxycycloheptylmethyl)-5-[4-(2-methoxyethyl)-5-oxo-4,5-dihydro[1,2,4]triazol-1-yl]benzamide was prepared in a multi-step synthesis from 5-amino-2-chlorobenzoic acid. The compds. of the invention are useful in the treatment of IL-1 mediated disorders, including, without limitation, inflammatory diseases such as osteoarthritis and rheumatoid arthritis; allergies, asthma, COPD, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders.

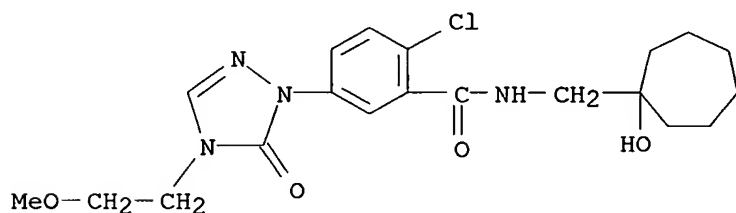
IT 723242-74-8P 723242-75-9P 723242-76-0P  
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 723242-83-9P 723242-84-0P 723242-85-1P  
 723242-86-2P 723242-87-3P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzamide inhibitors of the P2x7 receptor)

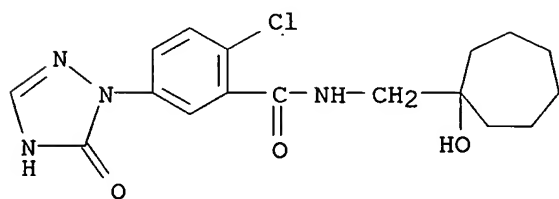
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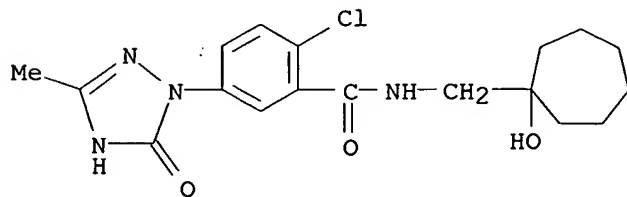
RN 723242-75-9 CAPLUS

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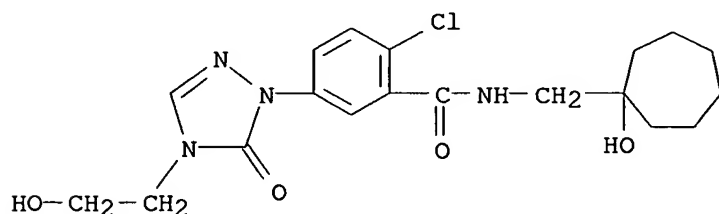


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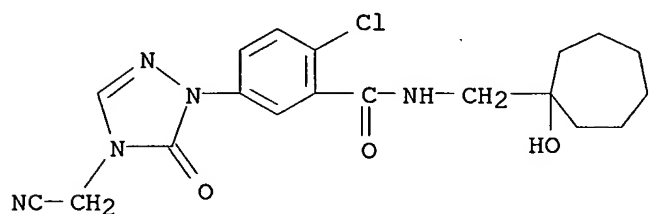
CN Benzamide, 2-chloro-5-(2,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)-N-[(1-hydroxycycloheptyl)methyl]- (9CI) (CA INDEX NAME)



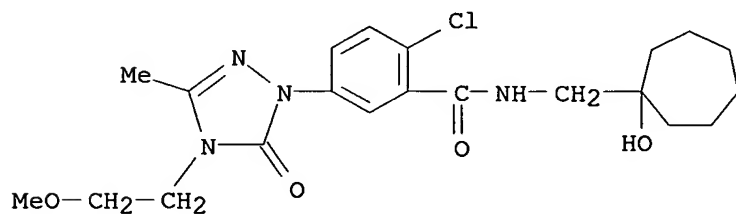
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 CN Benzamide, 2-chloro-5-[4,5-dihydro-4-(2-hydroxyethyl)-5-oxo-1H-1,2,4-triazol-1-yl]-N-[(1-hydroxycycloheptyl)methyl]- (9CI) (CA INDEX NAME)



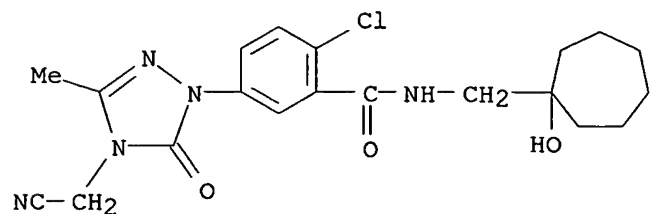
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 CN Benzamide, 2-chloro-5-[4-(cyanomethyl)-4,5-dihydro-5-oxo-1H-1,2,4-triazol-1-yl]-N-[(1-hydroxycycloheptyl)methyl]- (9CI) (CA INDEX NAME)



RN 723242-79-3 CAPLUS  
 CN Benzamide, 2-chloro-5-[4,5-dihydro-4-(2-methoxyethyl)-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl]-N-[(1-hydroxycycloheptyl)methyl]- (9CI) (CA INDEX NAME)

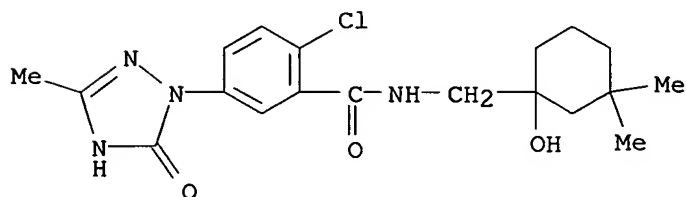


RN 723242-80-6 CAPLUS  
 CN Benzamide, 2-chloro-5-[4-(cyanomethyl)-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl]-N-[(1-hydroxycycloheptyl)methyl]- (9CI) (CA INDEX NAME)



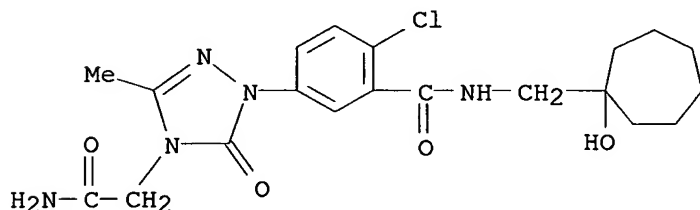
RN 723242-81-7 CAPLUS  
 CN Benzamide, 2-chloro-5-(2,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)-N-

[(1-hydroxy-3,3-dimethylcyclohexyl)methyl]- (9CI) (CA INDEX NAME)



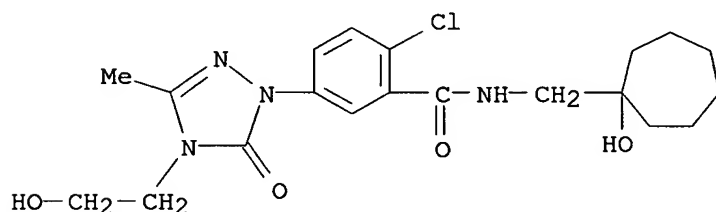
RN 723242-82-8 CAPLUS

CN 4H-1,2,4-Triazole-4-acetamide, 1-[4-chloro-3-[[[(1-hydroxycycloheptyl)methyl]amino]carbonyl]phenyl]-1,5-dihydro-3-methyl-5-oxo- (9CI) (CA INDEX NAME)



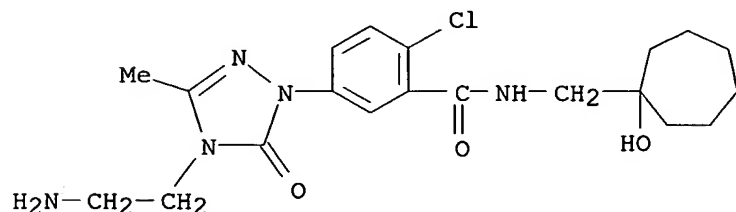
RN 723242-83-9 CAPLUS

CN Benzamide, 2-chloro-5-[4,5-dihydro-4-(2-hydroxyethyl)-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl]-N-[(1-hydroxycycloheptyl)methyl]- (9CI) (CA INDEX NAME)



RN 723242-84-0 CAPLUS

CN Benzamide, 5-[4-(2-aminoethyl)-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl]-2-chloro-N-[(1-hydroxycycloheptyl)methyl]- (9CI) (CA INDEX NAME)

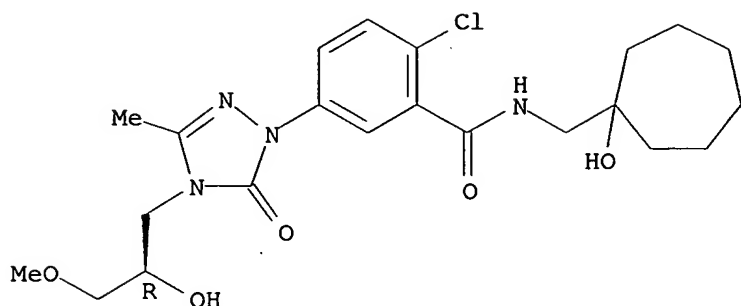


RN 723242-85-1 CAPLUS

CN Benzamide, 2-chloro-5-[4,5-dihydro-4-[(2R)-2-hydroxy-3-methoxypropyl]-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl]-N-[(1-hydroxycycloheptyl)methyl]- (9CI) (CA INDEX NAME)



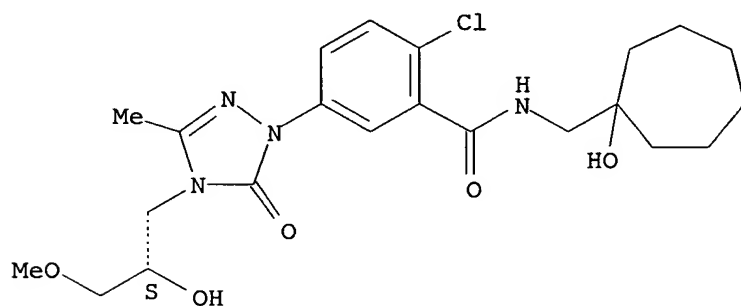
Absolute stereochemistry.



RN 723242-86-2 CAPLUS

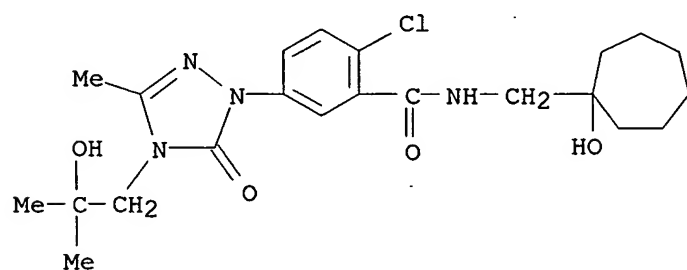
CN Benzamide, 2-chloro-5-[4,5-dihydro-4-[(2S)-2-hydroxy-3-methoxypropyl]-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl]-N-[(1-hydroxycycloheptyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 723242-87-3 CAPLUS

CN Benzamide, 2-chloro-5-[4,5-dihydro-4-(2-hydroxy-2-methylpropyl)-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl]-N-[(1-hydroxycycloheptyl)methyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:791959 CAPLUS

DOCUMENT NUMBER: 140:217570

TITLE: Chemical reactivity of 3-aryl-5-methyl-1,3,4-oxadiazolin-2-ones towards nitrogen nucleophiles. Part 1. One-pot ring conversion of 3-aryl-5-methyl-1,3,4-oxadiazolin-2-ones into 4-amino-2-aryl-5-methyl-2,4-dihydro-3H-1,2,4-triazol-3-ones

AUTHOR(S): Kavali, Jyothi R.; Kotresh, O.; Badami, Bharati V.

CORPORATE SOURCE: Department of Chemistry, Indian Institute of Science,  
Bangalore, 560 012, India  
SOURCE: Journal of Chemical Research, Synopses (2003), (5),  
275-278  
CODEN: JRPSDC; ISSN: 0308-2342  
PUBLISHER: Science Reviews  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 140:217570

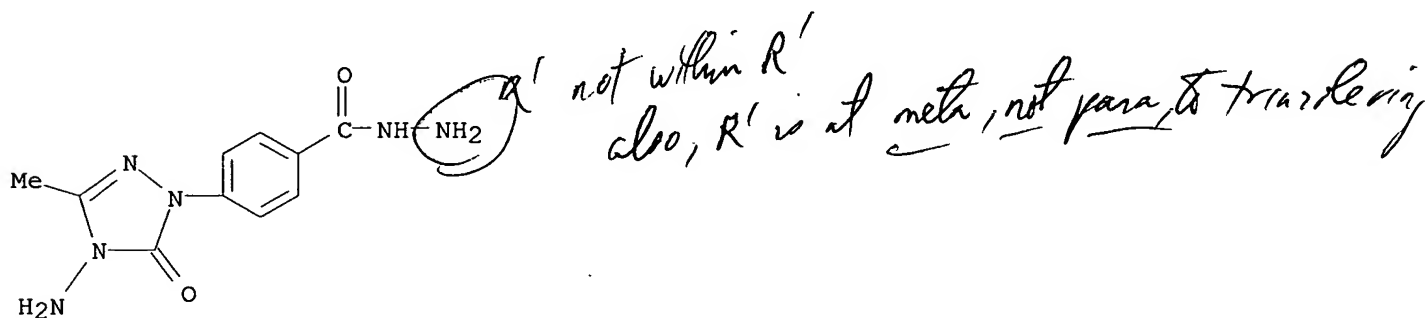
AB The ring transformation of 3-aryl-5-methyl-1,3,4-oxadiazolin-2-ones into  
4-amino-2-aryl-5-methyl-2,4-dihydro-3H-1,2,4-triazol-3-ones by reaction  
with hydrazine hydrate is described. The products were screened for biol.  
activity, and were found to be active against fungal strains but inactive  
against bacteria.

IT 666751-30-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and microbicidal activity of 4-amino-2-aryl-5-methyl-2,4-  
dihydro-3H-1,2,4-triazol-3-ones)

RN 666751-30-0 CAPLUS

CN Benzoic acid, 4-(4-amino-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl)-  
, hydrazide (9CI) (CA INDEX NAME)

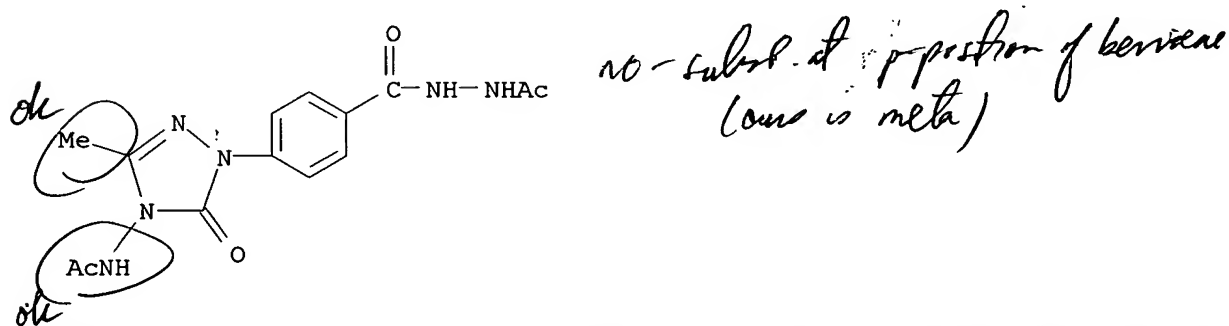


IT 666751-31-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and microbicidal activity of 4-amino-2-aryl-5-methyl-2,4-  
dihydro-3H-1,2,4-triazol-3-ones)

RN 666751-31-1 CAPLUS

CN Benzoic acid, 4-[4-(acetyl-amino)-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-  
triazol-1-yl]-, 2-acetylhydrazide (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN

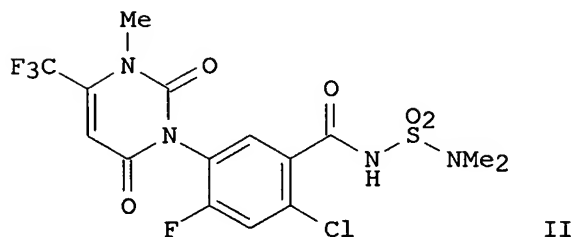
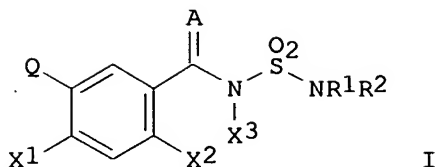
ACCESSION NUMBER: 2001:816646 CAPLUS

DOCUMENT NUMBER: 135:357938

TITLE: Preparation of uracil substituted N-sulfamoyl  
benzamides as herbicides

INVENTOR(S): Carlsen, Marianne; Guaciario, Michael Anthony;  
 Takasugi, James Jan  
 PATENT ASSIGNEE(S): Basf Aktiengesellschaft, Germany  
 SOURCE: PCT Int. Appl., 86 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001083459	A2	20011108	WO 2001-EP4850	20010430
WO 2001083459	A3	20020516		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2383858	AA	20011108	CA 2001-2383858	20010430
EP 1226127	A2	20020731	EP 2001-931674	20010430
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NZ 517562	A	20040924	NZ 2001-517562	20010430
AU 780654	B2	20050407	AU 2001-58384	20010430
US 2002045550	A1	20020418	US 2001-848881	20010504
US 6534492	B2	20030318		
BG 106473	A	20021031	BG 2002-106473	20020304
ZA 2002001776	A	20030311	ZA 2002-1776	20020304
BR 2002000970	A	20031118	BR 2002-970	20020326
US 2003224941	A1	20031204	US 2003-347920	20030122
US 6689773	B2	20040210		
US 2004220172	A1	20041104	US 2003-684940	20031015
US 6849618	B2	20050201		
PRIORITY APPLN. INFO.:			US 2000-201824P	P 20000504
			WO 2001-EP4850	W 20010430
			US 2001-848881	A 20010504
			US 2003-347920	A3 20030122
OTHER SOURCE(S):			MARPAT 135:357938	
GI				



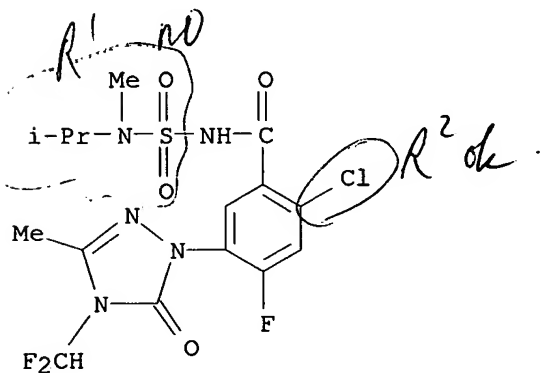
AB The title compds. [I; A = O, S; X1 = H, halo, alkyl; X2 = H, CN, CSNH2, halo, alkyl, haloalkyl; X3 = H, CN, alkyl, alkoxyalkyl, cycloalkyl, alkenyl, alkynyl, (un)substituted CH2Ph; R1, R2 = H, halo, (un)substituted OH, alkyl, alkenyl, alkynyl, cycloalkyl, Ph, CH2Ph or cycloalkenyl; or R1 and R2 together with the atom to which they are attached form a 3-7 membered heterocyclic ring; Q = substituted 2,4-dioxo-pyrimidin-3-yl, 5-oxo-1H-1,2,4-triazol-1-yl; 3-oxo-1,2,4-triazolo[4,3-a]pyridin-2(3H)-yl, etc.], were prepared as herbicides (biol. data given). Thus, treating 3-(5-carboxy-4-chloro-2-fluorophenyl)-1-methyl-6-trifluoromethyl-1H-pyrimidine-2,4-dione (preparation given) with carbonyldiimidazole in THF followed by addition of dimethylsulfamide, and then diazabicycloundecane afforded 42% II.

IT **372137-65-0P 372137-66-1P 372137-67-2P**

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of uracil substituted N-sulfamoyl benzamides as herbicides)

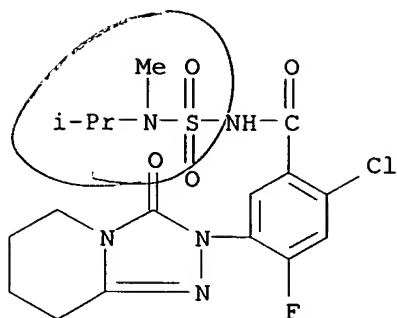
RN 372137-65-0 CAPLUS

CN Benzamide, 2-chloro-5-[4-(difluoromethyl)-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl]-4-fluoro-N-[[methyl(1-methylethyl)amino]sulfonyl]- (9CI) (CA INDEX NAME)



RN 372137-66-1 CAPLUS

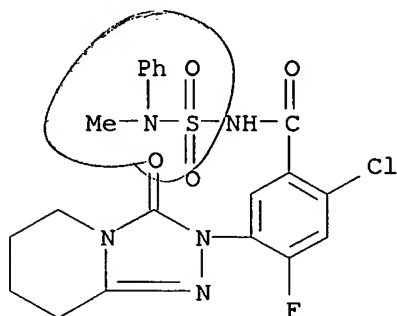
CN Benzamide, 2-chloro-4-fluoro-N-[[methyl(1-methylethyl)amino]sulfonyl]-5-(5,6,7,8-tetrahydro-3-oxo-1,2,4-triazolo[4,3-a]pyridin-2(3H)-yl)- (9CI) (CA INDEX NAME)



*no R' not within range*

RN 372137-67-2 CAPLUS

CN Benzamide, 2-chloro-4-fluoro-N-[(methylphenylamino)sulfonyl]-5-(5,6,7,8-tetrahydro-3-oxo-1,2,4-triazolo[4,3-a]pyridin-2(3H)-yl)- (9CI) (CA INDEX NAME)



*no - R' not within range*

L4 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:619582 CAPLUS

DOCUMENT NUMBER: 135:338737

TITLE: Comparative QSAR: Angiotensin II Antagonists

AUTHOR(S): Kurup, Alka; Garg, Rajni; Carini, D. J.; Hansch, Corwin

CORPORATE SOURCE: Department of Chemistry, Pomona College, Claremont, CA, 91711, USA

SOURCE: Chemical Reviews (Washington, D. C.) (2001), 101(9), 2727-2750

CODEN: CHREAY; ISSN: 0009-2665

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A QSAR study was carried out on nonpeptide angiotensin II antagonists which included a review of the literature on bioactivity and derivation of QSAR equations. The QSAR were divided into 4 groups according to the test system: rabbit, rat, guinea pig and human. Within each group, these are arranged according to potency (log I/C). Also listed is the CMR (calculated molar refractivity) which is similar to molar volume but contains a small element for polarizability, and Clog P values which give an assessment of the hydrophobic effects. The authors also used  $\pi$  as a measure of local hydrophobic binding sites. All the QSAR reported in the study were derived by the authors. The physicochem. parameters were autoloading from their C-QSAR databases and the QSAR regression anal. was executed with a C-QSAR program. The authors derived 39 QSAR equations which provide an overview of the structure-activity relationship for a variety of compds. To the authors knowledge, these are the first QSAR for angiotensin antagonists. The most important conclusion reached is the lack of importance of hydrophobic interactions with the receptors. The relevance of the biphenyl moiety for hydrophobicity is discussed and a model of the

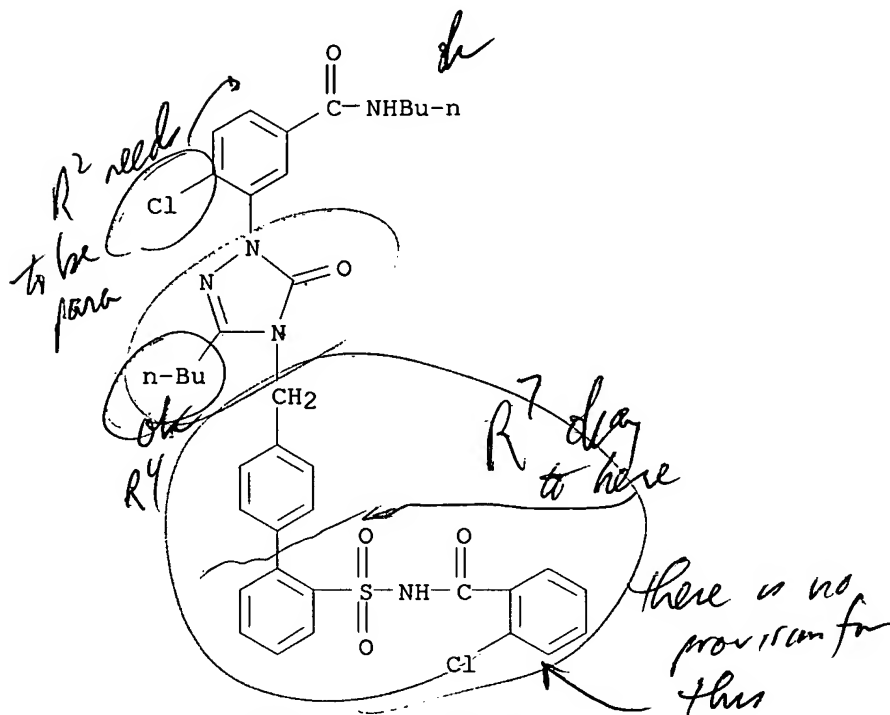
pharmacophore is presented.

IT 147776-43-0 159954-84-4

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)  
(comparative QSAR of nonpeptide angiotensin II antagonists)

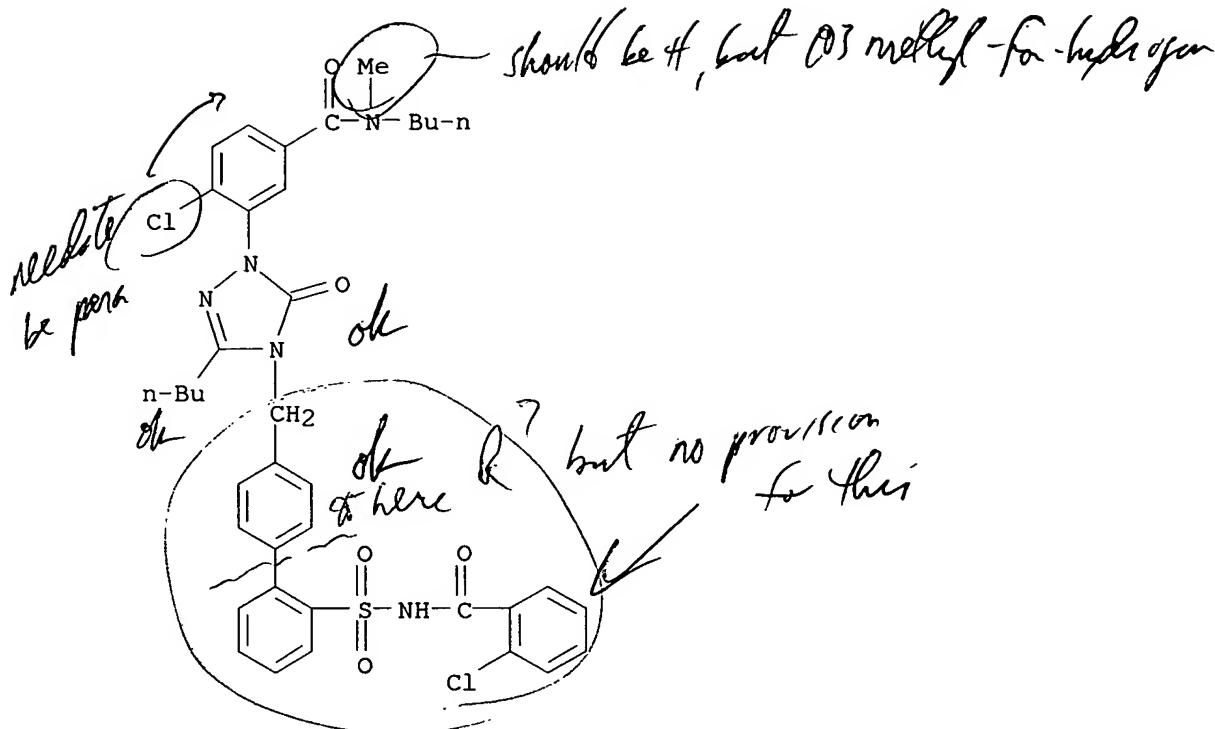
RN 147776-43-0 CAPLUS

CN Benzamide, N-butyl-3-[3-butyl-4-[[2'-[[ (2-chlorobenzoyl) amino] sulfonyl] [1, 1'-biphenyl]-4-yl]methyl]-4,5-dihydro-5-oxo-1H-1,2,4-triazol-1-yl]-4-chloro- (9CI) (CA INDEX NAME)



RN 159954-84-4 CAPLUS

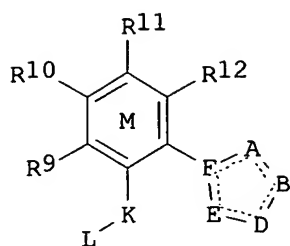
CN Benzamide, N-butyl-3-[3-butyl-4-[[2'-[[ (2-chlorobenzoyl) amino] sulfonyl] [1, 1'-biphenyl]-4-yl]methyl]-4,5-dihydro-5-oxo-1H-1,2,4-triazol-1-yl]-4-chloro-N-methyl- (9CI) (CA INDEX NAME)



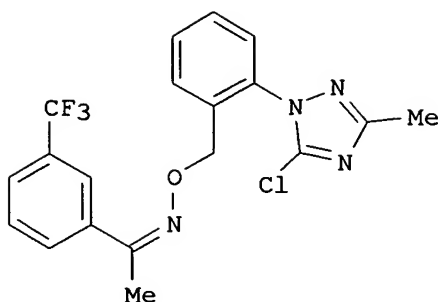
REFERENCE COUNT: 73 THERE ARE 73 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1998:102851 CAPLUS  
 DOCUMENT NUMBER: 128:167427  
 TITLE: Preparation of fungicidal aryl-substituted five-membered heterocyclic compounds such as 1-phenyl-1,2,4-triazoles  
 INVENTOR(S): West, Peter John; Cornell, Clive Leonard  
 PATENT ASSIGNEE(S): Agrevo UK Limited, UK; West, Peter John; Cornell, Clive Leonard  
 SOURCE: PCT Int. Appl., 69 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9804532	A1	19980205	WO 1997-GB2014	19970728
W: AU, BR, CA, CN, CZ, HU, IL, JP, KR, MX, NZ, PL, RU, TR, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9737004	A1	19980220	AU 1997-37004	19970728
ZA 9706694	A	19980615	ZA 1997-6694	19970728
PRIORITY APPLN. INFO.:			GB 1996-15832	A 19960727
			WO 1997-GB2014	W 19970728
OTHER SOURCE(S):		MARPAT 128:167427		
GI				



I



II

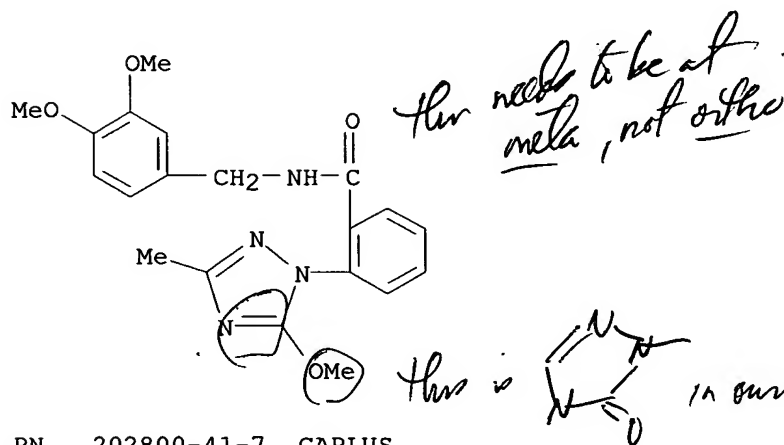
AB The title compds. [I; A = O, N, S; B = CR<sub>1</sub>R<sub>2</sub> (wherein R<sub>1</sub>, R<sub>2</sub> = H, alkyl, cycloalkyl, etc.), CR<sub>1</sub>, O; D = CR<sub>3</sub>R<sub>4</sub> (R<sub>3</sub>, R<sub>4</sub> = H, alkyl), CR<sub>3</sub>, NR<sub>3</sub>, N; E = CR<sub>5</sub>R<sub>6</sub> (R<sub>5</sub>, R<sub>6</sub> = H, halo, Oalkyl, etc.), CR<sub>5</sub>; F = C, N; K = O, S(O)<sub>n</sub> (n = 0-2), C.tplbond.C, etc.; L = alkyl, alkenyl, alkynyl, etc.; R<sub>9</sub>, K, and L taken together with the Ph ring M, can form (un)substituted fused aromatic ring system; R<sub>9</sub>-R<sub>12</sub> = H, halo, CN, etc.], useful as fungicides, were prepared Thus, treatment of 3'-trifluoromethylacetophenone oxime with KOtBu in DMF followed by addition of 1-(2-chloromethylphenyl)-3-methyl-5-chloro-1,2,4-triazole (preparation described) in DMF afforded the title compound II which showed activity (> 50%) against Erysiphe graminis f. sp. tritici at 500 ppm (w/v) or less.

IT 202800-40-6P 202800-41-7P 202800-42-8P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of fungicidal aryl-substituted five-membered heterocyclic compds. such as 1-phenyl-1,2,4-triazoles)

RN 202800-40-6 CAPLUS

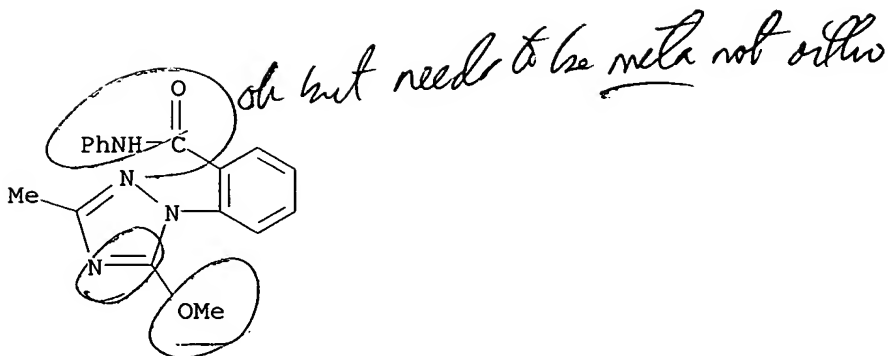
CN Benzamide, N-[(3,4-dimethoxyphenyl)methyl]-2-(5-methoxy-3-methyl-1H-1,2,4-triazol-1-yl)- (9CI) (CA INDEX NAME)



RN 202800-41-7 CAPLUS

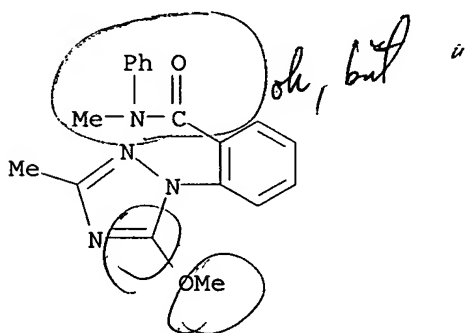
CN Benzamide, 2-(5-methoxy-3-methyl-1H-1,2,4-triazol-1-yl)-N-phenyl- (9CI) (CA INDEX NAME)





RN 202800-42-8 CAPLUS

CN Benzamide, 2-(5-methoxy-3-methyl-1H-1,2,4-triazol-1-yl)-N-methyl-N-phenyl-  
(9CI) (CA INDEX NAME)



REFERENCE COUNT:

9

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:101493 CAPLUS

DOCUMENT NUMBER: 126:117980

TITLE: Preparation of 1-phenyl-1,2,4-triazol-5-ones as pesticides

INVENTOR(S): Linker, Karl-Heinz; Findeisen, Kurt; Haas, Wilhelm; Lender, Andreas; Mueller, Klaus-Helmut; Schallner, Otto; Erdelen, Christoph; Turberg, Andreas; Mencke, Norbert

PATENT ASSIGNEE(S): Bayer A.-G., Germany

SOURCE: Ger. Offen., 50 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

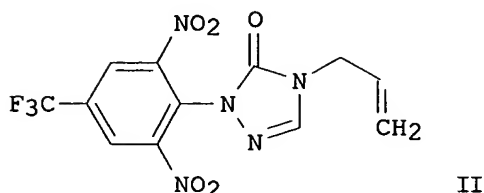
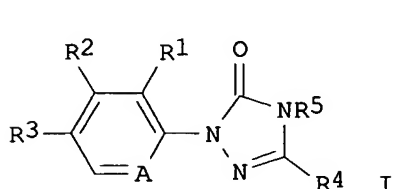
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19521162	A1	19961212	DE 1995-19521162	19950609
WO 9641535	A1	19961227	WO 1996-EP2287	19960528
W: AU, BB, BG, BR, BY, CA, CN, CZ, HU, JP, KR, KZ, LK, MX, NO, NZ, PL, RO, RU, SK, TR, UA, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9661231	A1	19970109	AU 1996-61231	19960528
AU 703364	B2	19990325		
EP 831705	A1	19980401	EP 1996-918634	19960528
EP 831705	B1	20010829		
R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
CN 1192123	A	19980902	CN 1996-195972	19960528
CN 1094725	B	20021127		

BR 9609884	A	19990323	BR 1996-9884	19960528
JP 11507651	T2	19990706	JP 1996-502550	19960528
ES 2162070	T3	20011216	ES 1996-918634	19960528
US 6258957	B1	20010710	US 1997-973538	19971202
PRIORITY APPLN. INFO.:			DE 1995-19521162	A 19950609
			WO 1996-EP2287	W 19960528
OTHER SOURCE(S):	MARPAT 126:117980			
GI				



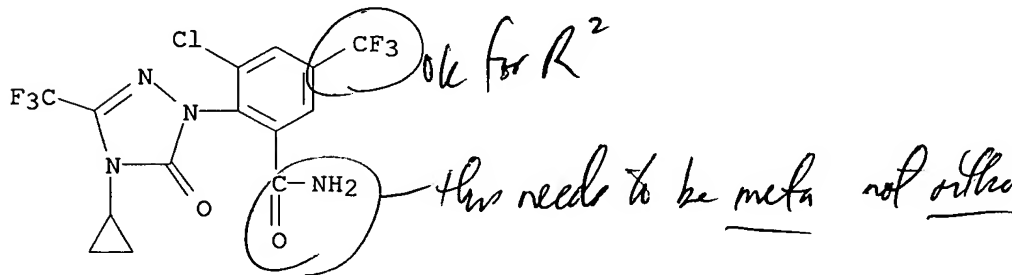
AB Title compds. [I; A = N or CR; R = H, halo, alkyl, (di)(alkyl)carbamoyl, etc.; R1 = halo, alkyl, alkoxy, (di)(alkyl)carbamoyl, etc.; R2 = H, halo, (cyclo)alkyl, etc.; R3 = NO2, haloalkyl, haloalkoxy, SOO-2R6, etc.; R4 (cyclo)alkyl, aryl(alkyl), SOO-2R6, etc.; R5 = H, alk(en)yl, alkoxy, aryl, SOO-2R6, etc.; R6 = (cyclo)alkyl, aryl, etc.] were prepared. Thus, 3-trifluoromethyl-4-propenyl-1H-1,2,4-triazol-5-one was arylated by 2,6-dinitro-4-trifluoromethyl-1-chlorobenzene to give title compound II. Data for biol. activity of I were given.

IT **186043-47-0P**

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 1-phenyl-1,2,4-triazol-5-ones as pesticides)

RN 186043-47-0 CAPLUS

CN Benzamide, 3-chloro-2-[4-cyclopropyl-4,5-dihydro-5-oxo-3-(trifluoromethyl)-1H-1,2,4-triazol-1-yl]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:776279 CAPLUS

DOCUMENT NUMBER: 123:339913

TITLE: Potent and orally active angiotensin II receptor antagonists with equal affinity for human AT1 and AT2 subtypes

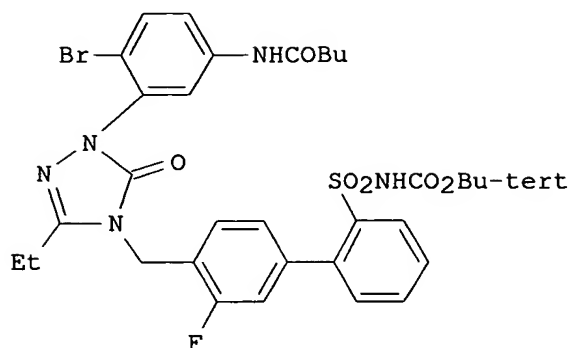
AUTHOR(S): Chang, Linda L.; Ashton, Wallace T.; Flanagan, Kelly L.; Chen, Tsing-Bau; O'Malley, Stacey S.; Zingaro, Gloria J.; Kivlighn, Salah D.; Siegl, Peter K. S.; Lotti, Victor J.; et al.

CORPORATE SOURCE: Merck Research Laboratories, Rahway, NJ, 07065, USA

SOURCE: Journal of Medicinal Chemistry (1995), 38(19), 3741-58

PUBLISHER:  
DOCUMENT TYPE:  
LANGUAGE:  
GI

CODEN: JMCMAR; ISSN: 0022-2623  
American Chemical Society  
Journal  
English



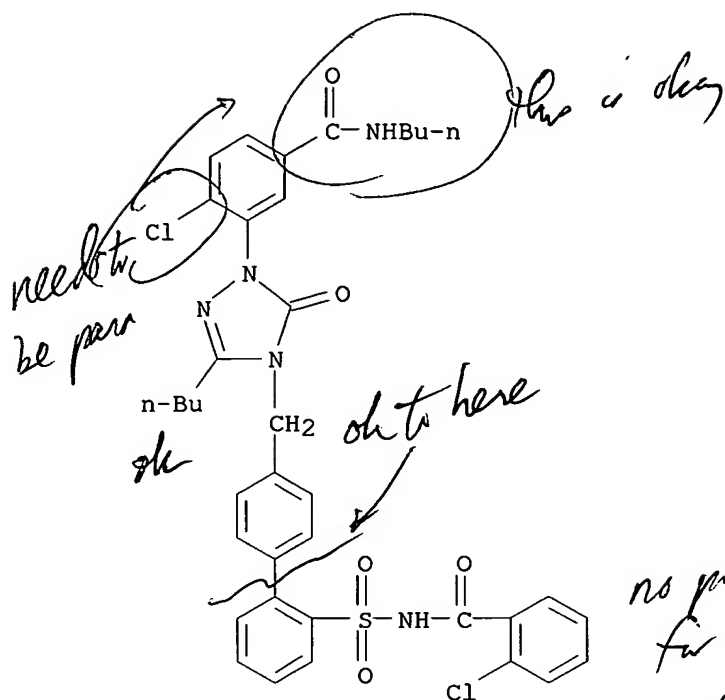
AB In order to block the effects induced by the interactions between angiotensin II (AII) and both AT1 and AT2 receptors, the authors have pursued the discovery of orally active non-peptide AII antagonists that exhibit potent and equal affinity for human AT1 and AT2 receptor subtypes. A series of previously prepared nanomolar (IC50) trisubstituted 1,2,4-triazolinone biphenylsulfonamide dual-acting AII antagonists has been modified at five different positions in order to increase AT2 binding affinity, maintain AT1 activity, and reduce the human adrenal AT2/AT1 potency ratio (IC50 ratio) from  $\geq 10$ . The targeted human adrenal potency ratio of  $\leq 1$  was achieved with a number of compds. possessing an Et group at C5 of the triazolinone and a 3-fluoro substituent at the N4-biaryl methyl moiety. The most favored of these was triazolinone I which exhibited subnanomolar potency at both the AT1 (rabbit aorta) and AT2 (rat midbrain) receptors, with a slight preference for the latter, and had a human adrenal AT2/AT1 IC50 ratio of 1. This tert-Bu sulfonylcarbamate had excellent i.v. activity at 1 mg/kg (100% peak inhibition,  $\geq 4$  h duration of action) and is orally active at 3 mg/kg with  $>6$  h duration of action in a conscious rat model. The present study shows that the NH of the amide on the N2-aryl moiety is not required for subnanomolar binding affinity to either receptor subtype, although a keto functionality at this position is essential for acceptable AT2 binding. Receptor-ligand binding interactions derived from the structure-activity relationships are discussed with respect to both receptor subtypes.

IT 147776-43-0P 159544-68-0P 159545-14-9P  
159546-04-0P 168473-59-4P 168473-60-7P  
170647-64-0P 170647-76-4P 170647-77-5P  
170647-80-0P 170647-81-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of potent and orally active angiotensin II receptor antagonists)

RN 147776-43-0 CAPLUS

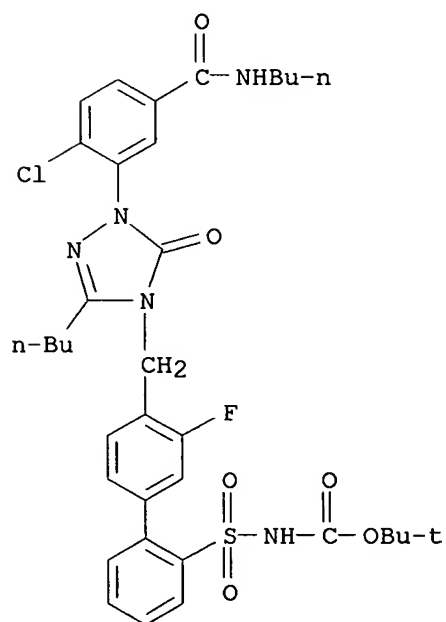
CN Benzamide, N-butyl-3-[3-butyl-4-[[2'-[[2-chlorobenzoyl]amino]sulfonyl][1,1'-biphenyl]-4-yl]methyl]-4,5-dihydro-5-oxo-1H-1,2,4-triazol-1-yl]-4-chloro- (9CI) (CA INDEX NAME)



*no provision  
for R<sup>7</sup> to be  
substituted with this.*

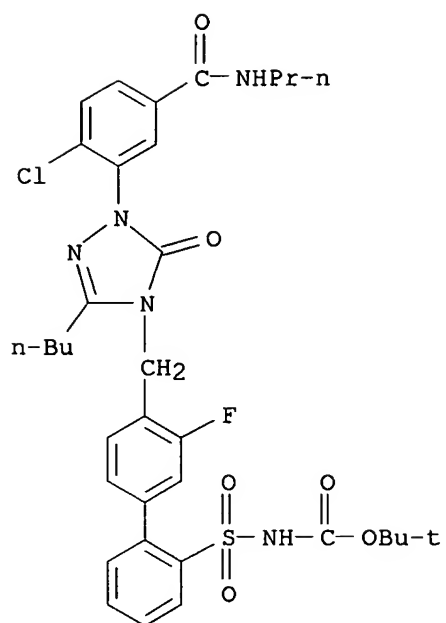
RN 159544-68-0 CAPLUS

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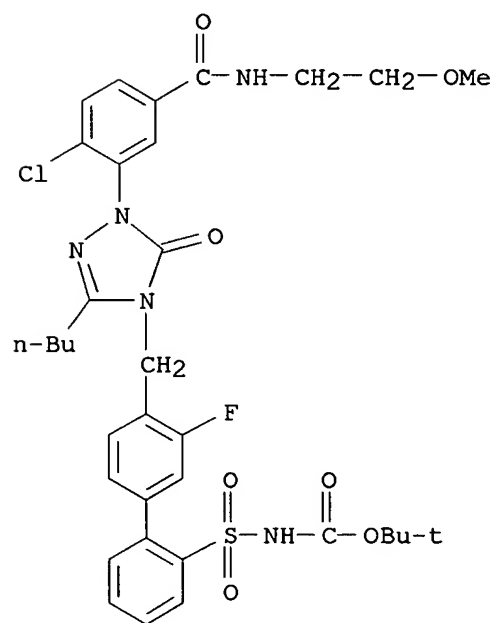
RN 159545-14-9 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1-[2-chloro-5-[(propylamino)carbonyl]phenyl]-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



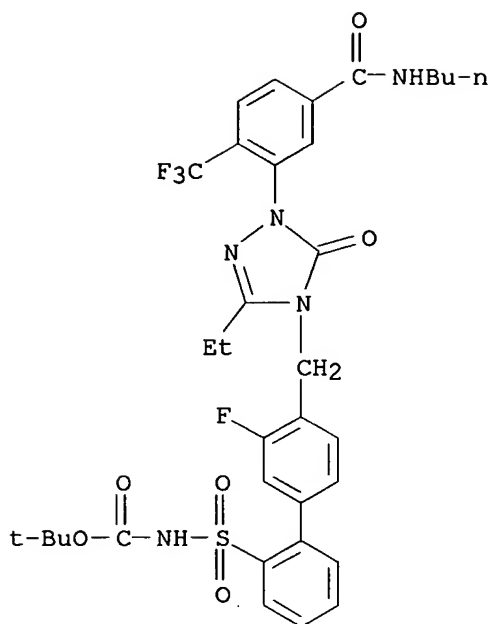
RN 159546-04-0 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1-[2-chloro-5-[[2-methoxyethyl)amino]carbonyl]phenyl]-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



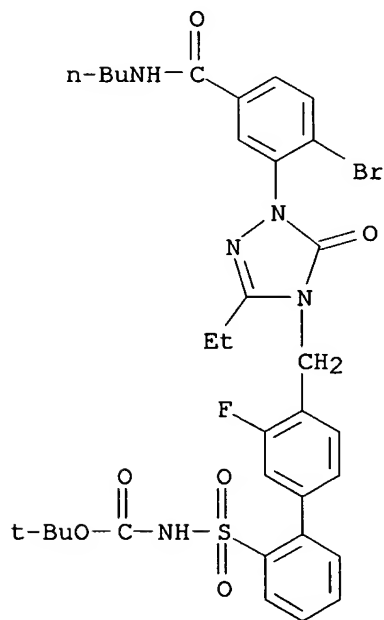
RN 168473-59-4 CAPLUS

CN Carbamic acid, [[4'-[[1-[5-[(butylamino)carbonyl]-2-(trifluoromethyl)phenyl]-3-ethyl-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



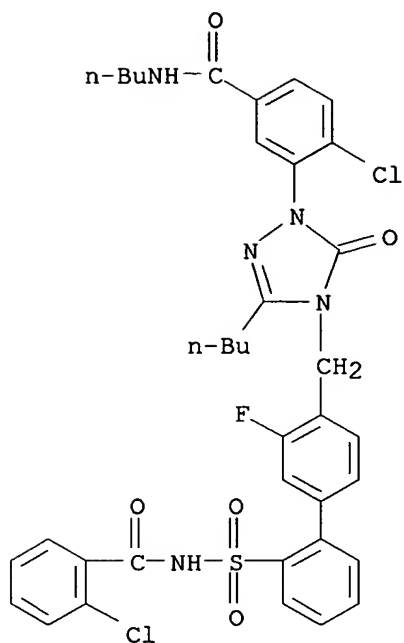
RN 168473-60-7 CAPLUS

CN Carbamic acid, [[4'-[[1-[2-bromo-5-[(butylamino)carbonyl]phenyl]-3-ethyl-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



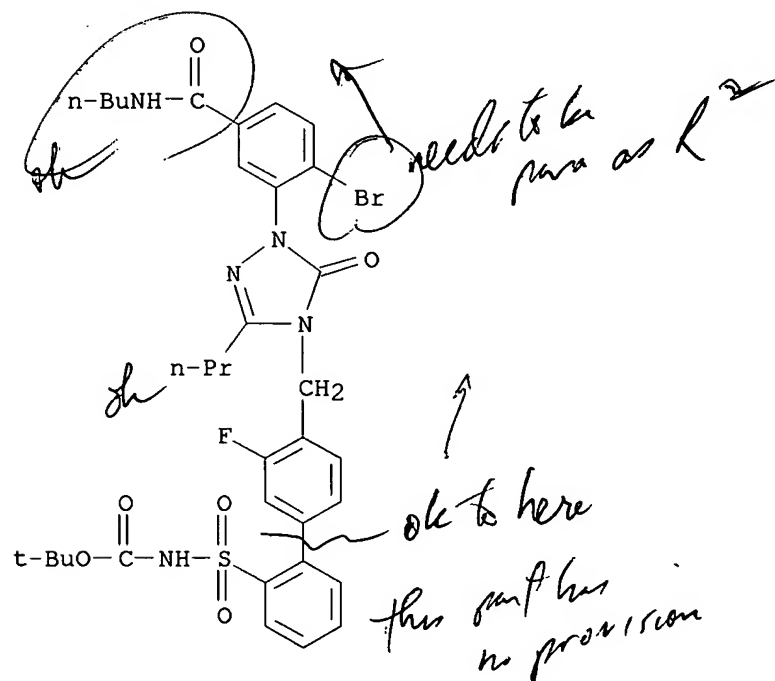
RN 170647-64-0 CAPLUS

CN Benzamide, N-butyl-3-[3-butyl-4-[[2'-[[2-chlorobenzoyl]amino]sulfonyl]-3-fluoro[1,1'-biphenyl]-4-yl]methyl]-4,5-dihydro-5-oxo-1H-1,2,4-triazol-1-yl]-4-chloro- (9CI) (CA INDEX NAME)



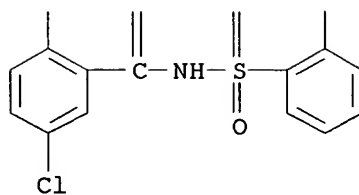
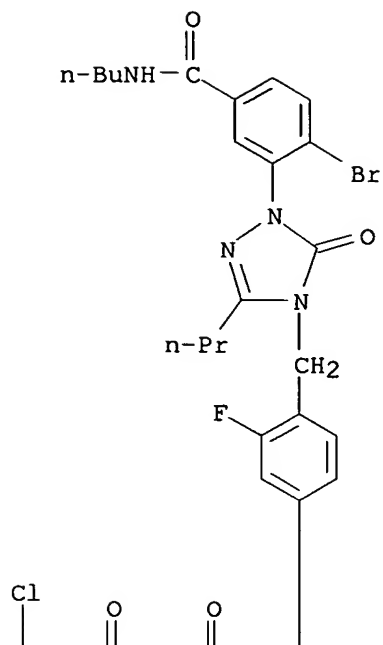
RN 170647-76-4 CAPLUS

CN Carbamic acid, [[4'-[[1-[2-bromo-5-[(butylamino)carbonyl]phenyl]-1,5-dihydro-5-oxo-3-propyl-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 170647-77-5 CAPLUS

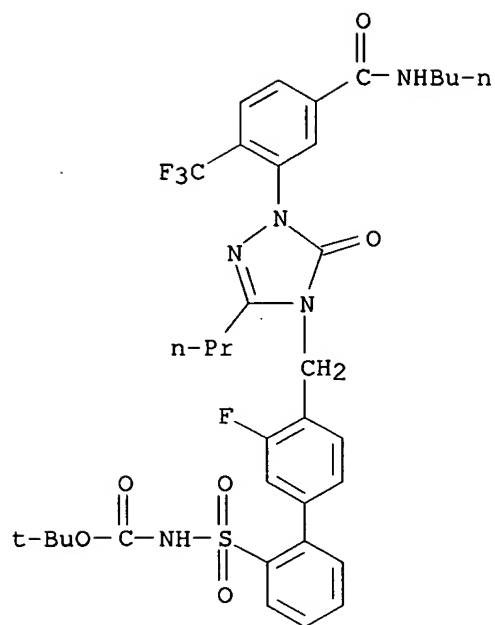
CN Benzamide, N-[[4'-[[1-[2-bromo-5-[(butylamino)carbonyl]phenyl]-1,5-dihydro-5-oxo-3-propyl-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-2,5-dichloro- (9CI) (CA INDEX NAME)



RN 170647-80-0 CAPLUS

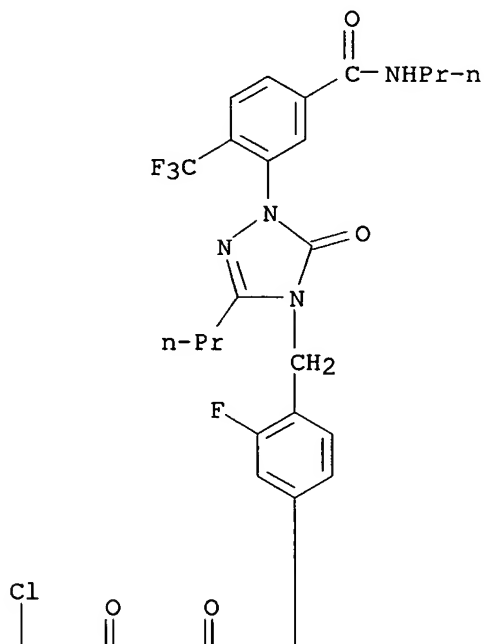
CN Carbamic acid, [[4'-[[1-[5-[(butylamino)carbonyl]-2-(trifluoromethyl)phenyl]-1,5-dihydro-5-oxo-3-propyl-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

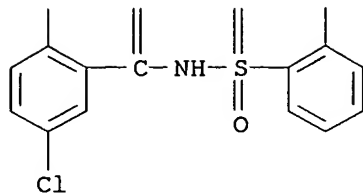




RN 170647-81-1 CAPLUS  
 CN Benzamide, 2,5-dichloro-N-[[4'-[[[1,5-dihydro-5-oxo-3-propyl-1-[5-  
 [(propylamino) carbonyl]-2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-4-  
 yl)methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]- (9CI) (CA INDEX NAME)

PAGE 1-A





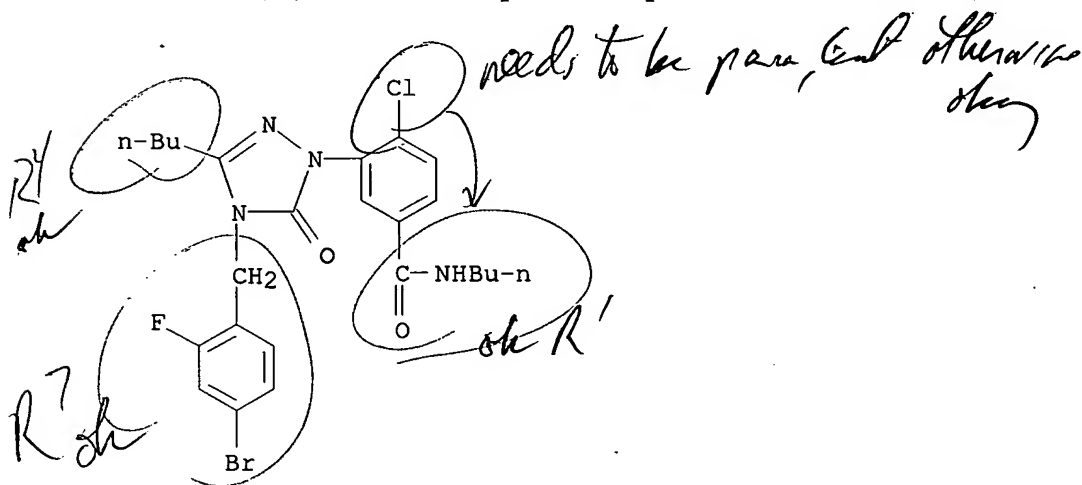
IT 159547-11-2P 170647-87-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of potent and orally active angiotensin II receptor antagonists)

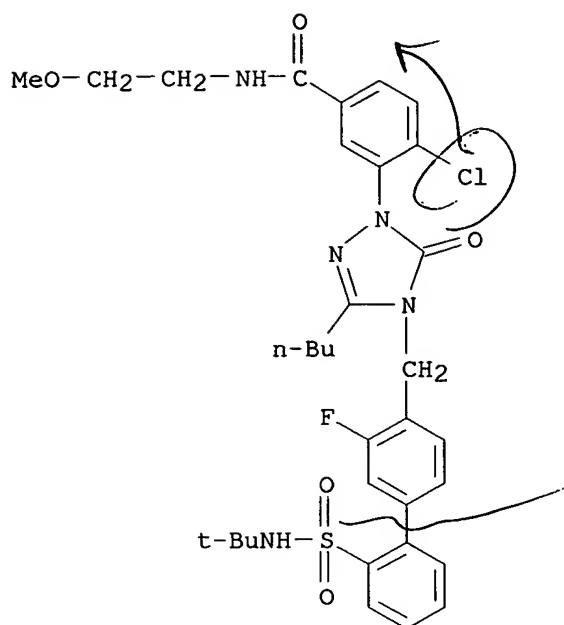
RN 159547-11-2 CAPLUS

CN Benzamide, 3-[4-[(4-bromo-2-fluorophenyl)methyl]-3-butyl-4,5-dihydro-5-oxo-1H-1,2,4-triazol-1-yl]-N-butyl-4-chloro- (9CI) (CA INDEX NAME)



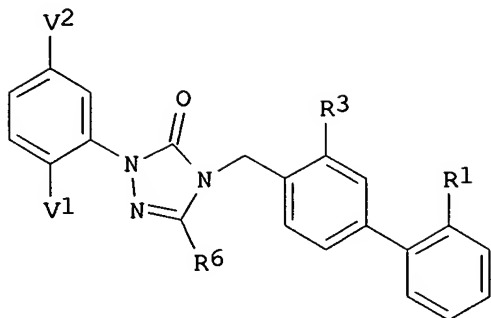
RN 170647-87-7 CAPLUS

CN Benzamide, 3-[3-butyl-4-[[2'-[[[(1,1-dimethylethyl)amino]sulfonyl]-3-fluoro[1,1'-biphenyl]-4-yl]methyl]-4,5-dihydro-5-oxo-1H-1,2,4-triazol-1-yl]-4-chloro-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1995:761968 CAPLUS  
 DOCUMENT NUMBER: 123:228195  
 TITLE: Substituted 4-biphenylmethyl-2-phenyl-1,2,4-triazolin-3-one compounds bearing acidic functional groups as balanced angiotensin II antagonists  
 INVENTOR(S): Ashton, Wallace T.; Chakravarty, Prasun K.; Chang, Linda L.  
 PATENT ASSIGNEE(S): Merck and Co., Inc., USA  
 SOURCE: U.S., 17 pp. Cont.-in-part of U.S. 5,281,614.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5436259	A	19950725	US 1993-154883	19931118
US 5281614	A	19940125	US 1992-970360	19921102
PRIORITY APPLN. INFO.:			US 1991-698505	B2 19910510
			US 1992-875038	B2 19920501
			US 1992-970360	A2 19921102
OTHER SOURCE(S):	MARPAT 123:228195			
GI				



I

AB Novel substituted 1,2,4-triazolin-3-ones of the formula I or a pharmaceutically acceptable salt thereof, wherein: R1 is SO<sub>2</sub>NHCO<sub>2</sub>R<sub>22</sub>, wherein R<sub>22</sub> is branched chain C<sub>3</sub>-C<sub>4</sub> alkyl; R<sub>3</sub> is Cl, Br, I, or F; R<sub>6</sub> is straight chain C<sub>1</sub>-C<sub>2</sub> alkyl; V<sub>1</sub> is Cl, Br, or CF<sub>3</sub>; V<sub>2</sub> is (a) (CH<sub>2</sub>)<sub>t</sub>NR<sub>21</sub>COR<sub>22</sub>, wherein t is 0; R<sub>21</sub> is H; and R<sub>22</sub> is Ph, C<sub>1</sub>-C<sub>4</sub> alkyl or C<sub>1</sub>-C<sub>2</sub> alkyl substituted with methoxy or ethoxy; or (b) CONR<sub>21</sub>R<sub>22</sub>, wherein R<sub>21</sub> is H; and R<sub>22</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl are useful as angiotensin II antagonists (no data). Thus, e.g., 2-[2-bromo-5-(valeryl amino)phenyl]-2,4-dihydro-5-ethyl-4-[(3-fluoro-2'-sulfamoylbiphenyl-4-yl)methyl]-3H-1,2,4-triazol-3-one (preparation given) was converted to the carbamate with di-t-Bu dicarbonate to afford title compound 2-[2-bromo-5-(valeryl amino)phenyl]-4-[[2'-[N-(t-butoxycarbonyl)sulfamoyl]-3-fluorobiphenyl-4-yl)methyl]-2,4-dihydro-5-ethyl-3H-1,2,4-triazol-3-one.

IT 168473-59-4P 168473-60-7P 168473-81-2P

168473-82-3P 168473-83-4P 168473-84-5P

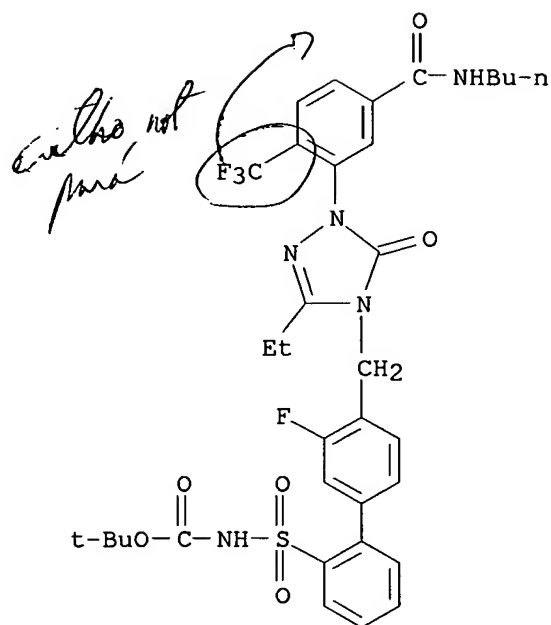
168473-85-6P 168473-86-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(substituted 4-biphenylmethyl-2-phenyl-1,2,4-triazolin-3-one compds. bearing acidic functional groups as balanced angiotensin II antagonists)

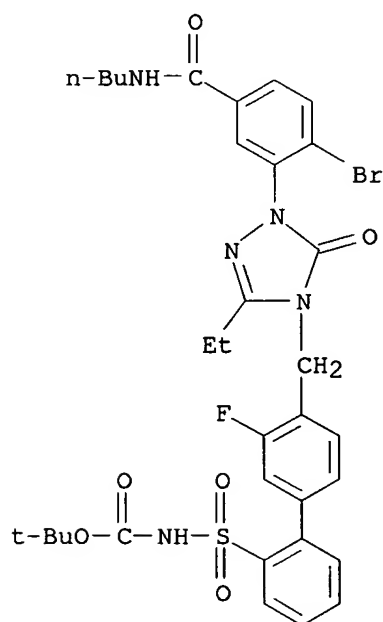
RN 168473-59-4 CAPLUS

CN Carbamic acid, [[4'-[[1-[5-[(butylamino)carbonyl]-2-(trifluoromethyl)phenyl]-3-ethyl-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl)methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



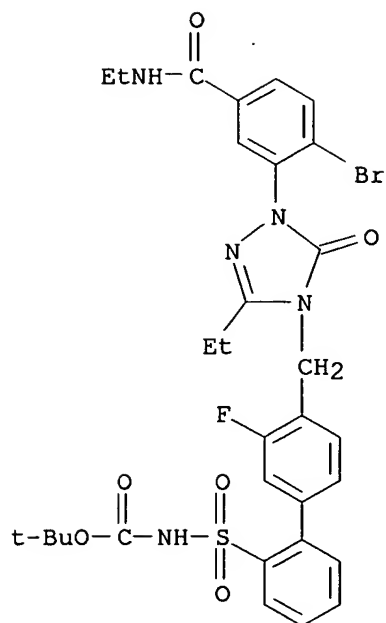
RN 168473-60-7 CAPLUS

CN Carbamic acid, [[4'-[[1-[2-bromo-5-[(butylamino)carbonyl]phenyl]-3-ethyl-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



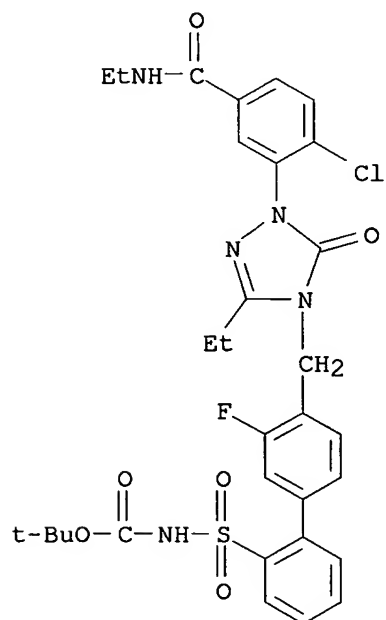
RN 168473-81-2 CAPLUS

CN Carbamic acid, [[4'-[[1-[2-bromo-5-[(ethylamino)carbonyl]phenyl]-3-ethyl-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



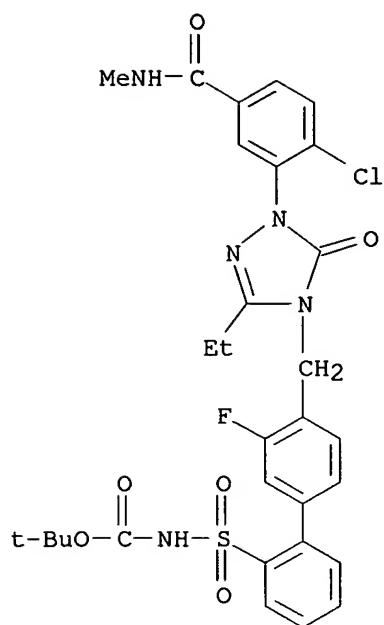
RN 168473-82-3 CAPLUS

CN Carbamic acid, [[4'-[[1-[2-chloro-5-[(ethylamino)carbonyl]phenyl]-3-ethyl-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



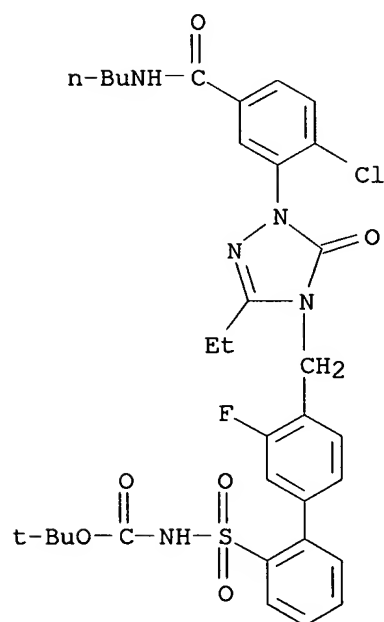
RN 168473-83-4 CAPLUS

CN Carbamic acid, [[4'-[[1-[2-chloro-5-[(methylamino)carbonyl]phenyl]-3-ethyl-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



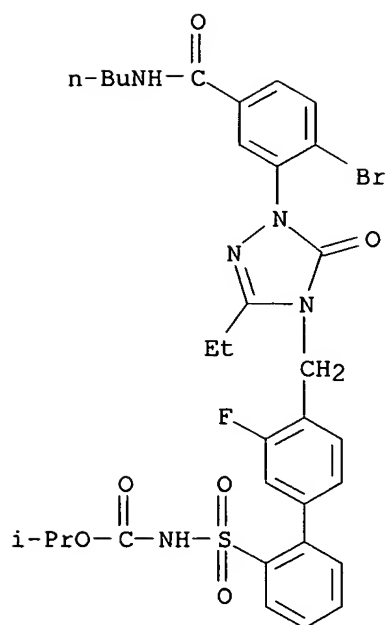
RN 168473-84-5 CAPLUS

CN Carbamic acid, [[4'-[[1-[5-[(butylamino)carbonyl]-2-chlorophenyl]-3-ethyl-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



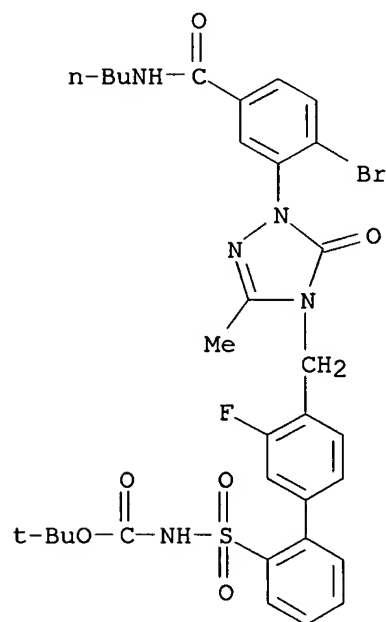
RN 168473-85-6 CAPLUS

CN Carbamic acid, [[4'-[[1-[2-bromo-5-[(butylamino)carbonyl]phenyl]-3-ethyl-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



RN 168473-86-7 CAPLUS

CN Carbamic acid, [[4'-[[1-[2-bromo-5-[(butylamino)carbonyl]phenyl]-1,5-dihydro-3-methyl-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT 168473-58-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

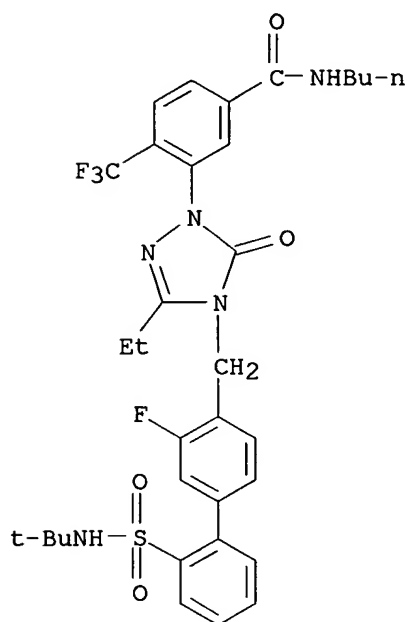
(substituted 4-biphenylmethyl-2-phenyl-1,2,4-triazolin-3-one compds. bearing acidic functional groups as balanced angiotensin II antagonists)

RN 168473-58-3 CAPLUS

CN Benzamide, N-butyl-3-[4-[[2'-[[(1,1-dimethylethyl)amino]sulfonyl]-3-



fluoro[1,1'-biphenyl]-4-yl)methyl]-3-ethyl-4,5-dihydro-5-oxo-1H-1,2,4-triazol-1-yl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:607987 CAPLUS

DOCUMENT NUMBER: 123:286034

TITLE: Substituted triazolinones, triazolinethiones, and triazolinimines as angiotensin II antagonists

INVENTOR(S): Ashton, Wallace T.; Chang, Linda L.; MacCoss, Malcolm; Chakravarty, Prasun K.; Greenlee, William J.; Patchett, Arthur A.; Flanagan, Kelly

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: U.S., 90 pp. Cont.-in-part of U.S. Ser. No. 899,868, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

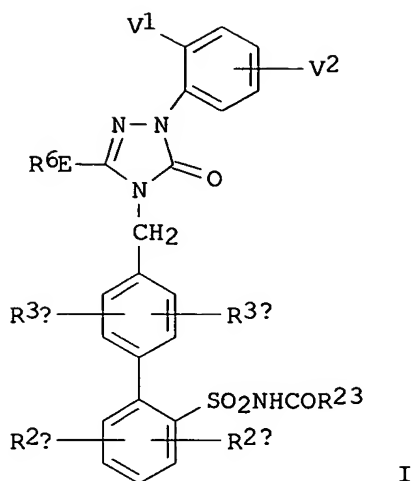
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5411980	A	19950502	US 1992-994228	19921221
ZA 9204916	A	19930331	ZA 1992-4916	19920702
PRIORITY APPLN. INFO.:			US 1989-386328	B2 19890728
			US 1990-504507	B2 19900404
			US 1991-725720	B2 19910703
			US 1991-812891	B2 19911220
			US 1992-899868	B2 19921217

OTHER SOURCE(S): MARPAT 123:286034

GI



AB There are disclosed new substituted triazolinone compds. I [R2a = H, halo; R2b = H, halo, C1-4-alkyl; R3a = H, halo; R3b = H, halo, C1-4-alkyl; E is a single bond; R6 = (un)substituted C1-6-alkyl; R23 = e.g., (un)substituted Ph, branched C3-7-alkyl, C3-7-cycloalkyl; V1 = H, Me, CF3, halogen, with the proviso that V1 = CF3 when V2 = H; V2 = e.g., H, NO2, NR10R21; R10 = H, C1-4-alkyl; R21 = H or R22; R22 = e.g., C1-6-alkyl, C3-7-cycloalkyl; aryl] which are useful as angiotensin II antagonists. Thus, e.g., reaction of 4-bromomethyl-2'-(t-butoxycarbonyl)biphenyl with K phthalimide afforded 82% N-[[2'-(t-butoxycarbonyl)biphenyl-4-yl]methyl]phthalimide; hydrazinolysis afforded 88% 4-aminomethyl-2'-(t-butoxycarbonyl)biphenyl; reaction with CS2/MeI afforded 84% Me N-[[2'-(t-butoxycarbonyl)biphenyl-4-yl]methyl]dithiocarbamate; reaction of the latter with hydrazine afforded 79% 4-[[2'-(t-butoxycarbonyl)biphenyl-4-yl]methyl]-3-thiosemicarbazide; heterocyclization with tri-Me orthovalerate afforded 63% 4-[[2'-(t-butoxycarbonyl)biphenyl-4-yl]methyl]-5-butyl-2,4-dihydro-3H-1,2,4-triazole-3-thione; removal of the t-Bu group with trifluoroacetic acid afforded the corresponding 2'-carboxy derivative (21%). Representative compds. of the invention act as angiotensin II receptor antagonists with activity of at least IC50 < 50  $\mu$ M. Pharmaceutical formulations were given.

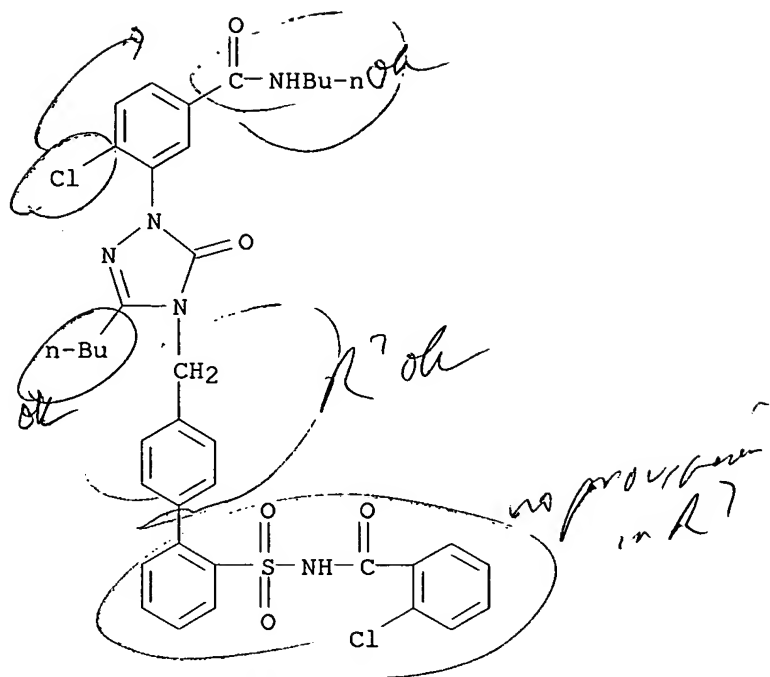
IT **147776-43-0P 159954-84-4P 169598-19-0P**  
**169599-32-0P 169599-33-1P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(substituted triazolinones, triazolinethiones, and triazolinimines as angiotensin II antagonists)

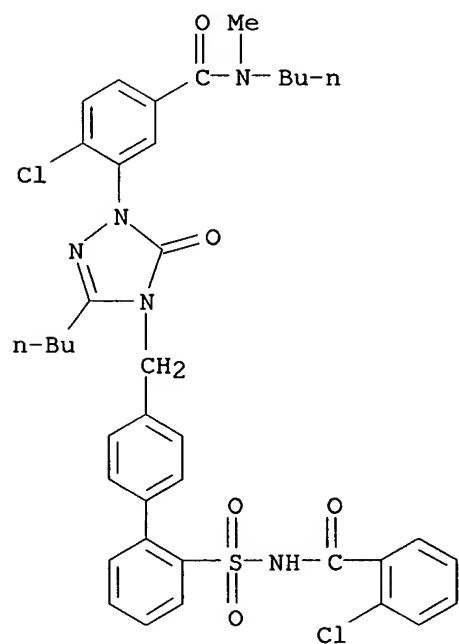
RN 147776-43-0 CAPLUS

CN Benzamide, N-butyl-3-[3-butyl-4-[[2'-[[[(2-chlorobenzoyl)amino]sulfonyl][1,1'-biphenyl]-4-yl]methyl]-4,5-dihydro-5-oxo-1H-1,2,4-triazol-1-yl]-4-chloro- (9CI) (CA INDEX NAME)



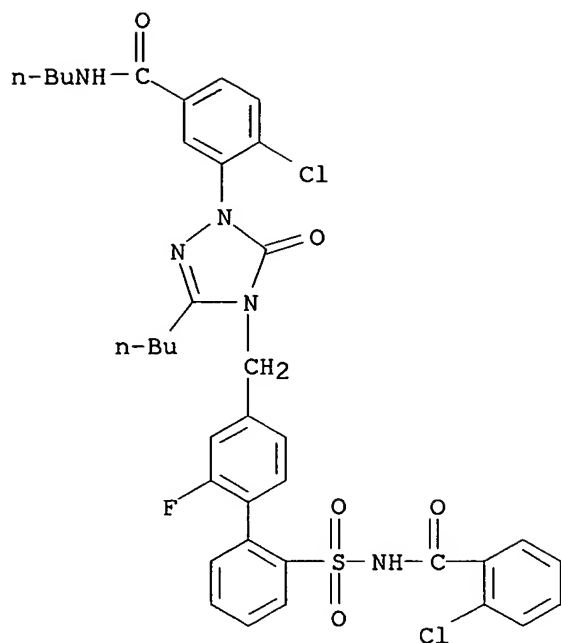
RN 159954-84-4 CAPLUS

CN Benzamide, N-butyl-3-[3-butyl-4-[[2'-[[ (2-chlorobenzoyl) amino] sulfonyl] [1,1'-biphenyl]-4-yl]methyl]-4,5-dihydro-5-oxo-1H-1,2,4-triazol-1-yl]-4-chloro-N-methyl- (9CI) (CA INDEX NAME)



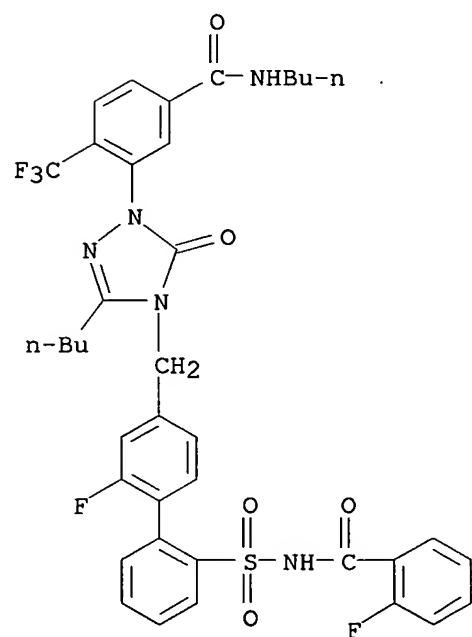
RN 169598-19-0 CAPLUS

CN Benzamide, N-butyl-3-[3-butyl-4-[[2'-[[ (2-chlorobenzoyl) amino] sulfonyl]-2-fluoro[1,1'-biphenyl]-4-yl]methyl]-4,5-dihydro-5-oxo-1H-1,2,4-triazol-1-yl]-4-chloro- (9CI) (CA INDEX NAME)



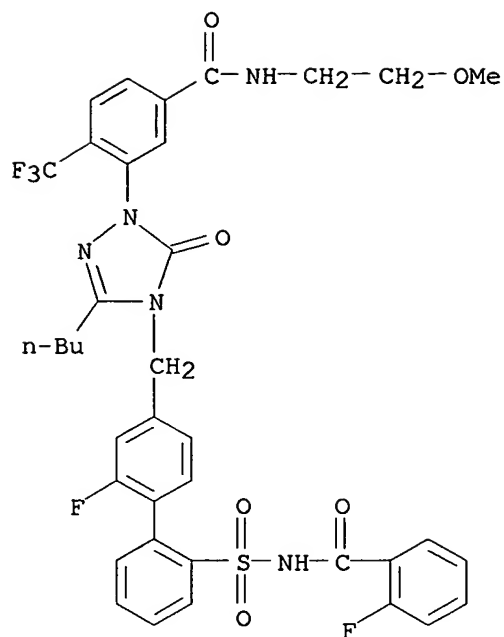
RN 169599-32-0 CAPLUS

CN Benzamide, N-butyl-3-[3-butyl-4-[[2-fluoro-2'-[[ (2-fluorobenzoyl)amino]sulfonyl][1,1'-biphenyl]-4-yl]methyl]-4,5-dihydro-5-oxo-1H-1,2,4-triazol-1-yl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 169599-33-1 CAPLUS

CN Benzamide, 3-[3-butyl-4-[[2-fluoro-2'-[[ (2-fluorobenzoyl)amino]sulfonyl][1,1'-biphenyl]-4-yl]methyl]-4,5-dihydro-5-oxo-1H-1,2,4-triazol-1-yl]-N-(2-methoxyethyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



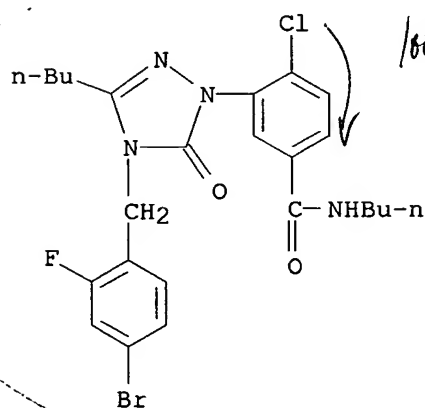
IT 159547-11-2P 169599-09-1P 169599-10-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(substituted triazolinones, triazolinethiones, and triazolinimines as angiotensin II antagonists)

RN 159547-11-2 CAPLUS

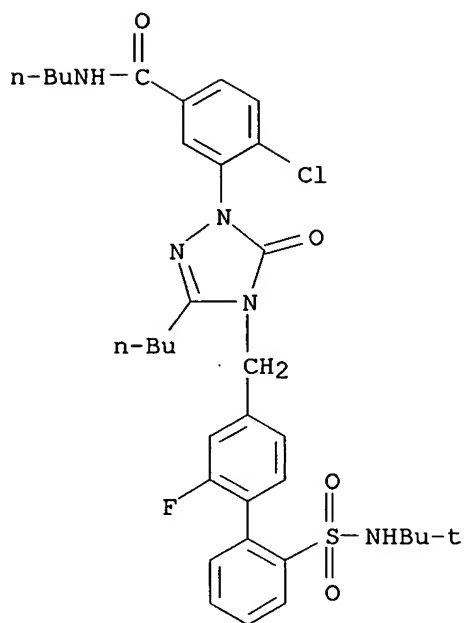
CN Benzamide, 3-[4-[(4-bromo-2-fluorophenyl)methyl]-3-butyl-4,5-dihydro-5-oxo-1H-1,2,4-triazol-1-yl]-N-butyl-4-chloro- (9CI) (CA INDEX NAME)



*100% in Spec - can Cl be para?*

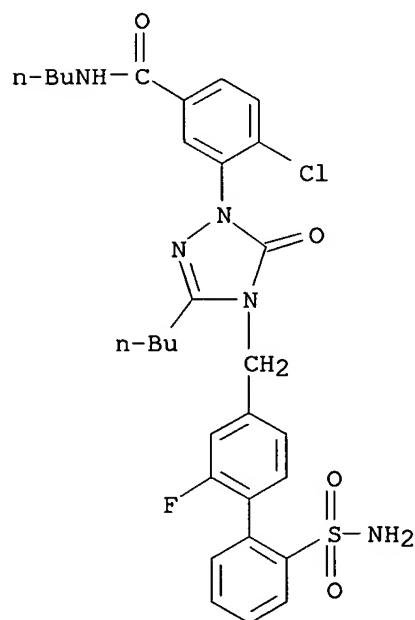
RN 169599-09-1 CAPLUS

CN Benzamide, N-butyl-3-[3-butyl-4-[[2'-[[[1,1-dimethylethyl]amino]sulfonyl]-2-fluoro[1,1'-biphenyl]-4-yl]methyl]-4,5-dihydro-5-oxo-1H-1,2,4-triazol-1-yl]-4-chloro- (9CI) (CA INDEX NAME)



RN 169599-10-4 CAPLUS

CN Benzamide, 3-[4-[[2'-(aminosulfonyl)-2-fluoro[1,1'-biphenyl]-4-yl]methyl]-3-butyl-4,5-dihydro-5-oxo-1H-1,2,4-triazol-1-yl]-N-butyl-4-chloro- (9CI)  
(CA INDEX NAME)



L4 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN

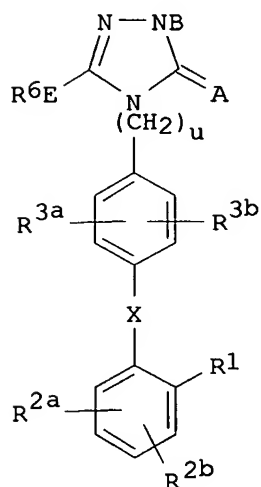
ACCESSION NUMBER: 1995:234501 CAPLUS

DOCUMENT NUMBER: 122:10037

TITLE: Preparation of substituted 1,2,4-triazoles bearing acidic functional groups as angiotensin II antagonists  
INVENTOR(S): Ashton, Wallace T.; Chakravarty, Prasun K.; Chang, Linda L.; Greenlee, William J.; Kim, Doseop; Mantlo,

PATENT ASSIGNEE(S): Nathan B.; Patchett, Arthur A.  
 SOURCE: Merck and Co., Inc., USA  
 U.S., 75 pp. Cont.-in-part of U.S. Ser. No. 875,038,  
 abandoned.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5281614	A	19940125	US 1992-970360	19921102
CA 2109524	AA	19921111	CA 1992-2109524	19920505
US 5436259	A	19950725	US 1993-154883	19931118
PRIORITY APPLN. INFO.:			US 1991-698505	B2 19910510
			US 1992-875038	B2 19920501
			US 1992-970360	A2 19921102
OTHER SOURCE(S):	MARPAT 122:10037			
GI				



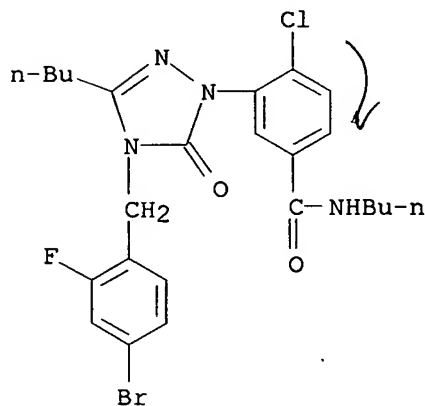
AB Title compds. I [R1 = R22SO2NHSO2, R22O2CNHSO2, NCNHSO2, (R24)2P(O)NHSO2, R24P(O)NHCO, substituted heterocyclyl wherein R22 = (substituted) Ph, -C1-6 alkyl, -C2-6 alkenyl, -C2-6 alkynyl, heteroaryl, (substituted) C3-7 cycloalkyl, etc., R24 = aryl, (substituted) C1-6 alkyl, etc.; R2a, R2b = H, halo, (substituted) amino, O2N, F3C, (substituted) C1-6 alkyl, heterocyclyl, etc., R2aR2b = Ph; R3a = H, halo, C1-6 alkyl, C1-6 alkoxy, etc.; R3b = H, halo, C1-6 alkyl, C1-5 alkylcarbonyloxy, C3-5 cycloalkyl, C1-6 alkoxy, etc, R3aR3b = Ph, biphenyl, (substituted) naphthyl; A = O, S, R21N wherein R21 = H, (substituted) Ph, -C1-6 alkyl, etc.; B = (substituted) C1-10 alkyl, halo, H, (substituted) aryl, etc.; E = bond, (substituted) amino, alkylsulfonyl, CHOH, alkoxy, CO; R6 = (substituted) Ph, -C1-6 alkyl, -heteroaryl, -C3-7 cycloalkyl, etc.; X = bond, CO, O, S, etc.; u = 1,2] or a salt thereof, showing activity as angiotensin II antagonists, are prepared N-[[2'-carboxybiphenyl-4-yl]methyl]phthalimide (preparation given) was converted in 4 steps to 4-[[2'-(tert-butoxycarbonyl)biphenyl-4-yl]-5-n-butyl]-2,4-dihydro-3H-1,2,4-triazole-3-thione which was treated with F3CCO2H to give I (R1 = HO2C, A = S, B = R2a = R2b = R3a = R3b = H, R6E = Bu, X = bond, u = 1).  
 IT 159547-11-2P 159547-12-3P 159547-13-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(preparation and reaction of, in preparation of angiotensin II antagonists)

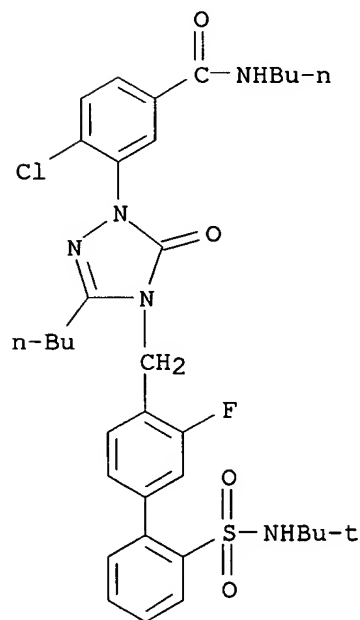
RN 159547-11-2 CAPLUS

CN Benzamide, 3-[4-[(4-bromo-2-fluorophenyl)methyl]-3-butyl-4,5-dihydro-5-oxo-1H-1,2,4-triazol-1-yl]-N-butyl-4-chloro- (9CI) (CA INDEX NAME)



RN 159547-12-3 CAPLUS

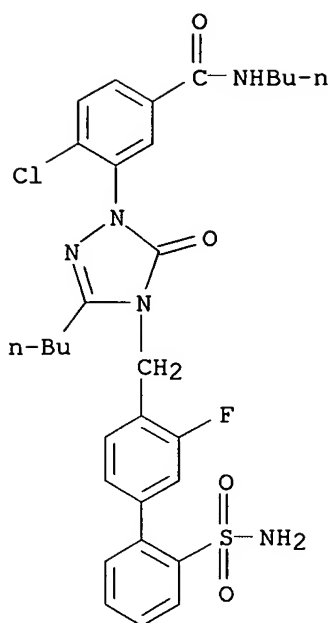
CN Benzamide, N-butyl-3-[3-butyl-4-[[2'-[[[1,1-dimethylethyl]amino]sulfonyl]-3-fluoro[1,1'-biphenyl]-4-yl]methyl]-4,5-dihydro-5-oxo-1H-1,2,4-triazol-1-yl]-4-chloro- (9CI) (CA INDEX NAME)



RN 159547-13-4 CAPLUS

CN Benzamide, 3-[4-[[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]methyl]-3-butyl-4,5-dihydro-5-oxo-1H-1,2,4-triazol-1-yl]-N-butyl-4-chloro- (9CI) (CA INDEX NAME)



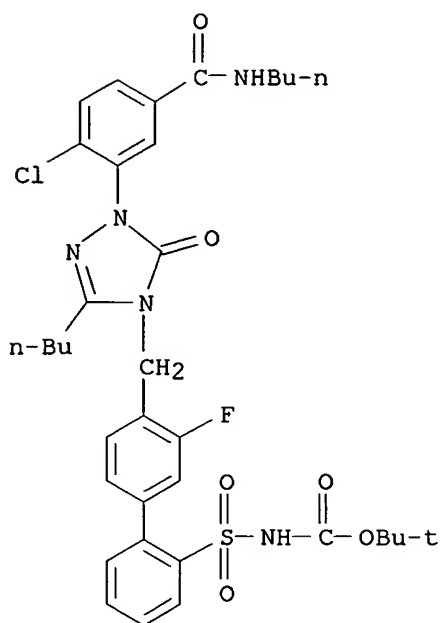


IT 159544-68-0P 159545-01-4P 159545-02-5P  
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 159545-24-1P 159545-25-2P 159545-29-6P  
 159545-30-9P 159545-37-6P 159545-38-7P  
 159545-43-4P 159545-44-5P 159545-48-9P  
 159545-50-3P 159545-52-5P 159545-63-8P  
 159545-91-2P 159545-92-3P 159545-93-4P  
 159545-94-5P 159545-98-9P 159545-99-0P  
 159546-04-0P 159546-05-1P 159546-06-2P  
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 159546-88-0P 159546-89-1P 159546-90-4P  
 159546-91-5P 159546-92-6P 159546-93-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of, as angiotensin II antagonist)

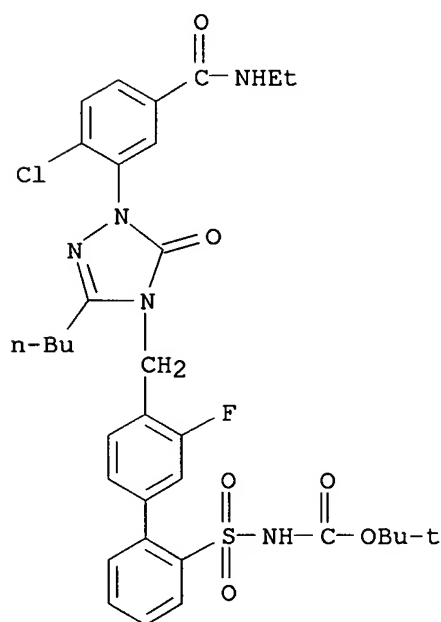
RN 159544-68-0 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1-[5-[(butylamino)carbonyl]-2-chlorophenyl]-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



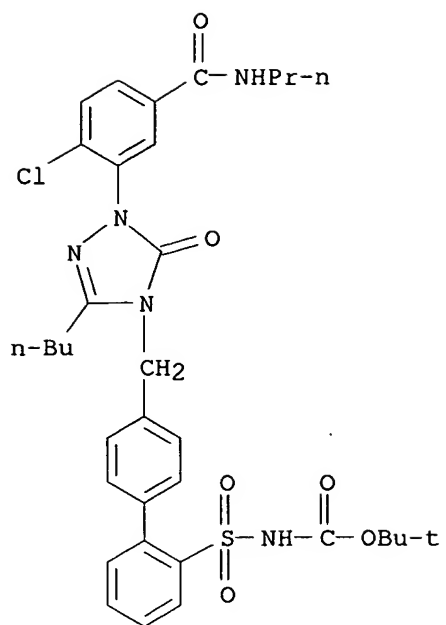
RN 159545-01-4 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1-[2-chloro-5-[(ethylamino)carbonyl]phenyl]-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



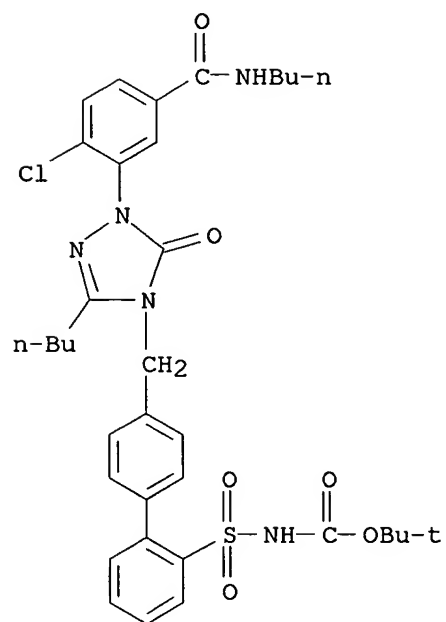
RN 159545-02-5 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1-[2-chloro-5-[(propylamino)carbonyl]phenyl]-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



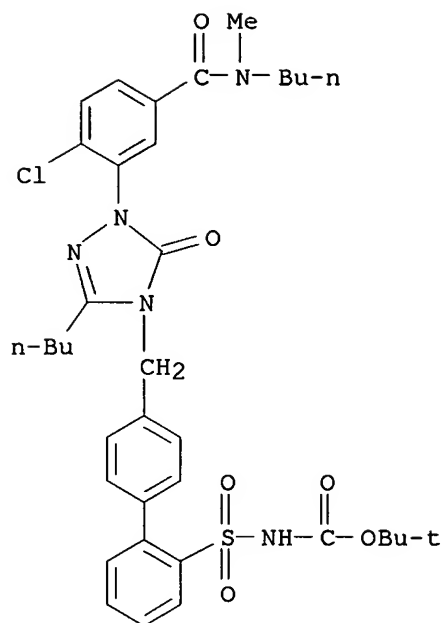
RN 159545-03-6 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1-[5-[(butylamino)carbonyl]-2-chlorophenyl]-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl][1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



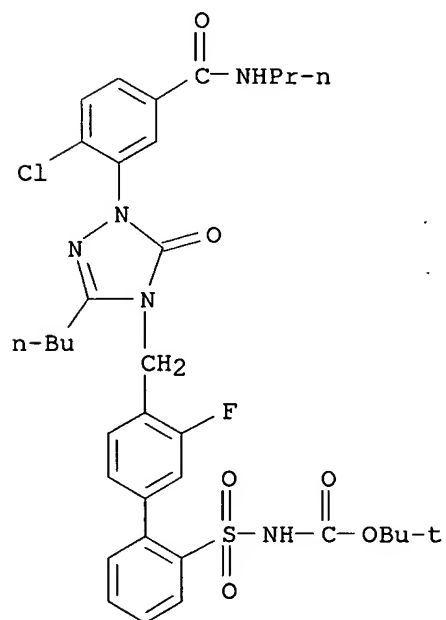
RN 159545-04-7 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1-[5-[(butylmethylanino)carbonyl]-2-chlorophenyl]-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl][1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



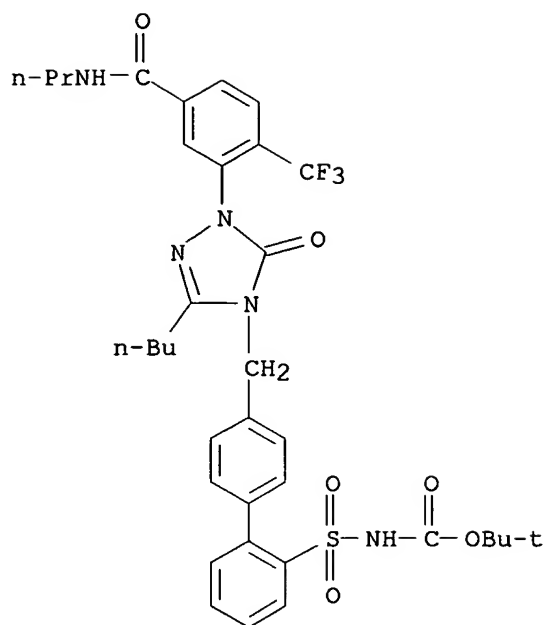
RN 159545-14-9 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1-[2-chloro-5-[(propylamino)carbonyl]phenyl]-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



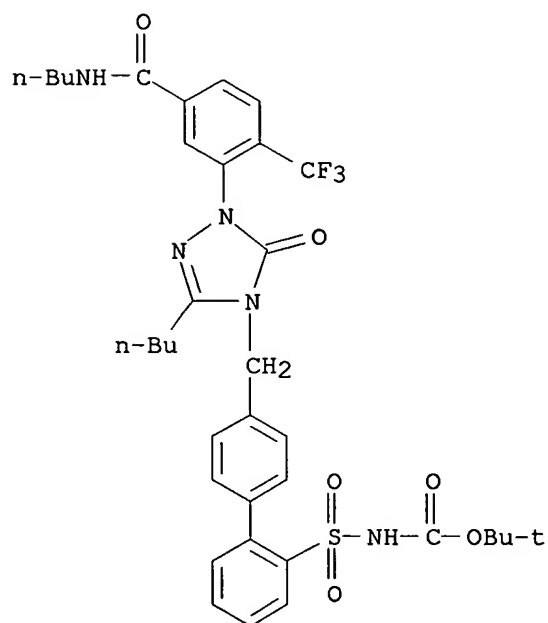
RN 159545-24-1 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1,5-dihydro-5-oxo-1-[5-[(propylamino)carbonyl]-2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-4-yl]methyl][1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



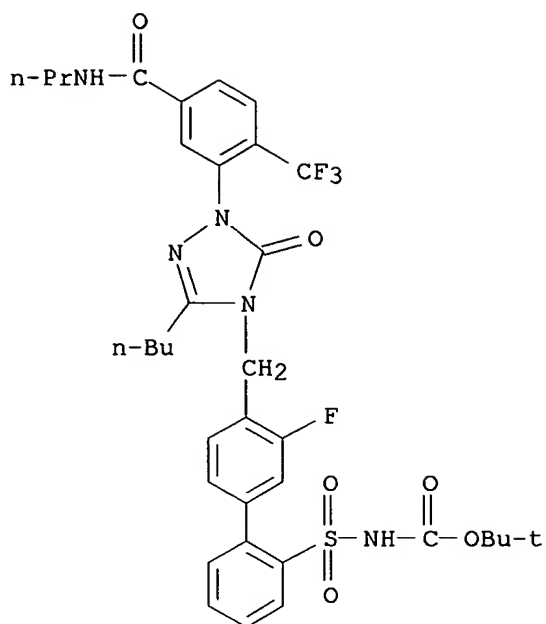
RN 159545-25-2 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1-[5-[(butylamino)carbonyl]-2-(trifluoromethyl)phenyl]-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl][1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI)  
(CA INDEX NAME)



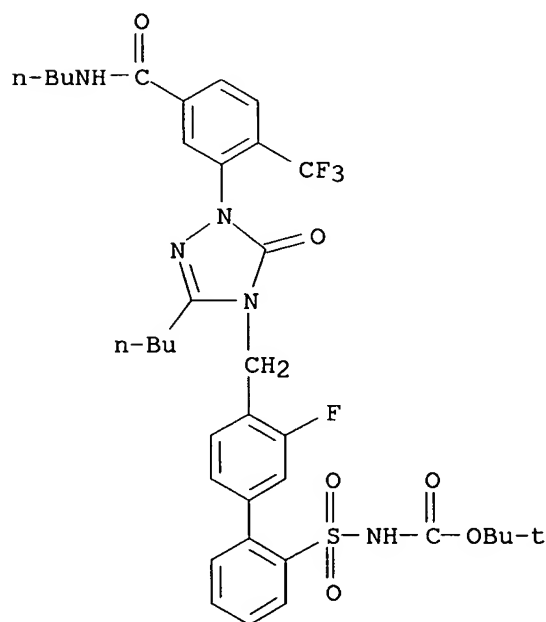
RN 159545-29-6 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1,5-dihydro-5-oxo-1-[5-[(propylamino)carbonyl]-2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



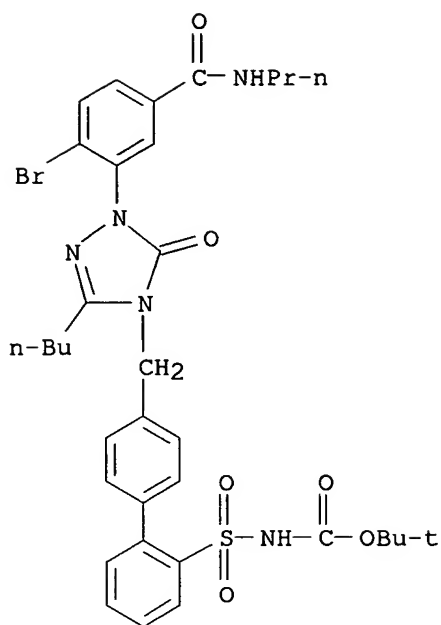
RN 159545-30-9 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1-[5-[(butylamino)carbonyl]-2-(trifluoromethyl)phenyl]-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI)  
(CA INDEX NAME)



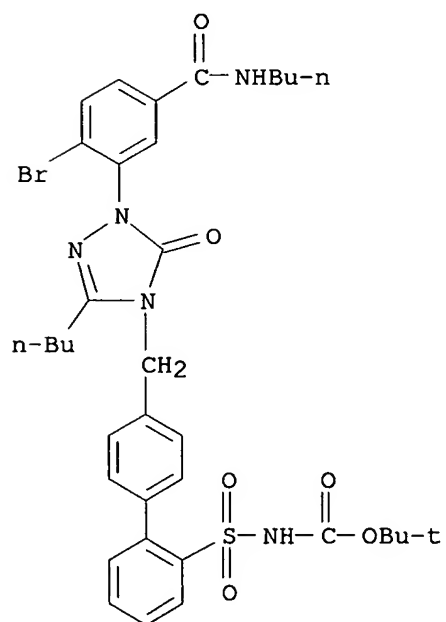
RN 159545-37-6 CAPLUS

CN Carbamic acid, [[4'-[[1-[2-bromo-5-[(propylamino)carbonyl]phenyl]-3-butyl-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl][1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



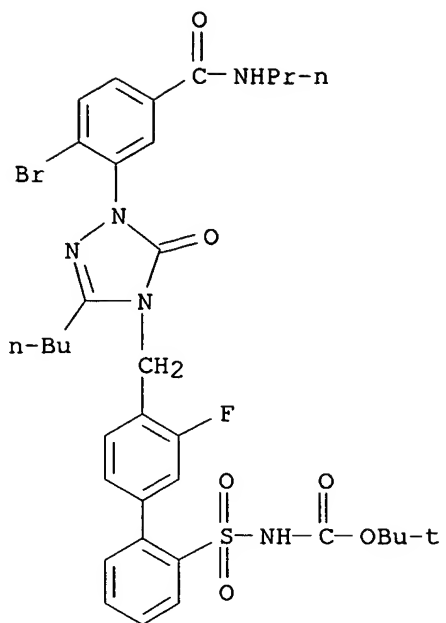
RN 159545-38-7 CAPLUS

CN Carbamic acid, [[4'-[[1-[2-bromo-5-[(butylamino)carbonyl]phenyl]-3-butyl-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl][1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



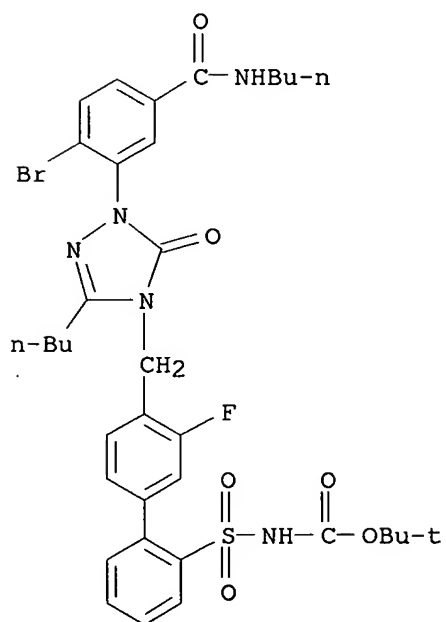
RN 159545-43-4 CAPLUS

CN Carbamic acid, [[4'-[[1-[2-bromo-5-[(propylamino)carbonyl]phenyl]-3-butyl-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 159545-44-5 CAPLUS

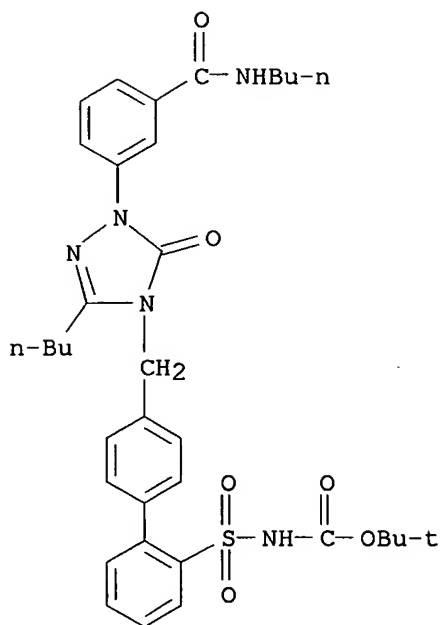
CN Carbamic acid, [[4'-[[1-[2-bromo-5-[(butylamino)carbonyl]phenyl]-3-butyl-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 159545-48-9 CAPLUS

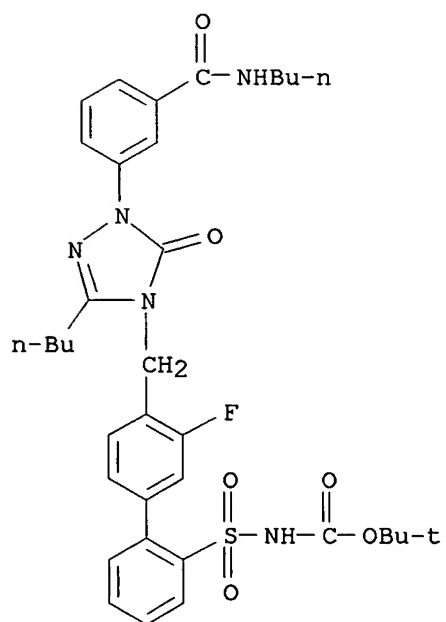
CN Carbamic acid, [[4'-[[3-butyl-1-[3-[(butylamino)carbonyl]phenyl]-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl][1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)





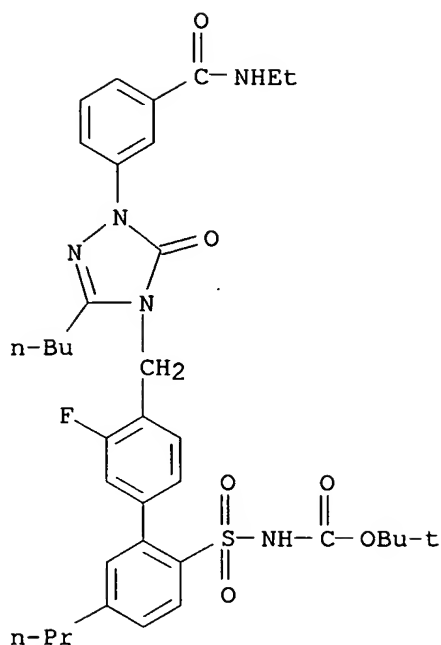
RN 159545-50-3 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1-[3-[(butylamino)carbonyl]phenyl]-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



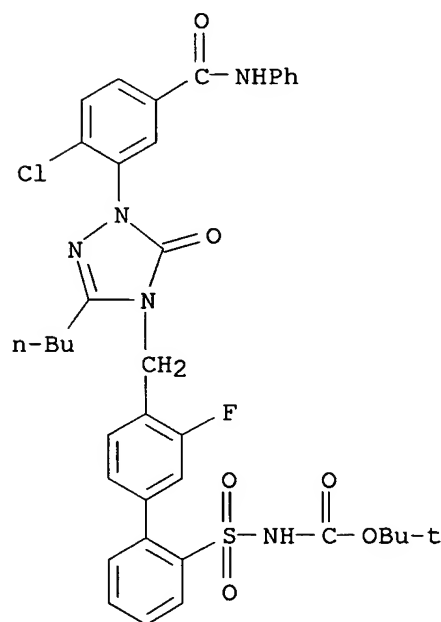
RN 159545-52-5 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1-[3-[(ethylamino)carbonyl]phenyl]-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro-5-propyl[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



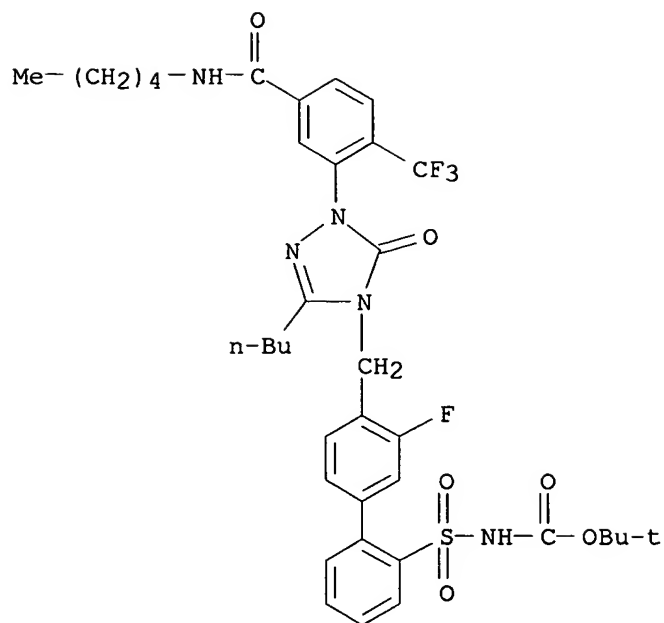
RN 159545-63-8 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1-[2-chloro-5-[(phenylamino)carbonyl]phenyl]-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



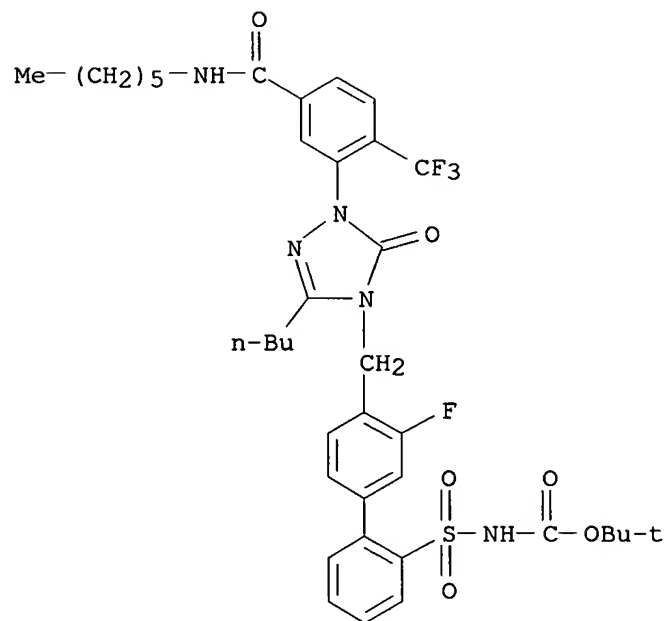
RN 159545-91-2 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1,5-dihydro-5-oxo-1-[5-[(pentylamino)carbonyl]-2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



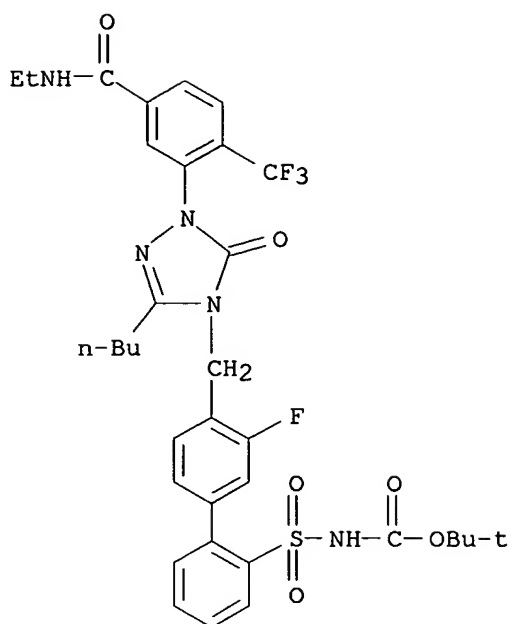
RN 159545-92-3 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1-[5-[(hexylamino)carbonyl]-2-(trifluoromethyl)phenyl]-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI)  
(CA INDEX NAME)



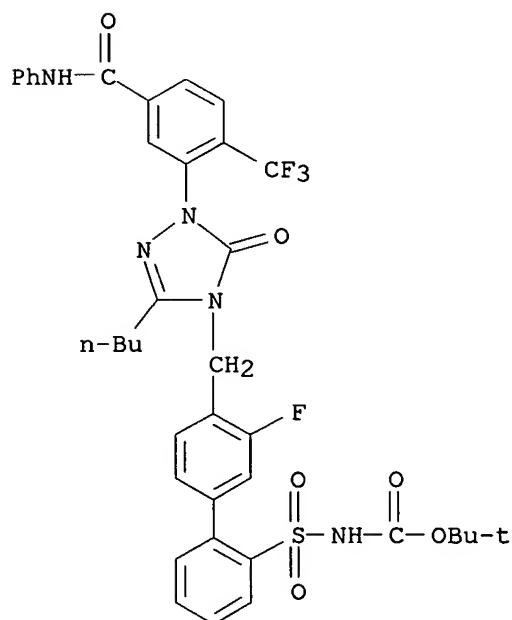
RN 159545-93-4 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1-[5-[(ethylamino)carbonyl]-2-(trifluoromethyl)phenyl]-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI)  
(CA INDEX NAME)



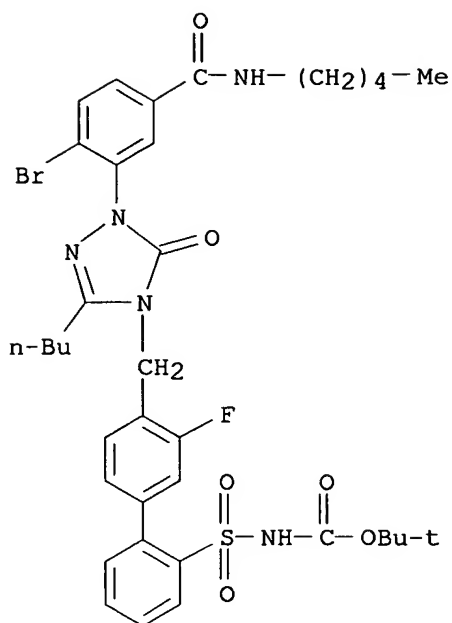
RN 159545-94-5 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1,5-dihydro-5-oxo-1-[5-[(phenylamino)carbonyl]-2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



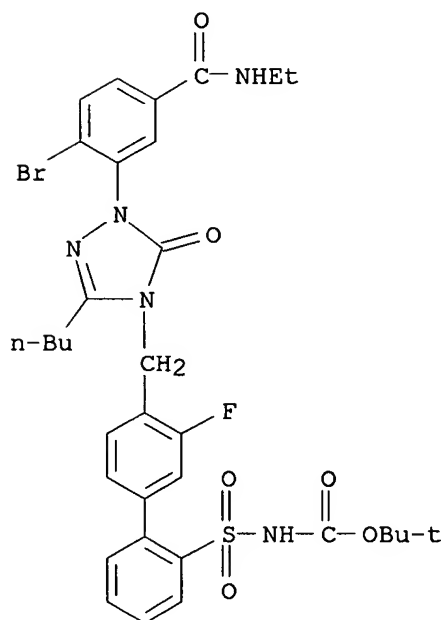
RN 159545-98-9 CAPLUS

CN Carbamic acid, [[4'-[[1-[2-bromo-5-[(pentylamino)carbonyl]phenyl]-3-butyl-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



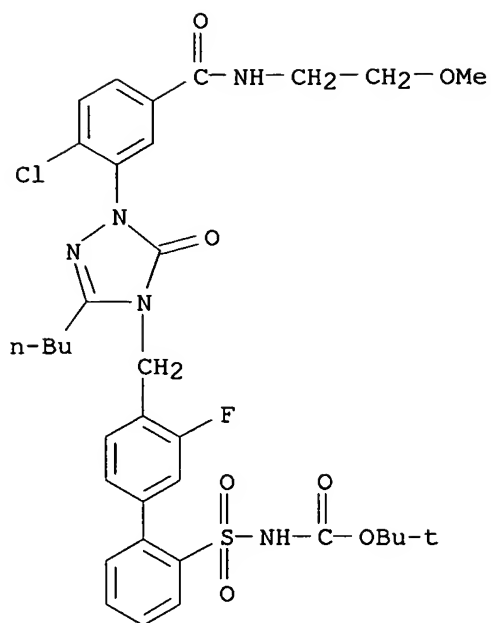
RN 159545-99-0 CAPLUS

CN Carbamic acid, [[4'-[[1-[2-bromo-5-[(ethylamino)carbonyl]phenyl]-3-butyl-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



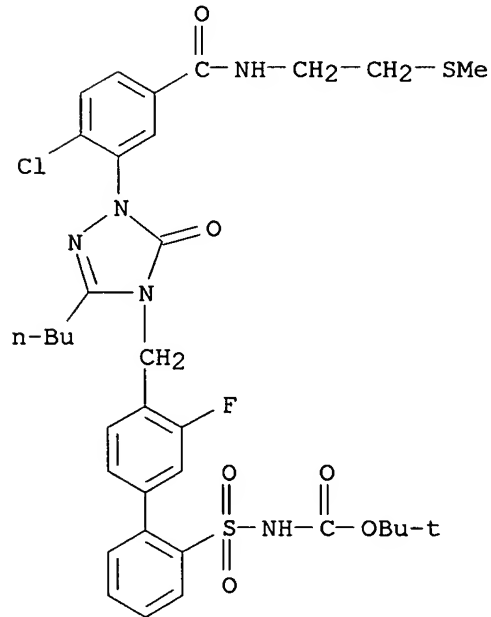
RN 159546-04-0 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1-[2-chloro-5-[[2-methoxyethyl]amino]carbonyl]phenyl]-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



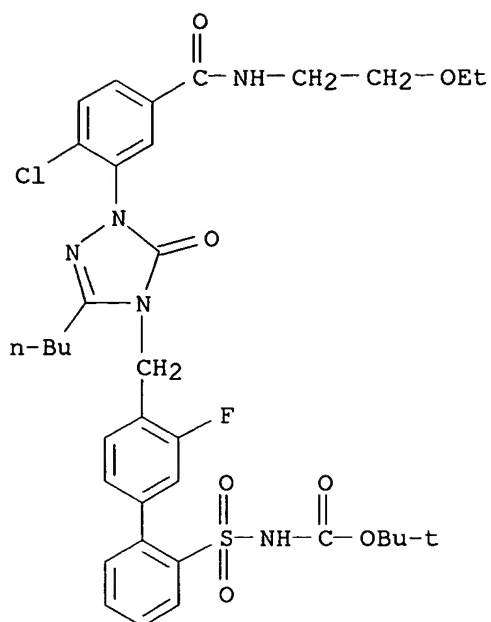
RN 159546-05-1 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1-[2-chloro-5-[[[2-(methylthio)ethyl]amino]carbonyl]phenyl]-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



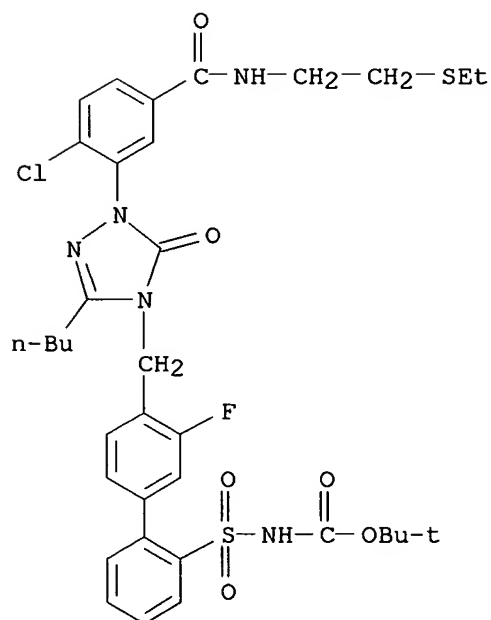
RN 159546-06-2 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1-[2-chloro-5-[[[2-(ethoxyethyl)amino]carbonyl]phenyl]-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



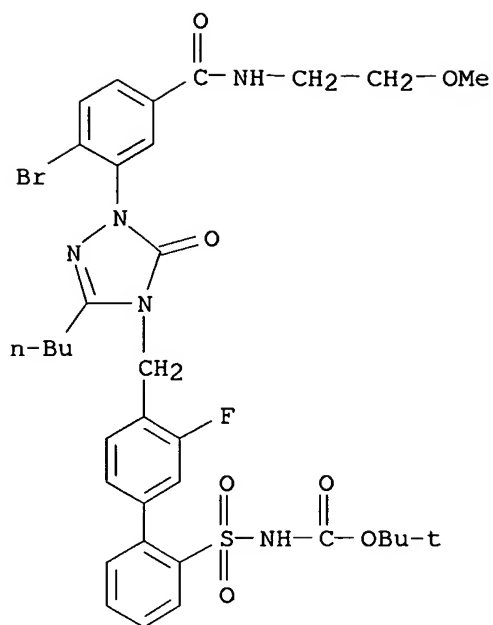
RN 159546-07-3 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1-[2-chloro-5-[[[2-(ethylthio)ethyl]amino]carbonyl]phenyl]-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



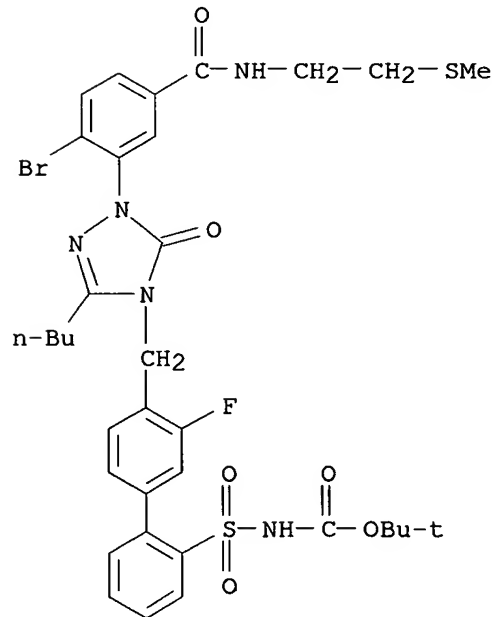
RN 159546-08-4 CAPLUS

CN Carbamic acid, [[4'-[[1-[2-bromo-5-[[[2-(methoxyethyl)amino]carbonyl]phenyl]-3-butyl-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 159546-09-5 CAPLUS

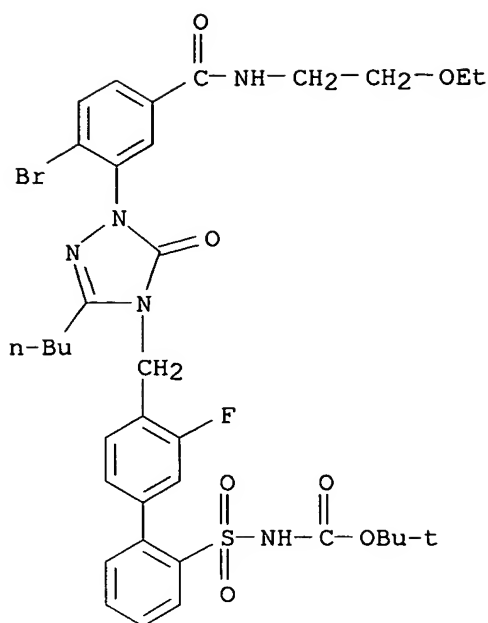
CN Carbamic acid, [[4'-[[1-[2-bromo-5-[[[2-(methylthio)ethyl]amino]carbonyl]phenyl]-3-butyl-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 159546-10-8 CAPLUS

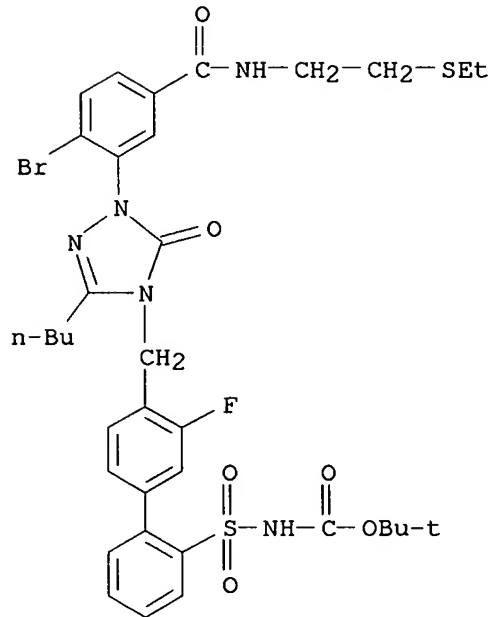
CN Carbamic acid, [[4'-[[1-[2-bromo-5-[[[2-(ethoxyethyl)amino]carbonyl]phenyl]-3-butyl-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)





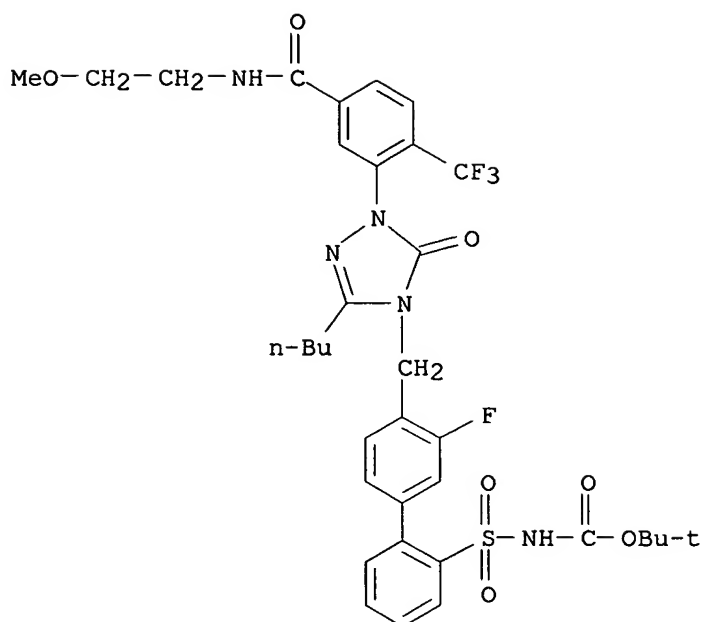
RN 159546-11-9 CAPLUS

CN Carbamic acid, [[4'-[[1-[2-bromo-5-[[[2-(ethylthio)ethyl]amino]carbonyl]phenyl]-3-butyl-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



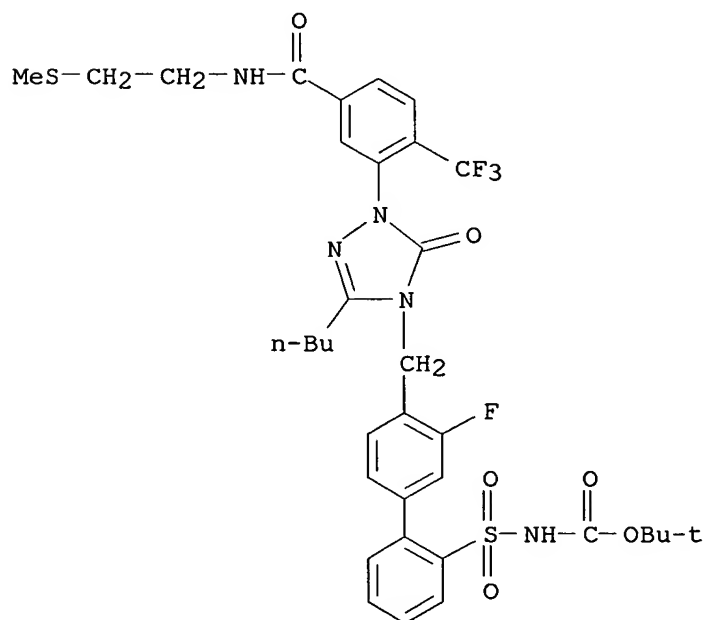
RN 159546-12-0 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1,5-dihydro-1-[5-[[[2-(methoxyethyl)amino]carbonyl]-2-(trifluoromethyl)phenyl]-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



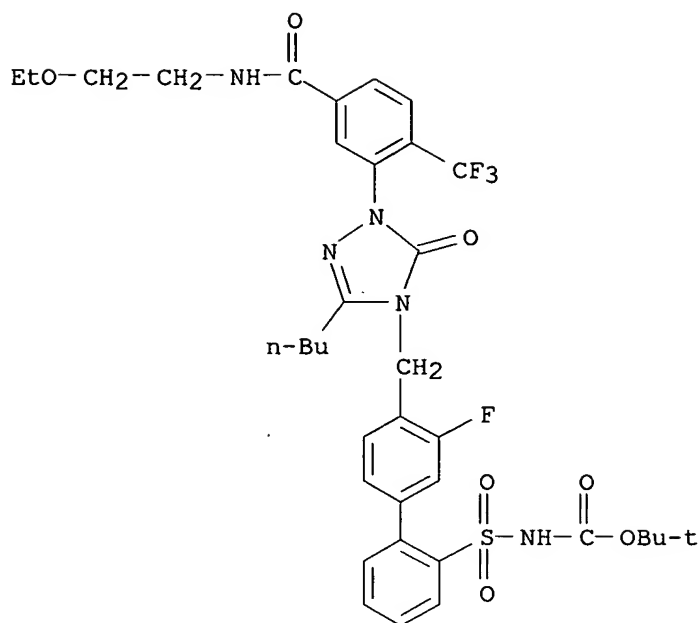
RN 159546-13-1 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1,5-dihydro-1-[5-[[[2-(methylthio)ethyl]amino]carbonyl]-2-(trifluoromethyl)phenyl]-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



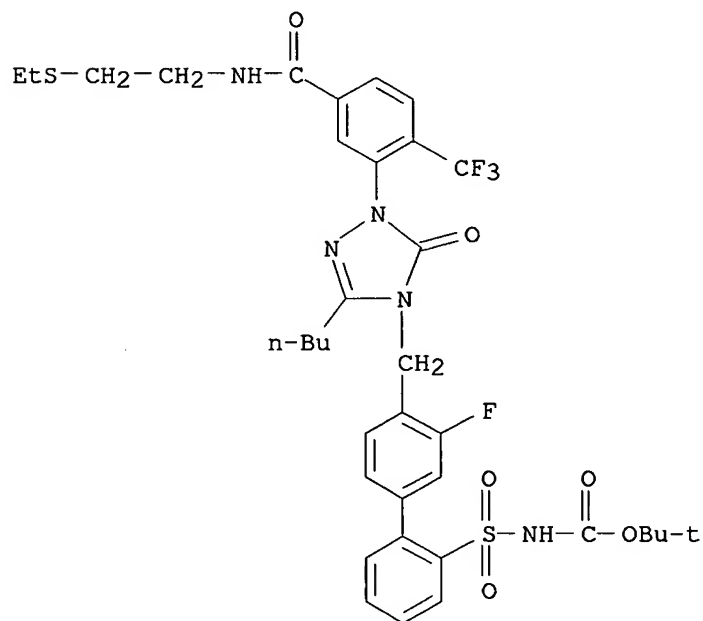
RN 159546-14-2 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1-[5-[[[2-(ethoxyethyl)amino]carbonyl]-2-(trifluoromethyl)phenyl]-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



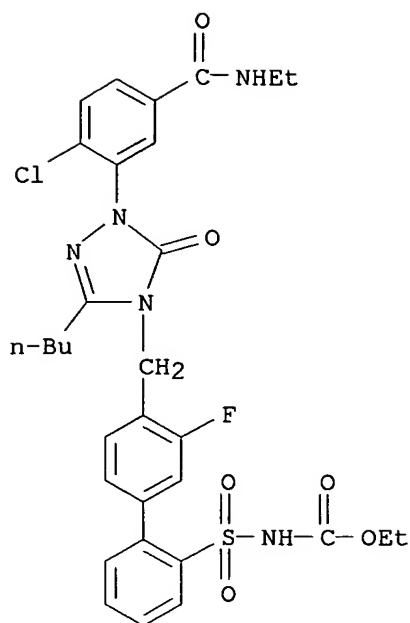
RN 159546-15-3 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1-[5-[[[2-(ethylthio)ethyl]amino]carbonyl]-2-(trifluoromethyl)phenyl]-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI)  
(CA INDEX NAME)



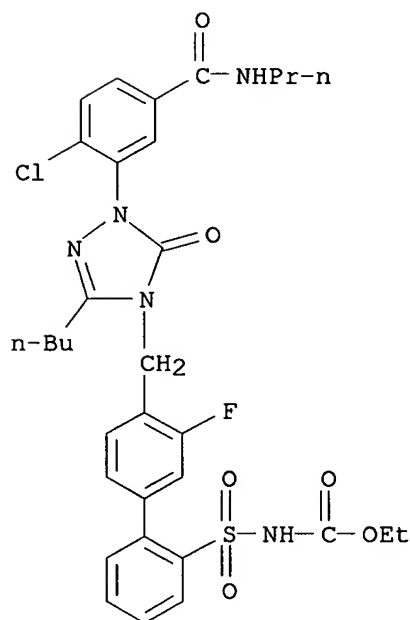
RN 159546-29-9 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1-[2-chloro-5-[(ethylamino)carbonyl]phenyl]-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



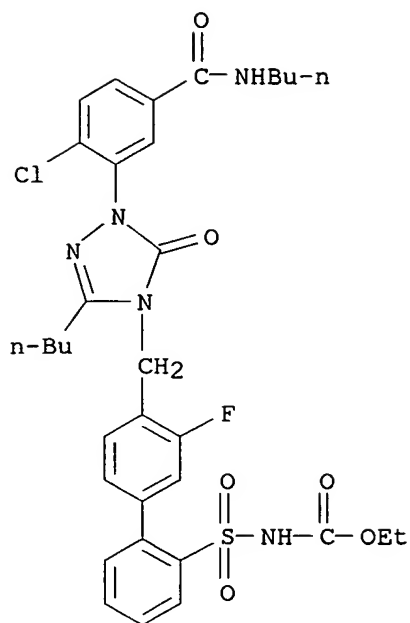
RN 159546-30-2 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1-[2-chloro-5-[(propylamino)carbonyl]phenyl]-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



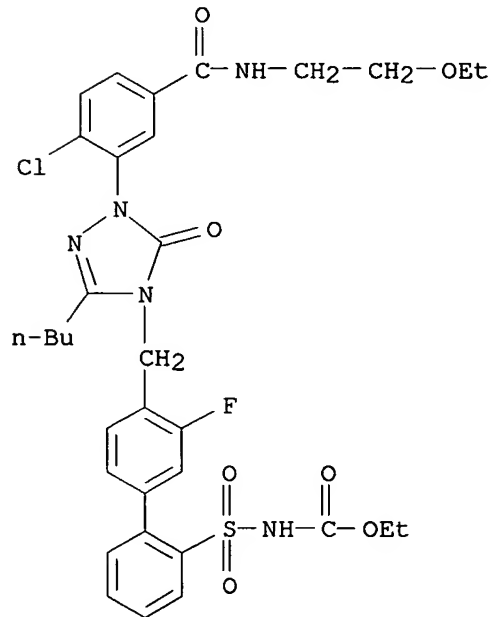
RN 159546-31-3 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1-[5-[(butylamino)carbonyl]-2-chlorophenyl]-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



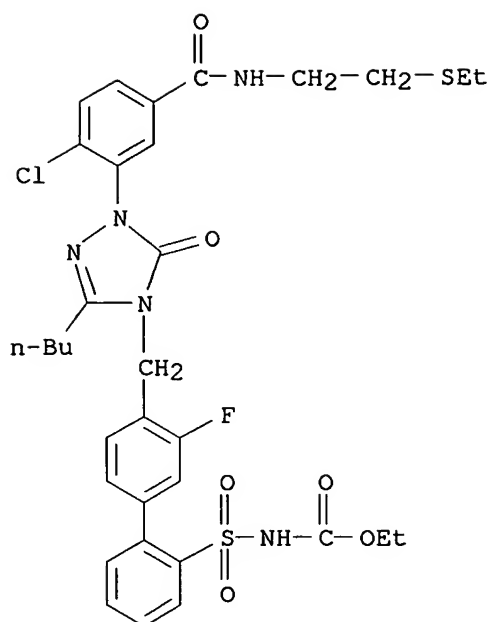
RN 159546-32-4 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1-[2-chloro-5-[[2-ethoxyethyl]amino]carbonyl]phenyl]-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, ethyl ester (9CI)  
(CA INDEX NAME)



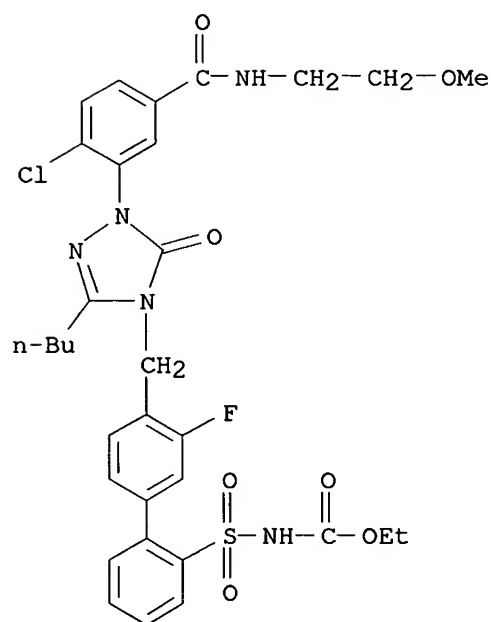
RN 159546-33-5 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1-[2-chloro-5-[[2-(ethylthio)ethyl]amino]carbonyl]phenyl]-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, ethyl ester (9CI)  
(CA INDEX NAME)



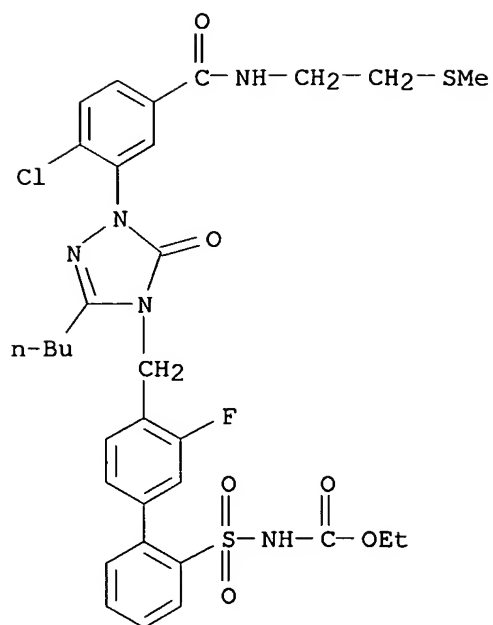
RN 159546-34-6 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1-[2-chloro-5-[[2-methoxyethyl]amino]carbonyl]phenyl]-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, ethyl ester (9CI)  
(CA INDEX NAME)



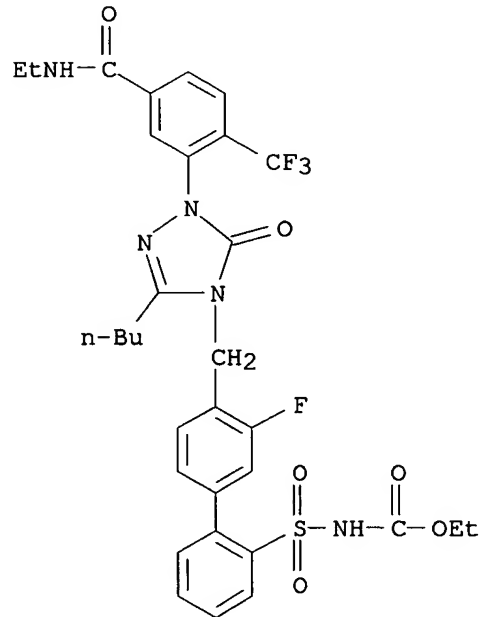
RN 159546-35-7 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1-[2-chloro-5-[[2-(methylthio)ethyl]amino]carbonyl]phenyl]-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



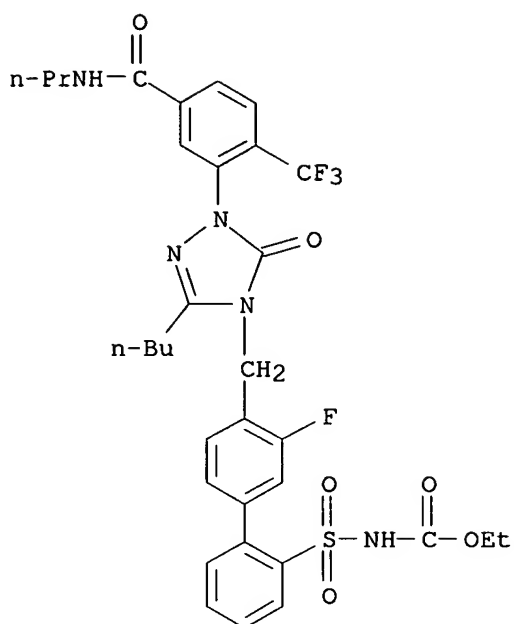
RN 159546-58-4 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1-[5-[(ethylamino)carbonyl]-2-(trifluoromethyl)phenyl]-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



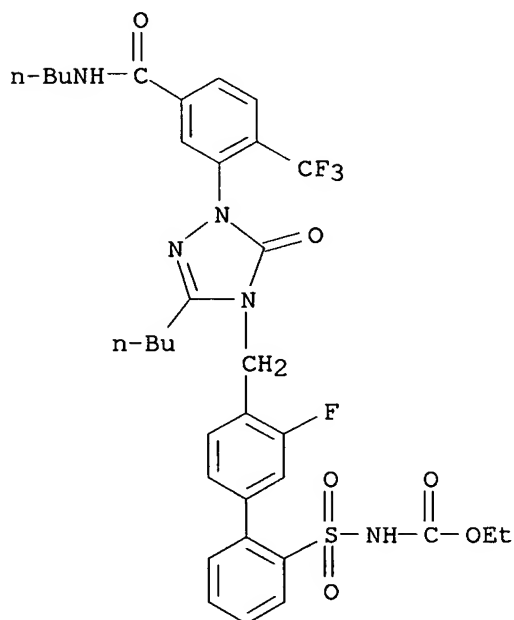
RN 159546-59-5 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1,5-dihydro-5-oxo-1-[5-[(propylamino)carbonyl]-2-(trifluoromethyl)phenyl]-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 159546-60-8 CAPLUS

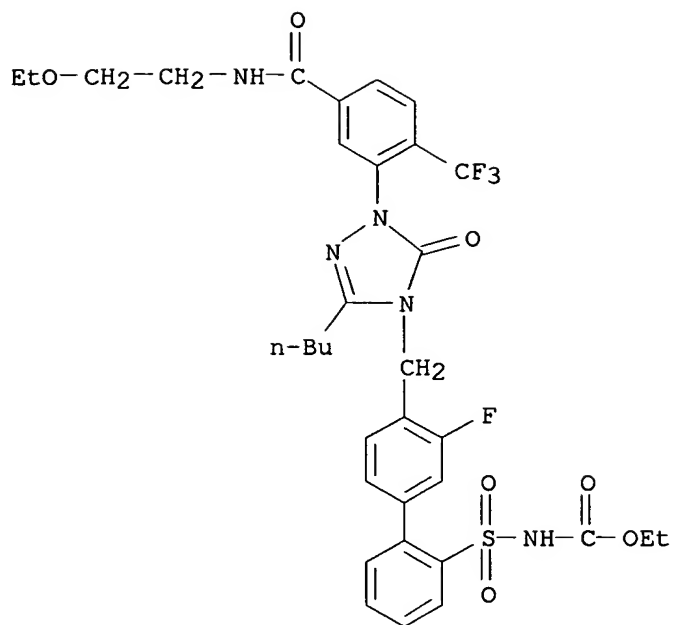
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RN 159546-61-9 CAPLUS

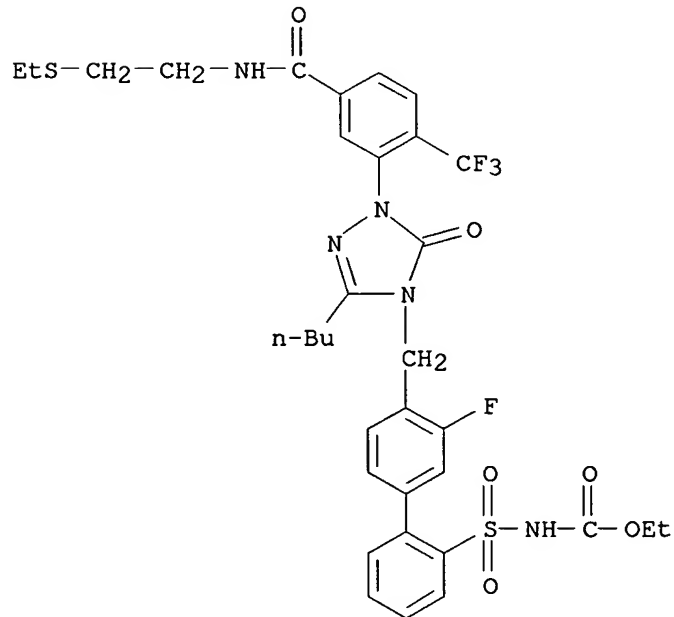
CN Carbamic acid, [[4'-[[3-butyl-1-[5-[(2-ethoxyethyl)amino]carbonyl]-2-(trifluoromethyl)phenyl]-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)





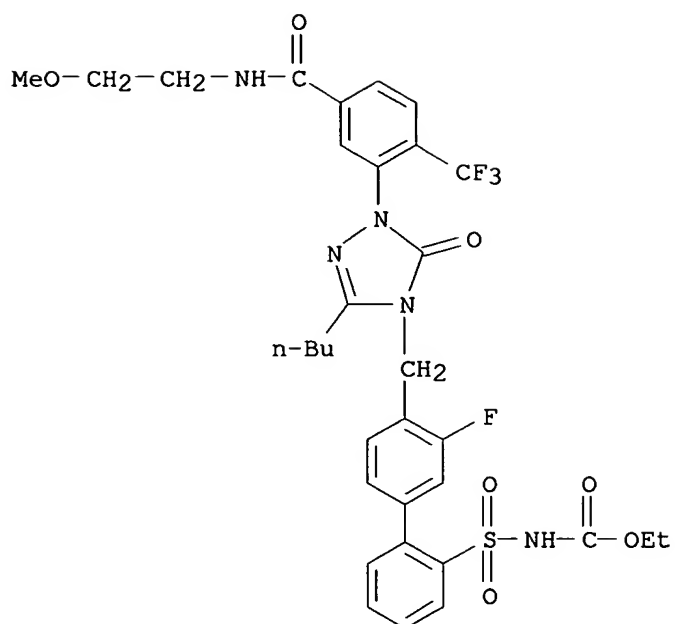
RN 159546-62-0 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1-[5-[[[2-(ethylthio)ethyl]amino]carbonyl]-2-(trifluoromethyl)phenyl]-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



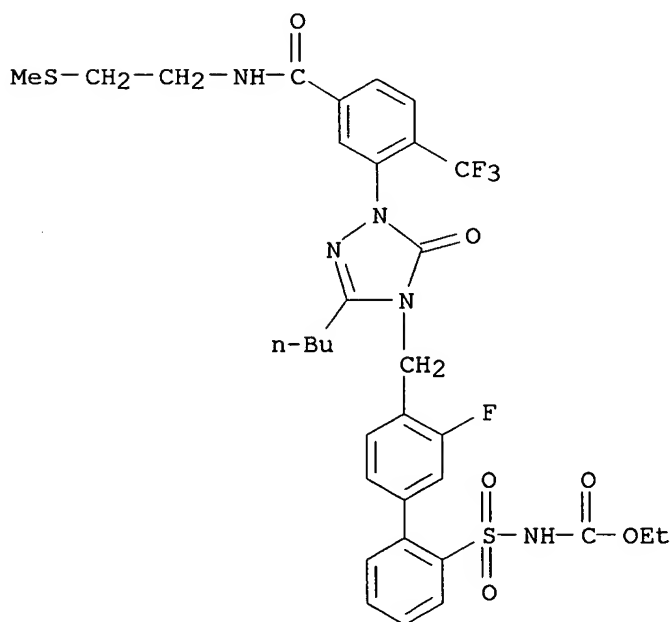
RN 159546-63-1 CAPLUS

CN Carbamic acid, [[4'-[[3-butyl-1,5-dihydro-1-[5-[[[2-(methoxyethyl)amino]carbonyl]-2-(trifluoromethyl)phenyl]-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



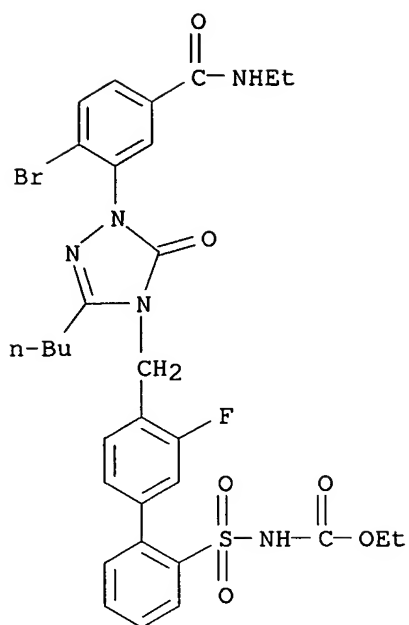
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CN Carbamic acid, [[4'-[[3-butyl-1,5-dihydro-1-[5-[[[2-(methylthio)ethyl]amino]carbonyl]-2-(trifluoromethyl)phenyl]-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



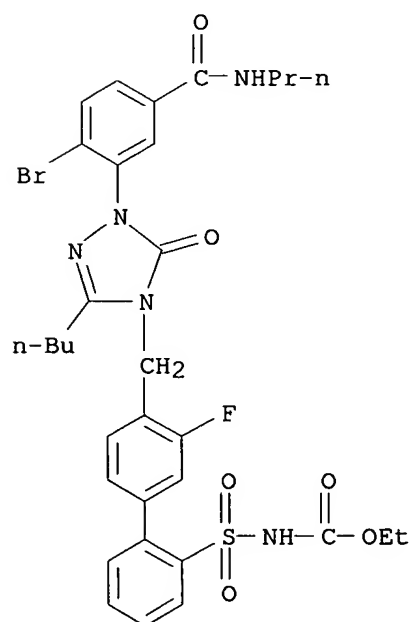
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CN Carbamic acid, [[4'-[[1-[2-bromo-5-[(ethylamino)carbonyl]phenyl]-3-butyl-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



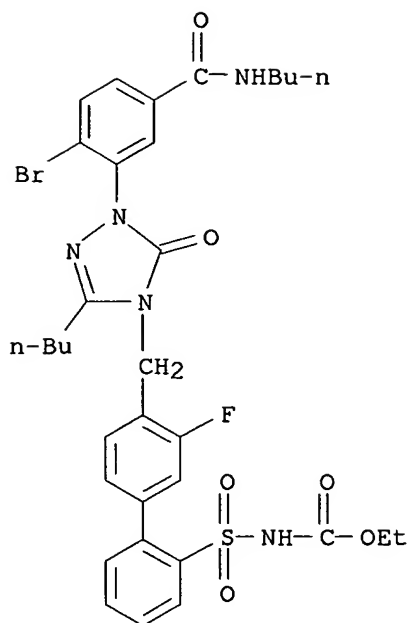
RN 159546-88-0 CAPLUS

CN Carbamic acid, [[4'-[[1-[2-bromo-5-[(propylamino)carbonyl]phenyl]-3-butyl-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



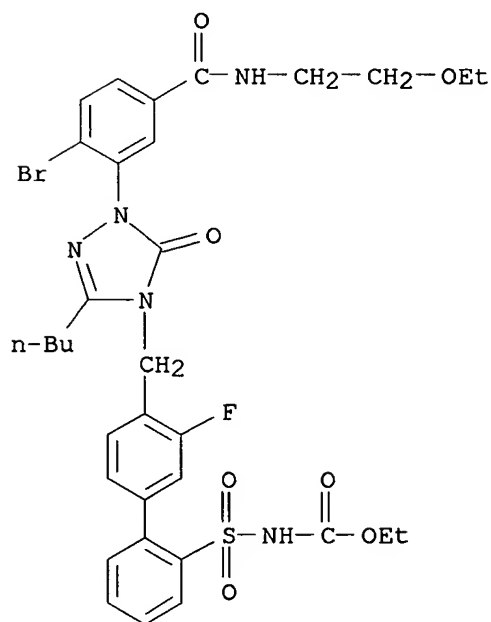
RN 159546-89-1 CAPLUS

CN Carbamic acid, [[4'-[[1-[2-bromo-5-[(butylamino)carbonyl]phenyl]-3-butyl-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



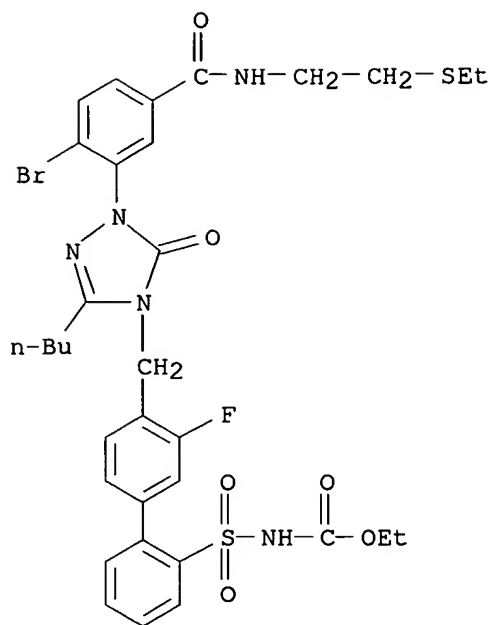
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CN Carbamic acid, [[4'-[[1-[2-bromo-5-[[2-(ethoxyethyl)amino]carbonyl]phenyl]-3-butyl-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



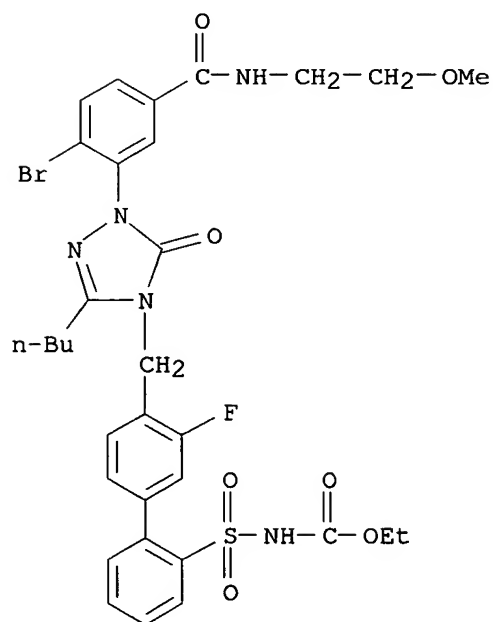
RN 159546-91-5 CAPLUS

CN Carbamic acid, [[4'-[[1-[2-bromo-5-[[2-(ethylthio)ethyl]amino]carbonyl]phenyl]-3-butyl-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



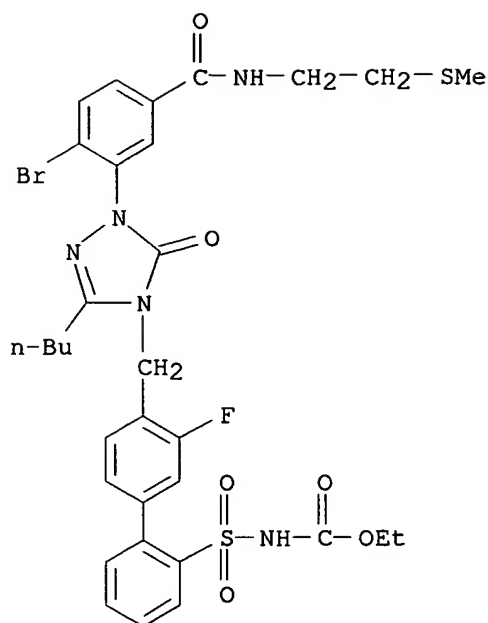
RN 159546-92-6 CAPLUS

CN Carbamic acid, [[4'-[[1-[2-bromo-5-[[2-methoxyethyl]amino]carbonyl]phenyl]-3-butyl-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 159546-93-7 CAPLUS

CN Carbamic acid, [[4'-[[1-[2-bromo-5-[[2-(methylthio)ethyl]amino]carbonyl]phenyl]-3-butyl-1,5-dihydro-5-oxo-4H-1,2,4-triazol-4-yl]methyl]-3'-fluoro[1,1'-biphenyl]-2-yl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:217332 CAPLUS

DOCUMENT NUMBER: 122:55962

TITLE: Triazolinone Biphenylsulfonamides as Angiotensin II Receptor Antagonists with High Affinity for Both the AT1 and AT2 Subtypes

AUTHOR(S): Chang, Linda L.; Ashton, Wallace T.; Flanagan, Kelly L.; Chen, Tsing-Bau; O'Malley, Stacey S.; Zingaro, Gloria J.; Siegl, Peter K. S.; Kivlighn, Salah D.; Lotti, Victor J.; et al.

CORPORATE SOURCE: Merck Research Laboratories, Rahway, NJ, 07065, USA

SOURCE: Journal of Medicinal Chemistry (1994), 37(26), 4464-78

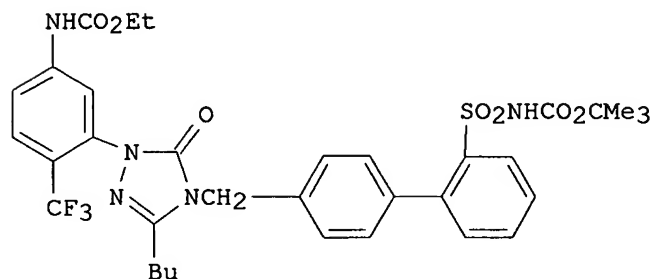
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I

AB Angiotensin II (AII), the endogenous peptide ligand of the AII receptor, has equivalent high affinity for both the AT1 and AT2 receptor subtypes while most of the reported nonpeptide AII antagonists are AT1-selective. In an effort to identify dual AT1/AT2 nonpeptide AII antagonists, previously prepared trisubstituted 1,2,4-triazolinone biphenylsulfonamides which

exhibited subnanomolar in vitro AT1 (rabbit aorta) AII antagonism and AT2 (rat midbrain) IC50 values of <40 nM have been modified. Present results show that a suitable amide (or reversed amide) side chain appropriately positioned on the N2-aryl group of these compds. gave >15-fold enhancement in AT2 binding affinity without sacrificing nanomolar AT1 potency (IC50). This added amide, combined with an appropriate choice of the N-substituent on the sulfonamide and the ortho substituent on the N2-aryl group, led to an analog (L-163,007, I) which exhibited subnanomolar AT1 binding affinity and an AT2/AT1 IC50 ratio of 3. This compound showed excellent i.v. activity at 1 mg/kg and oral efficacy at 3 mg/kg with >6 h duration in a conscious rat model. Available data suggest that the newly introduced amide side chain, mandatory for low nanomolar binding affinity at the AT2 receptor, is well-tolerated by the AT1 receptor and has minimal effect on the in vivo properties of these mols.

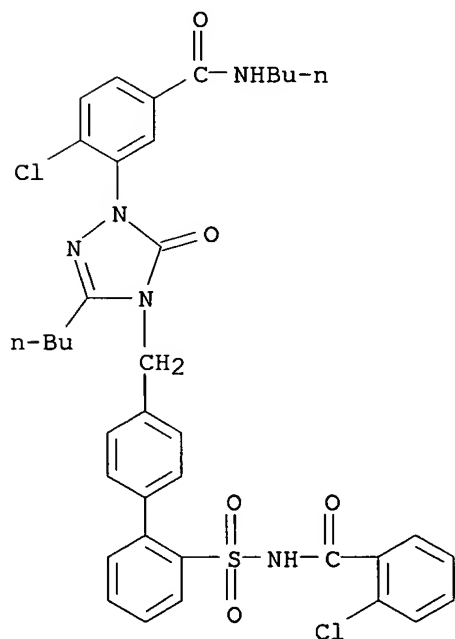
IT 147776-43-0P 159954-74-2P 159954-84-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of triazolinone biphenylsulfonamides as AT1-AT2 angiotensin II receptor antagonists)

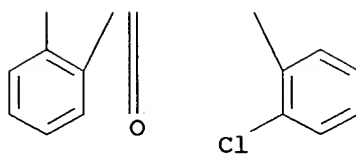
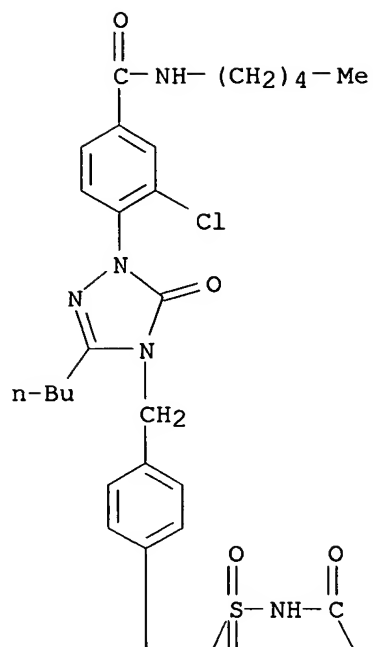
RN 147776-43-0 CAPLUS

CN Benzamide, N-butyl-3-[3-butyl-4-[[2'-[[ (2-chlorobenzoyl)amino]sulfonyl][1,1'-biphenyl]-4-yl]methyl]-4,5-dihydro-5-oxo-1H-1,2,4-triazol-1-yl]-4-chloro- (9CI) (CA INDEX NAME)



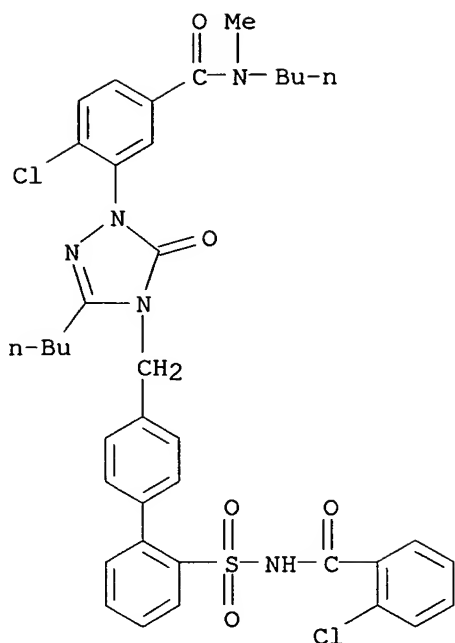
RN 159954-74-2 CAPLUS

CN Benzamide, 4-[3-butyl-4-[[2'-[[ (2-chlorobenzoyl)amino]sulfonyl][1,1'-biphenyl]-4-yl]methyl]-4,5-dihydro-5-oxo-1H-1,2,4-triazol-1-yl]-3-chloro-N-pentyl- (9CI) (CA INDEX NAME)



RN 159954-84-4 CAPLUS  
 CN Benzamide, N-butyl-3-[3-butyl-4-[[2'-[[ (2-chlorobenzoyl) amino] sulfonyl] [1, 1'-biphenyl]-4-yl]methyl]-4,5-dihydro-5-oxo-1H-1,2,4-triazol-1-yl]-4-chloro-N-methyl- (9CI) (CA INDEX NAME)





L4 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1993:254937 CAPLUS

DOCUMENT NUMBER: 118:254937

TITLE: Substituted triazolinones

INVENTOR(S): Ashton, Wallace T.; Chang, Linda L.; MacCoss, Malcolm;  
Chakravarty, Prasun K.; Greenlee, William J.;  
Patchett, Arthur A.; Walsh, Thomas F.; Flanagan,  
Kelly; Rivero, Ralph A.

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: PCT Int. Appl., 128 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

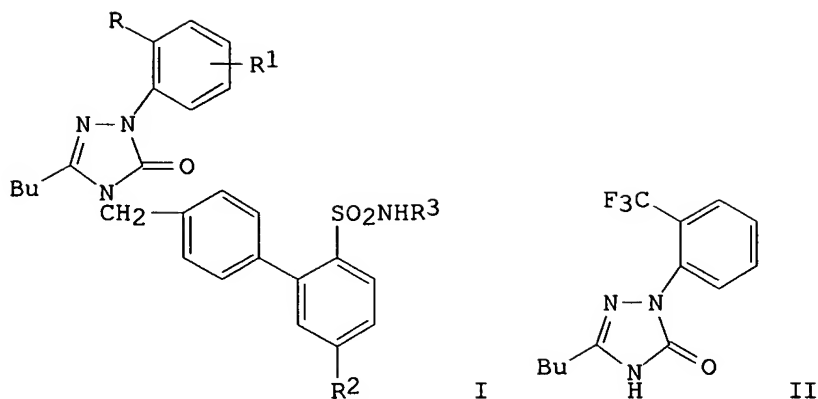
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9301177	A1	19930121	WO 1992-US5483	19920630
W: BG, CS, FI, HU, NO, PL, RO, RU				
CA 2072775	AA	19930104	CA 1992-2072775	19920630
EP 526001	A1	19930203	EP 1992-306106	19920701
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE				
AU 9219387	A1	19930107	AU 1992-19387	19920702
AU 648677	B2	19940428		
ZA 9204916	A	19930331	ZA 1992-4916	19920702
JP 05294947	A2	19931109	JP 1992-214460	19920703
PRIORITY APPLN. INFO.:			US 1991-725720	A 19910703
			US 1991-812891	A 19911220

OTHER SOURCE(S): MARPAT 118:254937

GI



AB Triazolinones I (R = H, Me, CF<sub>3</sub>, halo; R<sub>1</sub> = H or NO<sub>2</sub>, amino or other group at 3-, 4-, or 5-position; R<sub>2</sub> = H, C<sub>1</sub>-C<sub>4</sub> alkyl or alkoxy, halo; R<sub>3</sub> = Ph or substituted Ph) were prepared for the treatment of hypertension (comps. prepared). Thus, cyclocondensation of 2-(trifluoromethyl)phenylhydrazine with Et N-carbethoxyvalerimide in the presence of ET3N afforded 66% triazolinone II. The latter underwent sequential alkylation with [2-(N-tert-butylsulfamoyl)biphenyl-4-yl]methyl bromide, cleavage of the tert-Bu group by CF<sub>3</sub>CO<sub>3</sub>H, and N-acylation with BzCL to give I (R = CF<sub>3</sub>, R<sub>1</sub> = R<sub>2</sub> = H, R<sub>3</sub> = Bz).

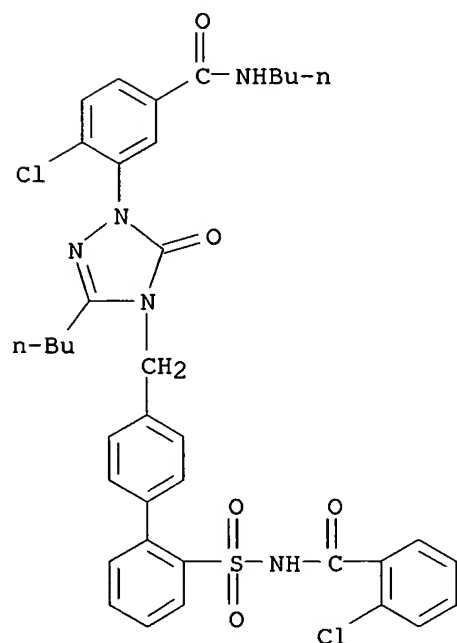
IT **147776-43-0P 147776-66-7P 147776-67-8P**

**147776-68-9P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 147776-43-0 CAPLUS

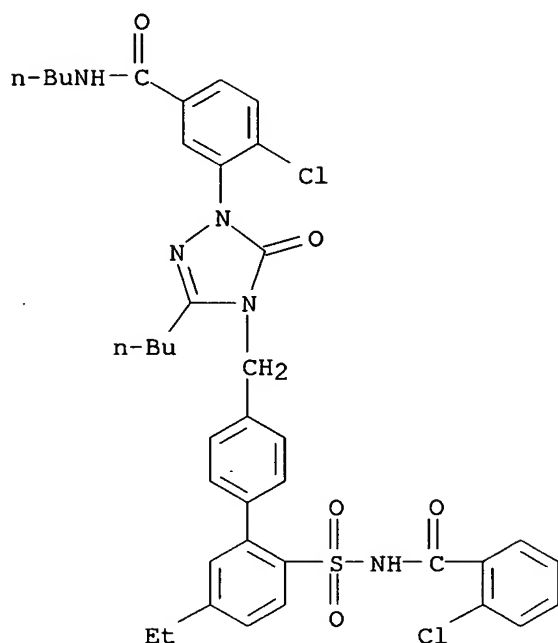
CN Benzamide, N-butyl-3-[3-butyl-4-[[2'-[[ (2-chlorobenzoyl)amino]sulfonyl][1,1'-biphenyl]-4-yl]methyl]-4,5-dihydro-5-oxo-1H-1,2,4-triazol-1-yl]-4-chloro- (9CI) (CA INDEX NAME)



RN 147776-66-7 CAPLUS

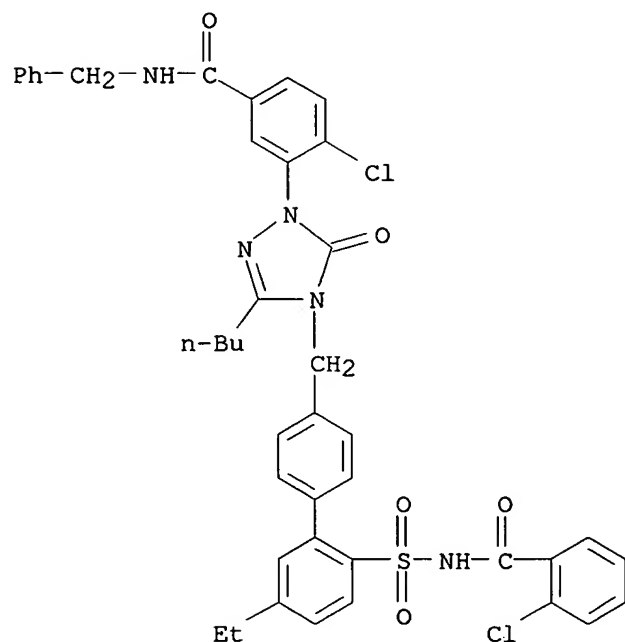
CN Benzamide, N-butyl-3-[3-butyl-4-[[2'-[[ (2-chlorobenzoyl)amino]sulfonyl]-5'-

ethyl[1,1'-biphenyl]-4-yl)methyl]-4,5-dihydro-5-oxo-1H-1,2,4-triazol-1-yl]-  
4-chloro- (9CI) (CA INDEX NAME)



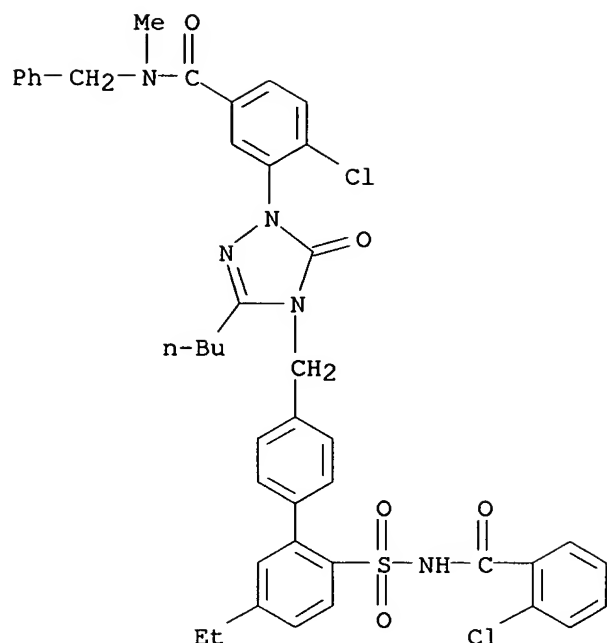
RN 147776-67-8 CAPLUS

CN Benzamide, 3-[3-butyl-4-[[2'-[[[(2-chlorobenzoyl)amino]sulfonyl]-5'-ethyl[1,1'-biphenyl]-4-yl)methyl]-4,5-dihydro-5-oxo-1H-1,2,4-triazol-1-yl]-4-chloro-N-(phenylmethyl)]- (9CI) (CA INDEX NAME)



RN 147776-68-9 CAPLUS

CN Benzamide, 3-[3-butyl-4-[[2'-[[[(2-chlorobenzoyl)amino]sulfonyl]-5'-ethyl[1,1'-biphenyl]-4-yl)methyl]-4,5-dihydro-5-oxo-1H-1,2,4-triazol-1-yl]-4-chloro-N-methyl-N-(phenylmethyl)]- (9CI) (CA INDEX NAME)



L4 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1989:487302 CAPLUS  
 DOCUMENT NUMBER: 111:87302  
 TITLE: High-contrast negative image formation  
 INVENTOR(S): Nakamura, Takeki  
 PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 43 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63271347	A2	19881109	JP 1987-106893	19870430
JP 06097330	B4	19941130		

PRIORITY APPLN. INFO.: JP 1987-106893 19870430

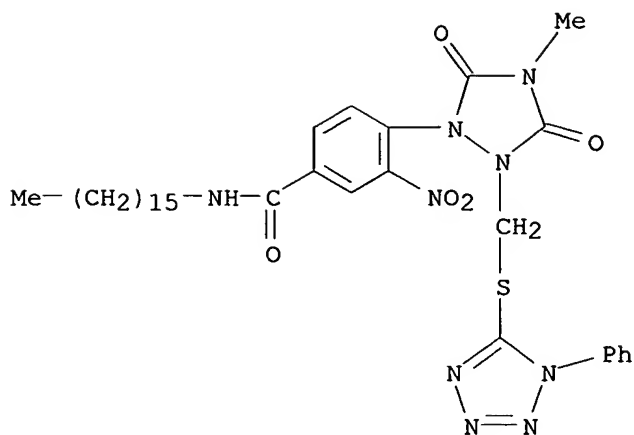
AB A photog. material, which comprises  $\geq 1$  Ag halide emulsion layer and  $\geq 1$  nonphotosensitive hydrophilic colloid protective layer, wherein the photog. emulsion layer or the other hydrophilic colloid layer contains a hydrazine derivative and PWR(Time)tAF [PWR = (Time)tAF by reduction; Time =

AF releasing group (via reactions initiated by reduction of PWR); t = 0, 1; Time = linking group when t = 0; AF = development inhibitor] is imagewise exposed, and treated with a developing solution ( $10.5 \leq \text{pH} \leq 12.3$ ) containing 0.15 mol/L sulfite ion.

IT **119446-85-4**  
 RL: USES (Uses)  
 (photog. development inhibitor precursor, high-contrast neg. image formation)

RN 119446-85-4 CAPLUS

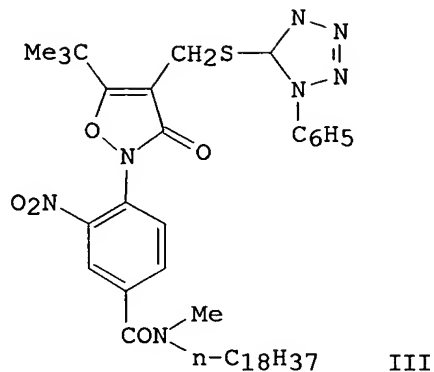
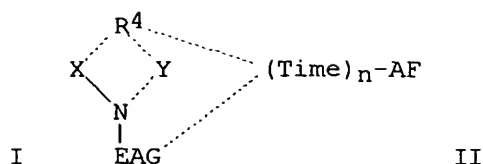
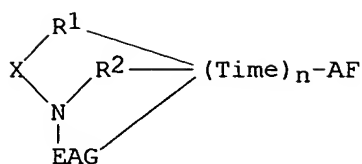
CN Benzamide, N-hexadecyl-4-[4-methyl-3,5-dioxo-2-[(1-phenyl-1H-tetrazol-5-yl)thio]methyl]-1,2,4-triazolidin-1-yl]-3-nitro- (9CI) (CA INDEX NAME)



L4 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1989:182843 CAPLUS  
 DOCUMENT NUMBER: 110:182843  
 TITLE: Diffusion-transfer photographic material containing  
 dye-releasing compounds and a development-inhibitor  
 precursors  
 INVENTOR(S): Hirai, Hiroyuki; Furuya, Keizo; Nakamura, Koki  
 PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan  
 SOURCE: Ger. Offen., 74 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3740849	A1	19880714	DE 1987-3740849	19871202
JP 63264747	A2	19881101	JP 1987-82284	19870403
JP 08003618	B4	19960117		
GB 2201523	A1	19880901	GB 1987-28146	19871202
GB 2201523	B2	19900328		
US 963	H1	19910903	US 1989-415483	19891002
PRIORITY APPLN. INFO.:			JP 1986-287455	A 19861202
			JP 1987-82284	A 19870403
			US 1987-127841	B1 19871202

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AB A color photog. material contains: a dye-releasing compound which releases a mobile dye in a reduction reaction of  $\text{Ag}^+$  to  $\text{Ag}$  in a reversal process; and a development inhibitor precursor of the formula  $\text{PWR}-(\text{Time})_n\text{-AF}$  [PWR = a group which releases Time-AF through reduction; AF which functions as a development inhibitor on being released; Time = timing group which releases AF through a reaction;  $n = 0, 1$ ]. The preferred development inhibitor precursor compds. are II or III [EAG = electron-absorbing group;  $X = \text{O}, \text{S}, \text{NR}_3$ ;  $\text{R}_1\text{-R}_3 = \text{H}$  or atoms such that 2 of  $\text{R}_1\text{-R}_3$  and EAG form a ring;  $Y = \text{divalent group}$ ;  $\text{R}_4 = \text{group of atoms to form a 5- to 8-membered heterocyclic ring with X and Y}$ ]. Thus, a diffusion-transfer reversal process photog. film was prepared with yellow, magenta, and cyan dye releasing compds. and III as the development inhibitor precursor. The film produced a high d. pos. image with min. stain.

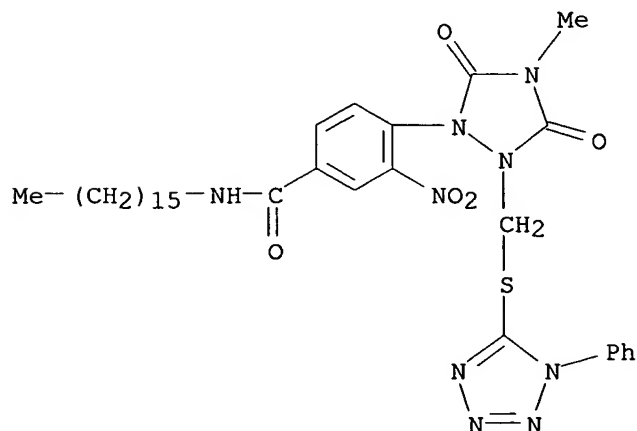
IT **119446-85-4**

RL: USES (Uses)

(photog. development inhibitor precursor)

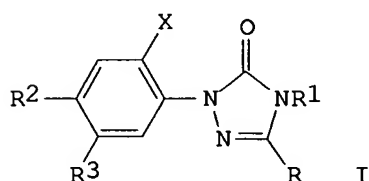
RN 119446-85-4 CAPLUS

CN Benzamide, N-hexadecyl-4-[4-methyl-3,5-dioxo-2-[(1-phenyl-1H-tetrazol-5-yl)thio]methyl]-1,2,4-triazolidin-1-yl]-3-nitro- (9CI) (CA INDEX NAME)



L4 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1984:209881 CAPLUS  
 DOCUMENT NUMBER: 100:209881  
 TITLE:  $\Delta^2$ -1,2,4-Triazolin-5-one derivatives  
 PATENT ASSIGNEE(S): Nihon Nohyaku Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 58225070	A2	19831227	JP 1982-107975	19820623
PRIORITY APPLN. INFO.: GI			JP 1982-107975	19820623



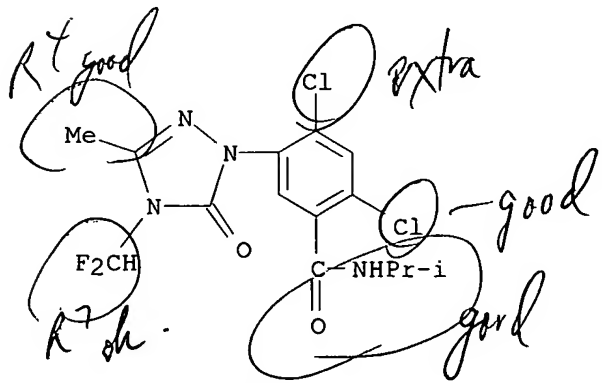
AB Twenty-six title derivs. I [R = alkyl; R1 = H, alkyl, halomethyl, alkynyl; R2 = Cl, F, OH, OR4 (R4 = alkyl, PhCH2); R3 = H, CO2H, OCH2CN, CO2R5 (R5 = alkyl, etc.), etc.; X = Cl, F] were prepared by, e.g., reaction of 2,4,5-XR2R3C6H2NHNH2 (II) with EtOCR:NCO2Et (III). Thus, 4.5 g II (X = R2 = Cl, R3 = CO2Me) was heated with 3.36 g III (R = Me) in PhMe 30 min at 100° and refluxed with 2 g Et3N 3 h to give 70.6% I (R = Me, R1 = H, R2 = X = Cl, R3 = CO2Me) which was treated with ClCHF2 to give I (R = Me, R1 = CHF2, R2 = X = Cl, R3 = CO2Me). The latter compound showed herbicidal activity at 30 g/are.

IT 90208-85-8P 90208-86-9P 90208-87-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation and herbicidal activity of)

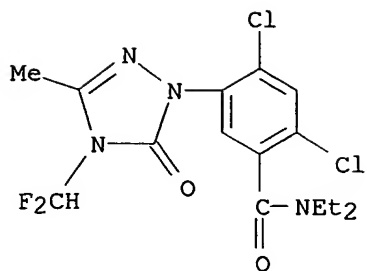
RN 90208-85-8 CAPLUS

CN Benzamide, 2,4-dichloro-5-[4-(difluoromethyl)-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



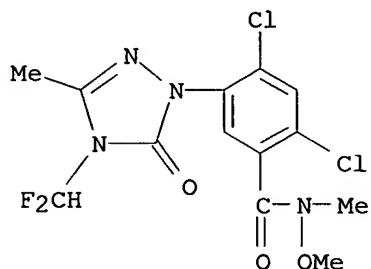
RN 90208-86-9 CAPLUS

CN Benzamide, 2,4-dichloro-5-[4-(difluoromethyl)-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl]-N,N-diethyl- (9CI) (CA INDEX NAME)



RN 90208-87-0 CAPLUS

CN Benzamide, 2,4-dichloro-5-[4-(difluoromethyl)-4,5-dihydro-3-methyl-5-oxo-1H-1,2,4-triazol-1-yl]-N-methoxy-N-methyl- (9CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

75.90

237.44

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-10.95

-10.95

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